

49943

Access DB# \_\_\_\_\_

## SEARCH REQUEST FORM

Scientific and Technical Information Center

Requester's Full Name: Henry Liu Examiner #: \_\_\_\_\_ Date: 8/30/01  
 Art Unit: 1624 Phone Number 301-5814 Serial Number: 09/525,428  
 Mail Box and Bldg/Room Location: 4C 01 Results Format Preferred (circle): PAPER DISK E-MAIL

49121  
 If more than one search is submitted, please prioritize searches in order of need.  
 \*\*\*\*\*

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

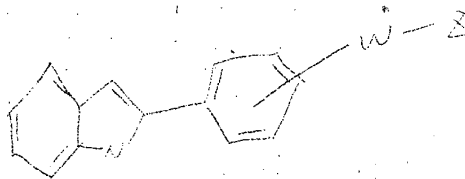
Title of Invention: \_\_\_\_\_

Inventors (please provide full names): \_\_\_\_\_

Breitenbacher J GChai, Wanying

Earliest Priority Filing Date: \_\_\_\_\_

\*For Sequence Searches Only\* Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.

Bomb please

W: O, R<sub>9</sub>, O R<sub>9</sub>, N-R<sub>10</sub>, -C(=O)-R<sub>9</sub>, O-C(=O)-R<sub>9</sub>  
 Z: hy, NR<sub>10</sub>

POINT OF CONTACT:  
 BARB O'BRYEN  
 TECH. INFORMATION SPECIALIST  
 STIC CM1 12C14 308-4291

## STAFF USE ONLY

Searcher: 1624  
 Searcher Phone #: \_\_\_\_\_  
 Searcher Location: \_\_\_\_\_  
 Date Searcher Picked Up: \_\_\_\_\_  
 Date Completed: 9-12-01  
 Searcher Prep & Review Time: 40  
 Clerical Prep Time: \_\_\_\_\_  
 Online Time: 51

## Type of Search

NA Sequence (#) \_\_\_\_\_  
 AA Sequence (#) \_\_\_\_\_  
 Structure (#) 3  
 Bibliographic \_\_\_\_\_  
 Litigation \_\_\_\_\_  
 Fulltext \_\_\_\_\_  
 Patent Family \_\_\_\_\_  
 Other \_\_\_\_\_

## Vendors and cost where applicable

STN 400  
 Dialog \_\_\_\_\_  
 Questel/Orbit \_\_\_\_\_  
 Dr.Link \_\_\_\_\_  
 Lexis/Nexis \_\_\_\_\_  
 Sequence Systems \_\_\_\_\_  
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 Other (specify) \_\_\_\_\_

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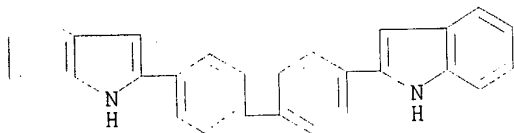
File

Liu

09/820436

Page 83

ANSWER 35 OF 41 CAPLUS COPYRIGHT 2001 ACS  
 ACCESSION NUMBER: 1968:114411 CAPLUS  
 DOCUMENT NUMBER: 68:114411  
 TITLE: Further heterocyclic analogs of polyaryls  
 INVENTOR(S): Buu-Hoi, N. P.; Delcey, Martine; Jacquignon, Pierre;  
 Perin, Francois  
 CORPORATE SOURCE: C.N.R.S., Inst. Chim. Subst. Natur., Gif-sur-Yvette,  
 Fr.  
 SOURCE: J. Heterocycl. Chem. (1968), 5(2), 259-62  
 CODEN: JHTCAD  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI For diagram(s), see printed CA Issue.  
 AB A series (e.g., I-III) of indoles, indolizines, imidazo[1,2-a]pyridines,  
 and quinolines, all of them heterocyclic analogs of polyaryls, were prepd.  
 from diacetyl derivs. of aromatic hydrocarbons.  
 IT 18121-71-6P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of)  
 RN 18121-71-6 CAPLUS  
 CN Indole, 2,2'-(4,4'-biphenylene)di- (8CI) (CA INDEX NAME)



X22 ANSWER 36 OF 41 CAPLUS COPYRIGHT 2001 ACS  
 ACCESSION NUMBER: 1969:47296 CAPLUS  
 DOCUMENT NUMBER: 70:47296  
 TITLE: 2,3-Bis(p-hydroxyphenyl)indoles  
 INVENTOR(S): Szmuszkowicz, Jacob  
 PATENT ASSIGNEE(S): Upjohn Co.  
 SOURCE: Fr., 14 pp.  
 CODEN: FRXXAK  
 DOCUMENT TYPE: Patent  
 LANGUAGE: French  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 1505197		19671208		19651220

PRIORITY APPLN. INFO.: US  
 GI For diagram(s), see printed CA Issue.  
 AB Indoles (I, R1 = H or an .omega.-(dialkylamino)alkyl group) are prepd.  
 from phenylhydrazines and p-ROC6H4COCH2C6H4OR-p (R = an alkyl group), in  
 the presence of acid, e.g., HOAc. Thus, a mixt. of 53 g. Ph-NHNH2, 125 g.  
 p-MeOC6H4COCH2C6H4OMe-p, 4.3 ml. HOAc, and 530 ml. C6H6 is refluxed 3 hrs.  
 and evapd. to dryness, 960 ml. 3N HCl (EtOH) added, and the mixt. refluxed  
 1.25 hrs. and worked up to give 60.4 g. 2,3-bis(p-methoxyphenyl)indole  
 (II), m. 151-2.degree.. Similarly prepd. are the following I (R, R1, R2,  
 R3, R4, R5, and m.p. given): H, H, H, OMe, H, H, -; H, Me, H, H, H, Me,  
 124-5.degree.; H, Me, H, F, H, H, 129-30.degree.; H, Me, H, H, H, F,  
 159-9.5.degree.; Me, Me, H, H, H, H, 127-9.5.degree.. The following I are  
 prepd. according to known methods (R1 = Me, R2 = R3 = R4 = R5 = H) (R and

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*File*

L22 ANSWER 34 OF 41 CAPLUS COPYRIGHT 2001 ACS  
 ACCESSION NUMBER: 1968:477112 CAPLUS  
 DOCUMENT NUMBER: 69:77112  
 TITLE: 2-Aryl-5,6-dimethoxyindoles

Searched by Barb O'Bryen, STIC 308-4291

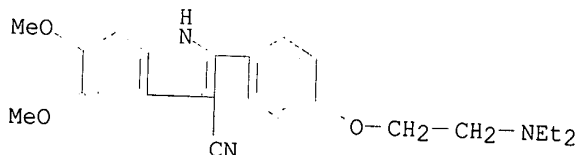
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INVENTOR(S): Suh, John T.  
 PATENT ASSIGNEE(S): McNeil Laboratories, Inc.  
 SOURCE: U.S., 5 pp.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3370063	A	19680220	US 1964-401712	19641005

GI For diagram(s), see printed CA Issue.  
 AB Compds. of the general formula I are converted to compds. of the general formula II; also prepd. are compds. of the general formula III (Ar and Ar1 = .beta.-styryl or 2-indolyl groups). A mixt. of 6.59 g. 4,5,2-(MeO)2(O2N)C6H2CH2CN, 4.1 g. 4-pyridinecarboxaldehyde, 250 ml. alc., and 3.13 ml. piperidine is refluxed 2.5 hrs., cooled, and kept 2 days to give .alpha.-(4,5-dimethoxy-2-nitrophenyl)-.beta.-(4-pyridyl)acrylonitrile (IV), m. 201.degree.. Similarly prepd. are the following I (X = NO2) (Ar and m.p. given): 3-pyridyl, 204.degree.; p-Et2C6H4, 123-4.degree.; p-Me2NC6H4, 181.degree.; 2-pyridyl, 187-9.degree.; p-Et2NCH2CH2OC6H4, 105.degree.; 2-pyrrolyl, 193-4.degree.; 1-methyl-2-pyrrolyl, 182-3.degree.; 2-thienyl, 187-8.degree.; 2-furyl, 181-2.degree.; cyclohexyl, 161.degree.; p-NCC6H4, 214-15.degree.; p-ClC6H4, 176.5-7.degree.; p-MeOC6H4, 200-1.degree.. I (X = NH2, Ar = 3-pyridyl), m. 130.degree., is prepd. by hydrogenation. Also prepd. are (m.p. given): III [Ar = H, Ar1 = 4,5,2-(MeO)2(O2N)C6H2C(CN):CH], 216-17.degree.; III [Ar1 = H, Ar = 4,5,2-(MeO)2(O2N)C6H2C(CN):CH], 206-7.degree.; I (X = NO2, Ar = 1-methyl-1,2,3,6-tetrahydro-4-pyridyl), 136.degree.. A mixt. of 6 g. IV, 80 ml. HOAc, and 3.38 g. powdered Fe is heated to give 3-cyano-5,6-dimethoxy-2-(4-pyridyl)indole, m. >310.degree.; also prepd. is III (Ar = H, Ar1 = 3-cyano-5,6-dimethoxy-2-indolyl), m. 282-3.degree.. Similarly prepd. are the following II (R = H, R1 = CN) (Ar and m.p. given): 3-pyridyl, 238-9.degree.; p-Et2NC6H4, -; p-Me2NC6H4, 265-6.degree.; p-Et2NCH2CH2OC6H4, 165.degree.; 2-thienyl, 209-10.degree.; 2-furyl, 180-1.degree.; cyclohexyl, 137-9.degree.; p-NCC6H4, 283.degree.; p-ClC6H4, 284-5.degree.; Ph, 254-5.degree.; p-MeOC6H4, 247-8.degree.; and III (Ar = 3-cyano-5,6-dimethoxy-2-indolyl, Ar1 = H), m.p. 300-1.degree.. Also prepd., by known methods, are the following II (R, Ar, R1, and m.p. given): Ac, 4-piperidyl, AcNHCH2, 157-8.degree.; H, p-Et2NC6H4, AcNHCH2, 194.degree.; H, p-Me2NC6H4, AcNHC6H4, 240-1.degree.; Ac, p-ClC6H4, CN, 266-7.degree.; CH2CH2CN, p-ClC6H4, CN, 262-4.degree.; AcNH(CH2)3, p-ClC6H4, AcNHCH2, 224-5.degree.; H, p-HO2CC6H4, CN, >340.degree.. UV data for the I and II are given.

IT 1969-79-5P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of)  
 RN 1969-79-5 CAPLUS  
 CN Indole-3-carbonitrile, 2-[p-[2-(diethylamino)ethoxy]phenyl]-5,6-dimethoxy-  
 (7CI, 8CI) (CA INDEX NAME)



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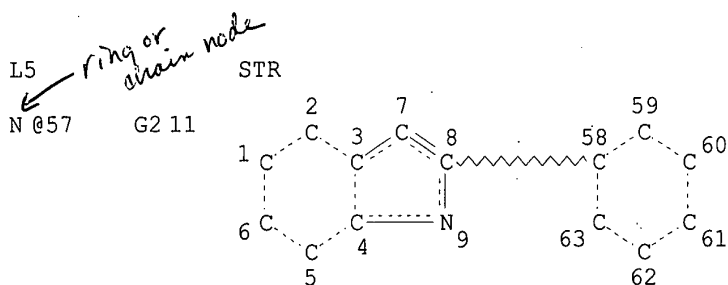
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STRUCTURE FILE UPDATES: 11 SEP 2001 HIGHEST RN 356031-45-3  
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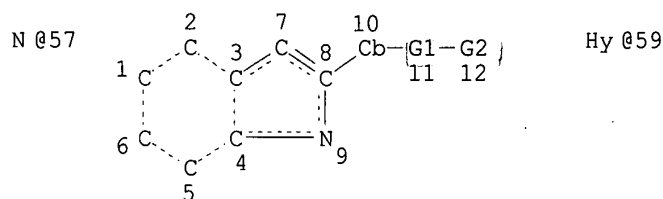
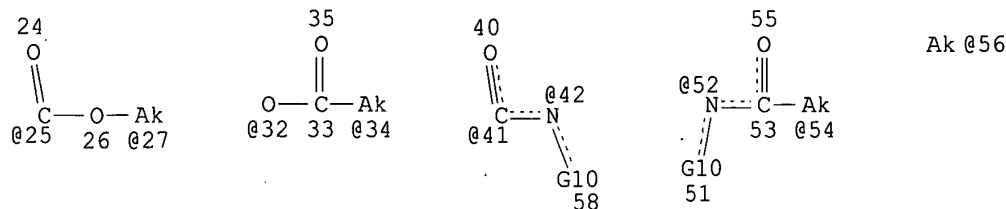
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DEFAULT MLEVEL IS ATOM  
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
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NUMBER OF NODES IS 17

STEREO ATTRIBUTES: NONE  
L7 11913 SEA FILE=REGISTRY SSS FUL L5  
L15 STR

*full file search  
done on this  
structure*

Ak @13            O— Ak            O— Cy            N— Ak            N— Ph            N— Hy  
                 @14 @15            @16 @17            @18 19            @20 21            @22 23



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2/41-10 42-12/52-10 54-12

VAR G2=57/59

VAR G10=H/56/PH/HY

NODE ATTRIBUTES:

NSPEC IS RC

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CONNECT    IS E2      RC AT 13
CONNECT    IS E2      RC AT 15
CONNECT    IS E1      RC AT 19
CONNECT    IS E2      RC AT 27
CONNECT    IS E2      RC AT 34
CONNECT    IS E2      RC AT 54
CONNECT    IS E1      RC AT 56
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GGCAT      IS MCY     UNS AT 10
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ECOUNT     IS M1 N    AT 59 - he

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RSPEC I

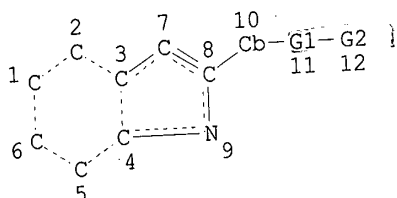
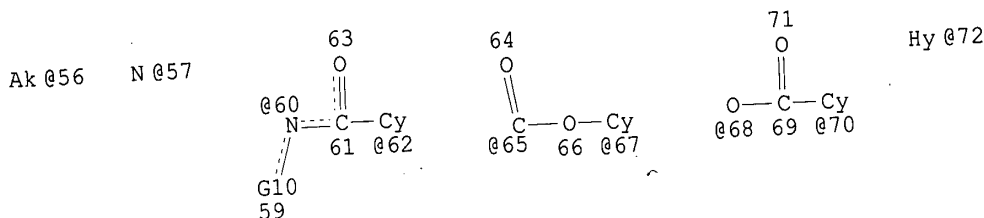
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STEREO ATTRIBUTES: NONE

L16 STR

subset search  
done looking for this  
structure or structure on  
the following page

terocycle at  
59 has at least  
1 nitrogen



VAR G1=60-10 62-12/65-10 67-12/68-10 70-12

VAR G2=72/57

VAR G10=H/56/PH/HY

NODE ATTRIBUTES:

NSPEC IS RC AT 57  
CONNECT IS E1 RC AT 56  
DEFAULT MLEVEL IS ATOM  
GGCAT IS MCY UNS AT 10  
DEFAULT ECLEVEL IS LIMITED  
ECOUNT IS E6 C AT 10  
ECOUNT IS M1 N AT 72 - heterocycle at 72 has at least 1 nitrogen

GRAPH ATTRIBUTES:

RSPEC I

NUMBER OF NODES IS 28

STEREO ATTRIBUTES: NONE

L18 164 SEA FILE=REGISTRY SUB=L7 SSS FUL (L15 OR L16)

164 ANSWERS

100.0% PROCESSED 11336 ITERATIONS  
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FILE COVERS 1947 - 12 Sep 2001 VOL 135 ISS 12  
FILE LAST UPDATED: 11 Sep 2001 (20010911/ED)

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L15         STR
L16         STR
L18         164 SEA FILE=REGISTRY SUB=L7 SSS FUL (L15 OR L16)
L19         38 SEA FILE=CAPLUS ABB=ON L18
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FILE COVERS 1971 TO PATENT PUBLICATION DATE: 11 Sep 2001 (20010911/PD)  
FILE LAST UPDATED: 11 Sep 2001 (20010911/ED)  
HIGHEST GRANTED PATENT NUMBER: US6289514  
HIGHEST APPLICATION PUBLICATION NUMBER: US2001016957  
CA INDEXING IS CURRENT THROUGH 11 Sep 2001 (20010911/UPCA)  
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 11 Sep 2001 (20010911/PD)  
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Jun 2001  
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Jun 2001

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>>> (IPC) Manuals, editions 1-6, in the /IC1, /IC2, /IC3, /IC4, <<<
>>> /IC5, and /IC (/IC6) fields, respectively. The thesauri in <<<
>>> the /IC5 and /IC fields include the corresponding catchword <<<
>>> terms from the IPC subject headings and subheadings. <<<
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L15 STR  
L16 STR  
L18 164 SEA FILE=REGISTRY SUB=L7 SSS FUL (L15 OR L16)  
L20 5 SEA FILE=USPATFULL ABB=ON L18

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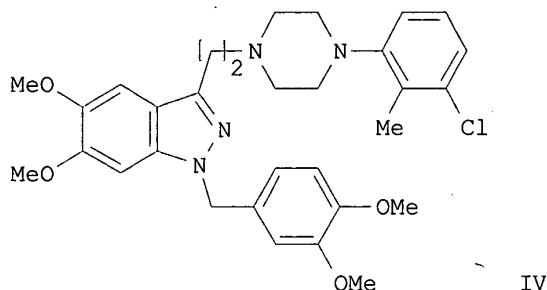
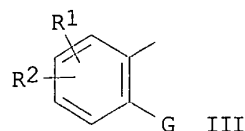
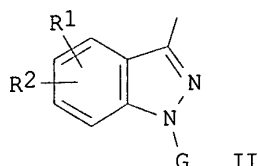
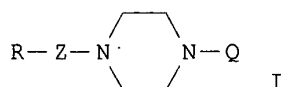
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PROCESSING COMPLETED FOR L20  
L22 41 DUP REM L19 L20 (2 DUPLICATES REMOVED)

ANSWERS '1-38' FROM FILE CAPLUS  
ANSWERS '39-41' FROM FILE USPATFULL

=> d ibib abs hitstr 122 1-41; fil cao; d que nos 121

L22 ANSWER 1 OF 41 CAPLUS COPYRIGHT 2001 ACS DUPLICATE 1  
ACCESSION NUMBER: 1997:701490 CAPLUS  
DOCUMENT NUMBER: 128:22921  
TITLE: Preparation of piperazines having calmodulin  
inhibitory activity  
INVENTOR(S): Yamamoto, Kenjiro; Hasegawa, Atsushi; Kubota, Hideki;  
Andodeceased, Masahiro; Yamaguchi, Hitoshi  
PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan  
SOURCE: U.S., 44 pp. Cont.-in-part of U.S. Ser. No. 242,842,  
abandoned.  
CODEN: USXXAM  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5681954	A	19971028	US 1995-416311	19950404
PRIORITY APPLN. INFO.:			JP 1993-11277	19930514
			US 1994-242842	19940516
OTHER SOURCE(S):		MARPAT 128:22921		
GI				



AB The title compds. [I; Q = C1-6 alkyl, C1-6 alkoxy, CF<sub>3</sub>, etc.; R = II or III (wherein G = C1-6 alkyl, (un)substituted Ph, etc.; R<sub>1</sub>, R<sub>2</sub> = C1-6 alkyl, C1-6 alkoxy, CF<sub>3</sub>, etc.); Z = C1-3 alkylene, C2-4 alkenylene, C(O), etc.], useful as a treating agent for diseases in the circulatory organs or in the cerebral region which are caused by excessive activation of calmodulin, were prepd. Thus, treatment of 1-([5,6-dimethoxy-1-(3,4-dimethoxybenzyl)-1H-indazol-3-yl]acetyl)-4-(3-chloro-2-methylphenyl)piperazine with BH<sub>3</sub>\*THF in THF afforded the title compd. IV which showed 19.2% increase of survival time on nitrogen-induced hypoxia model in mouse, and IC<sub>50</sub> of 3.1 against calmodulin-dependent PDE.

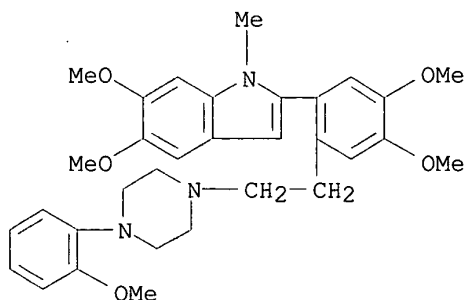
IT 162495-33-2P 162496-27-7P 162496-39-1P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of piperazines having calmodulin inhibitory activity)

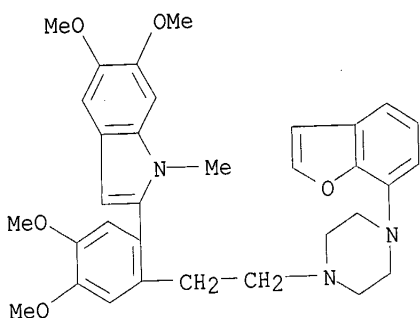
RN 162495-33-2 CAPLUS

CN 1H-Indole, 2-[4,5-dimethoxy-2-[2-[4-(2-methoxyphenyl)-1-piperazinyl]ethyl]phenyl]-5,6-dimethoxy-1-methyl- (9CI) (CA INDEX NAME)

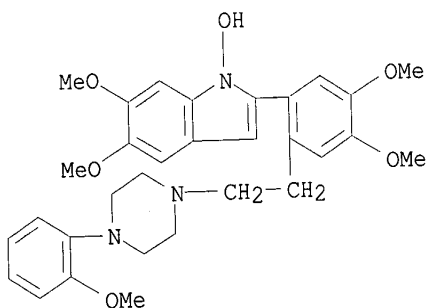


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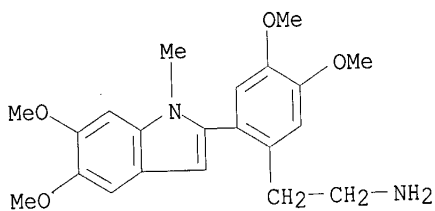
CN 1H-Indole, 2-[2-[2-[4-(7-benzofuranyl)-1-piperazinyl]ethyl]-4,5-dimethoxyphenyl]-5,6-dimethoxy-1-methyl- (9CI) (CA INDEX NAME)



RN 162496-39-1 CAPLUS  
 CN 1H-Indole, 2-[4,5-dimethoxy-2-[2-[4-(2-methoxyphenyl)-1-piperazinyl]ethyl]phenyl]-1-hydroxy-5,6-dimethoxy- (9CI) (CA INDEX NAME)

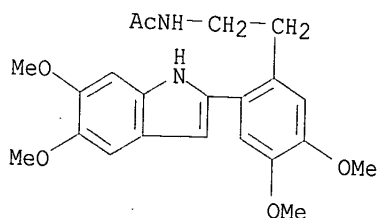


IT 162496-51-7P 198981-35-0P 198981-36-1P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of piperazines having calmodulin inhibitory activity)  
 RN 162496-51-7 CAPLUS  
 CN Benzeneethanamine, 2-(5,6-dimethoxy-1-methyl-1H-indol-2-yl)-4,5-dimethoxy-, monohydrochloride (9CI) (CA INDEX NAME)



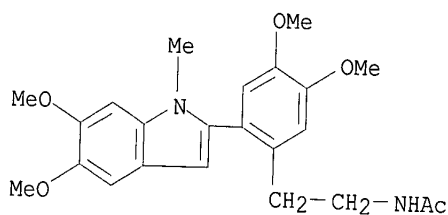
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RN 198981-35-0 CAPLUS  
 CN Acetamide, N-[2-[2-(5,6-dimethoxy-1H-indol-2-yl)-4,5-dimethoxyphenyl]ethyl]- (9CI) (CA INDEX NAME)



RN 198981-36-1 CAPLUS

CN Acetamide, N-[2-[2-(5,6-dimethoxy-1-methyl-1H-indol-2-yl)-4,5-dimethoxyphenyl]ethyl]- (9CI) (CA INDEX NAME)



L22 ANSWER 2 OF 41 CAPLUS COPYRIGHT 2001 ACS

DUPLICATE 2

ACCESSION NUMBER:

1995:403384 CAPLUS

DOCUMENT NUMBER:

122:213957

TITLE:

Multicyclic tertiary amine polyaromatic squalene synthase inhibitors

INVENTOR(S):

Neuenschwander, Kent; Amin, Dilip; Scotese, Anthony C.; Morris, Robert L.

PATENT ASSIGNEE(S):

Rhone-Poulenc Rorer Pharmaceuticals Inc., USA

SOURCE:

U.S., 23 pp. Cont.-in-part of U.S. Ser. No. 667,686, abandoned.

CODEN: USXXAM

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5385912	A	19950131	US 1992-959898	19921013
CA 2105655	AA	19920909	CA 1992-2105655	19920303
WO 9531458	A1	19951123	WO 1994-US5451	19940512
W: AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9473943	A1	19951205	AU 1994-73943	19940512
US 5494918	A	19960227	US 1994-320075	19941007
PRIORITY APPLN. INFO.:				
US 1991-667686 19910308				
US 1992-959898 19921013				
WO 1994-US5451 19940512				
OTHER SOURCE(S): MARPAT 122:213957				
GI For diagram(s), see printed CA Issue.				
AB This invention relates to polycyclic compds. contg. two mono- and/or				



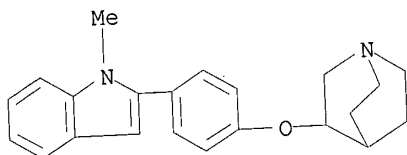
bicyclic rings and a basic tertiary amino group capable of forming an ammonium ion at biol. pH and which reduces levels of serum cholesterol in the body without significantly reducing mevalonic metabolite synthesis (no data). This invention relates also to pharmacol. compns. and method of treatment for lowering serum cholesterol levels using the compds. of this invention. The compds. of this invention are described by the formula I where ArI is phenylene or naphthylene, ArII is Ph or naphthyl and A is 1-azabicyclo[2.2.2]octan-3-yl.

IT **161888-23-9P**

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(multicyclic tertiary amine polyarom. squalene synthase inhibitors)

RN 161888-23-9 CAPLUS

CN 1-Azabicyclo[2.2.2]octane, 3-[4-(1-methyl-1H-indol-2-yl)phenoxy]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L22 ANSWER 3 OF 41 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 2001:565007 CAPLUS

DOCUMENT NUMBER: 135:152716

TITLE:

Preparation of biaryls for pharmaceutical use as  
antiviral and antibacterial agents  
Drysdale, Martin James; Starkey, Ian David; Swarbrick,  
Terry Mark; Potter, Andrew John; Bower, Justin  
Fairfield

PATENT ASSIGNEE(S): Ribotargets Limited, UK  
SOURCE: PCT Int. Appl., 107 pp.  
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

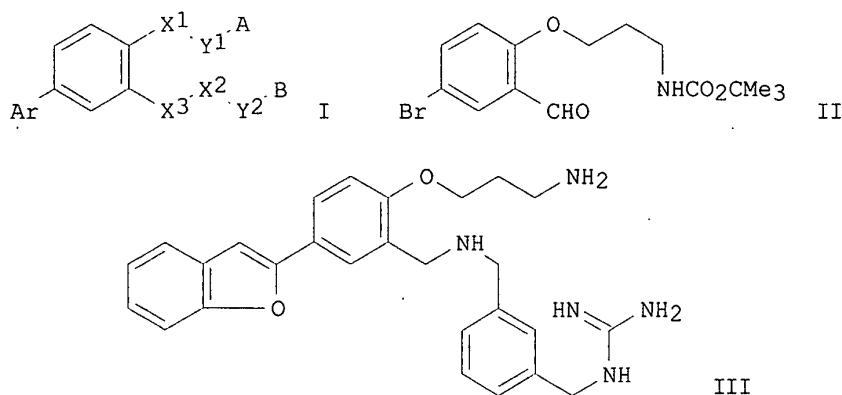
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001055111	A1	20010802	WO 2001-GB362	20010127
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
PRIORITY APPLN. INFO.:			GB 2000-1926	A 20000127

US 2000-178433 P 20000127

OTHER SOURCE(S):  
GI

MARPAT 135:152716



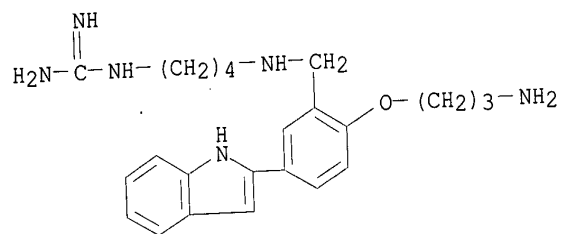
AB Biaryls and hetero-biaryls, such as I [Ar = aryl, heteroaryl; X<sup>1</sup> = O, S, SO, SO<sub>2</sub>, NR; X<sup>2</sup> = O, S, SO, SO<sub>2</sub>, NR, CR; X<sup>3</sup> = CR; Y<sup>1</sup>, Y<sup>2</sup> = alkylene, arylene, aralkylene, CO-alkylene, etc.; A, B = NR, amide, amidine, thioamide, oxime, sulfonamide, guanidine, etc.; R = H, alkyl, aryl, etc.], were prepd. for therapeutic use in the treatment of bacterial and viral infections. Thus, aldehyde II was coupled with 2-benzofuranylborynic acid in DME at 80.degree. for 16 h using 2N Na<sub>3</sub>CO<sub>3</sub> and catalyzed PdCl<sub>2</sub>(PPh<sub>3</sub>)<sub>2</sub>. The resulting biaryl aldehyde was then reacted with H<sub>2</sub>NCH<sub>2</sub>-3-C<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>NHC(:NCO<sub>2</sub>CMe<sub>3</sub>)NHC(=O)CMe<sub>3</sub> in DME using sodium triacetoxymethylborohydride and the condensation product subsequently N-deprotected to give hetero-biaryl III. The prepd. biaryls were assayed for antibacterial and antiviral activity.

IT 352357-13-2P 352358-38-4P 352358-39-5P  
352358-40-8P 352358-41-9P 352358-42-0P  
352358-44-2P 352358-46-4P 352358-47-5P  
352358-48-6P 352358-50-0P 352358-51-1P  
352358-52-2P 352358-53-3P 352358-55-5P  
352358-56-6P 352358-57-7P 352358-58-8P  
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352358-63-5P

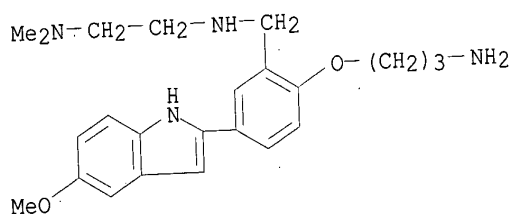
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of biaryls for pharmaceutical use as antiviral and antibacterial agents)

RN 352357-13-2 CAPLUS

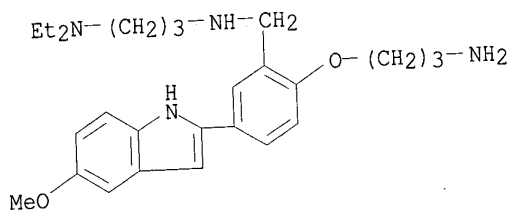
CN Guanidine, [4-[[[2-(3-aminopropoxy)-5-(1H-indol-2-yl)phenyl]methyl]amino]butyl]- (9CI) (CA INDEX NAME)



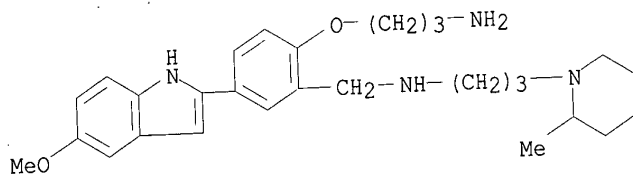
RN 352358-38-4 CAPLUS  
 CN 1,2-Ethanediamine, N'-[[2-(3-aminopropoxy)-5-(5-methoxy-1H-indol-2-yl)phenyl]methyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)



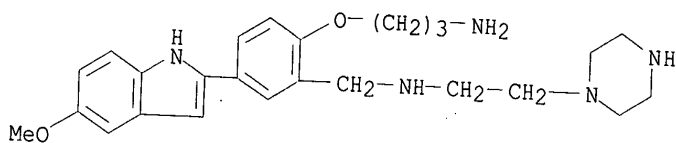
RN 352358-39-5 CAPLUS  
 CN 1,3-Propanediamine, N'-[[2-(3-aminopropoxy)-5-(5-methoxy-1H-indol-2-yl)phenyl]methyl]-N,N-diethyl- (9CI) (CA INDEX NAME)



RN 352358-40-8 CAPLUS  
 CN 1-Piperidinepropanamine, N-[[2-(3-aminopropoxy)-5-(5-methoxy-1H-indol-2-yl)phenyl]methyl]-2-methyl- (9CI) (CA INDEX NAME)

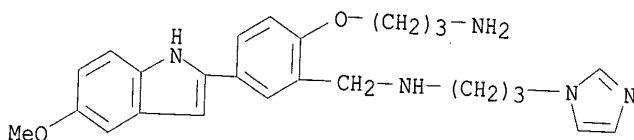


RN 352358-41-9 CAPLUS  
 CN 1-Piperazineethanamine, N-[[2-(3-aminopropoxy)-5-(5-methoxy-1H-indol-2-yl)phenyl]methyl]- (9CI) (CA INDEX NAME)



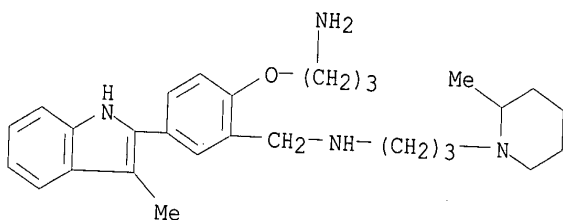
RN 352358-42-0 CAPLUS

CN 1H-Imidazole-1-propanamine, N-[[2-(3-aminopropoxy)-5-(5-methoxy-1H-indol-2-yl)phenyl]methyl]- (9CI) (CA INDEX NAME)



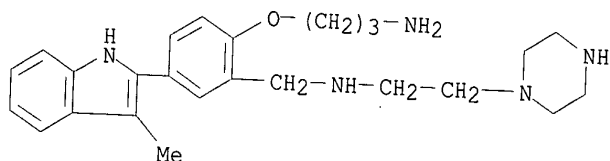
RN 352358-44-2 CAPLUS

CN 1-Piperidinepropanamine, N-[[2-(3-aminopropoxy)-5-(3-methyl-1H-indol-2-yl)phenyl]methyl]-2-methyl- (9CI) (CA INDEX NAME)



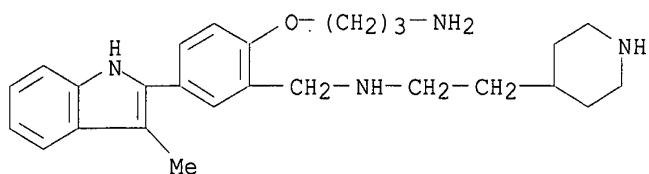
RN 352358-46-4 CAPLUS

CN 1-Piperazineethanamine, N-[[2-(3-aminopropoxy)-5-(3-methyl-1H-indol-2-yl)phenyl]methyl]- (9CI) (CA INDEX NAME)



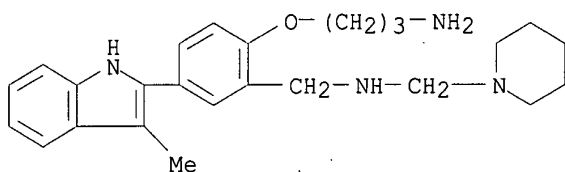
RN 352358-47-5 CAPLUS

CN 4-Piperidineethanamine, N-[[2-(3-aminopropoxy)-5-(3-methyl-1H-indol-2-yl)phenyl]methyl]- (9CI) (CA INDEX NAME)



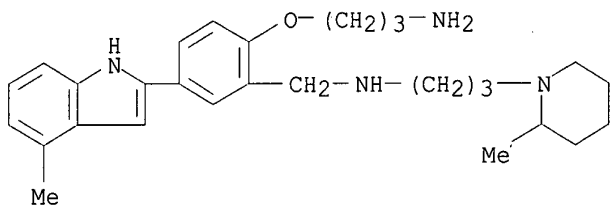
RN 352358-48-6 CAPLUS

CN 1-Piperidinemethanamine, N-[[2-(3-aminopropoxy)-5-(3-methyl-1H-indol-2-yl)phenyl]methyl]- (9CI) (CA INDEX NAME)



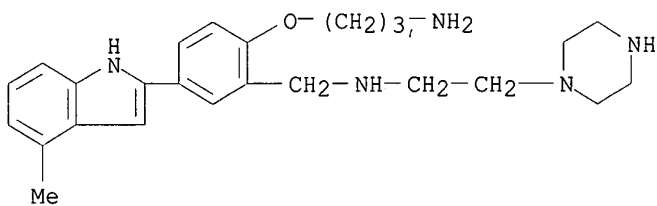
RN 352358-50-0 CAPLUS

CN 1-Piperidinepropanamine, N-[[2-(3-aminopropoxy)-5-(4-methyl-1H-indol-2-yl)phenyl]methyl]-2-methyl- (9CI) (CA INDEX NAME)



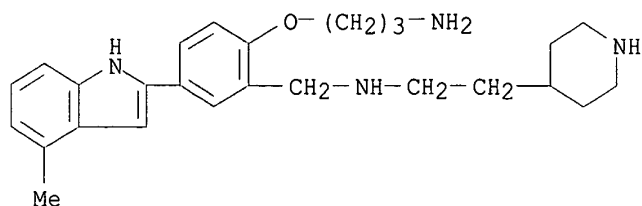
RN 352358-51-1 CAPLUS

CN 1-Piperazineethanamine, N-[[2-(3-aminopropoxy)-5-(4-methyl-1H-indol-2-yl)phenyl]methyl]- (9CI) (CA INDEX NAME)



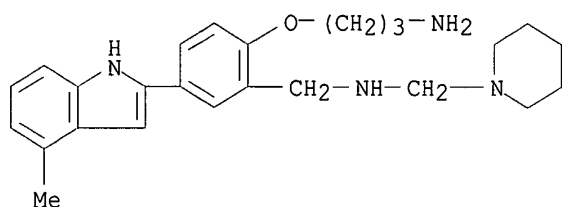
RN 352358-52-2 CAPLUS

CN 4-Piperidineethanamine, N-[[2-(3-aminopropoxy)-5-(4-methyl-1H-indol-2-yl)phenyl]methyl]- (9CI) (CA INDEX NAME)



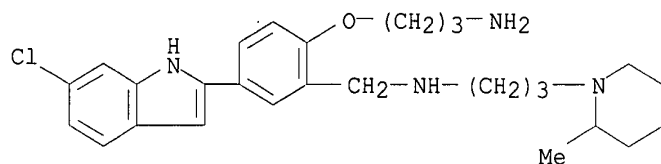
RN 352358-53-3 CAPLUS

CN 1-Piperidinemethanamine, N-[[2-(3-aminopropoxy)-5-(4-methyl-1H-indol-2-yl)phenyl]methyl]- (9CI) (CA INDEX NAME)



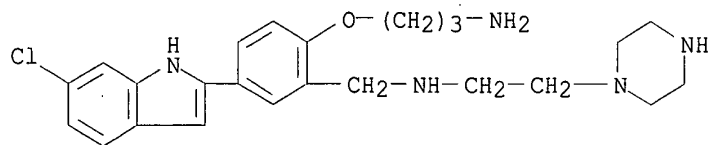
RN 352358-55-5 CAPLUS

CN 1-Piperidinepropanamine, N-[[2-(3-aminopropoxy)-5-(6-chloro-1H-indol-2-yl)phenyl]methyl]- (9CI) (CA INDEX NAME)



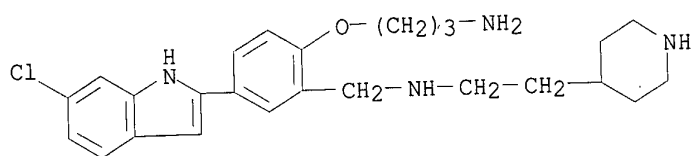
RN 352358-56-6 CAPLUS

CN 1-Piperazineethanamine, N-[[2-(3-aminopropoxy)-5-(6-chloro-1H-indol-2-yl)phenyl]methyl]- (9CI) (CA INDEX NAME)



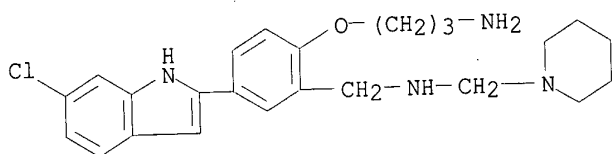
RN 352358-57-7 CAPLUS

CN 4-Piperidineethanamine, N-[[2-(3-aminopropoxy)-5-(6-chloro-1H-indol-2-yl)phenyl]methyl]- (9CI) (CA INDEX NAME)



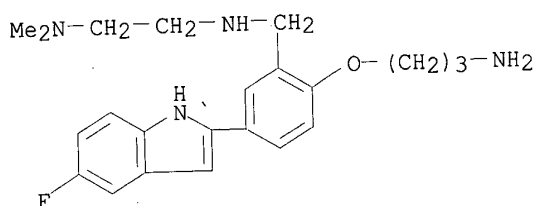
RN 352358-58-8 CAPLUS

CN 1-Piperidinemethanamine, N-[[2-(3-aminopropoxy)-5-(6-chloro-1H-indol-2-yl)phenyl]methyl]- (9CI) (CA INDEX NAME)



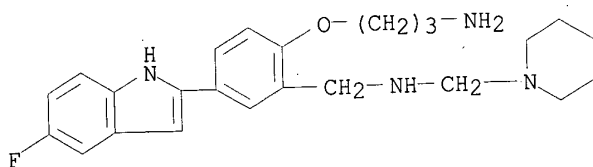
RN 352358-60-2 CAPLUS

CN 1,2-Ethanediamine, N'-[[2-(3-aminopropoxy)-5-(5-fluoro-1H-indol-2-yl)phenyl]methyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)



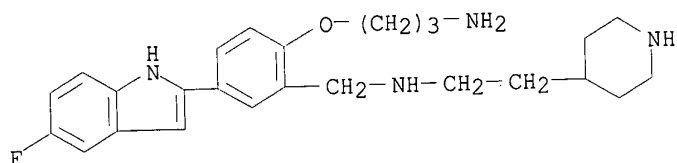
RN 352358-61-3 CAPLUS

CN 1-Piperidinemethanamine, N-[[2-(3-aminopropoxy)-5-(5-fluoro-1H-indol-2-yl)phenyl]methyl]- (9CI) (CA INDEX NAME)

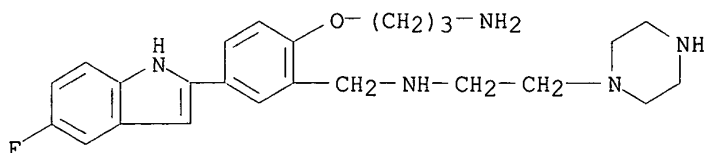


RN 352358-62-4 CAPLUS

CN 4-Piperidineethanamine, N-[[2-(3-aminopropoxy)-5-(5-fluoro-1H-indol-2-yl)phenyl]methyl]- (9CI) (CA INDEX NAME)

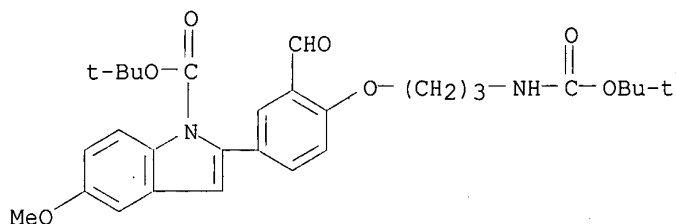


RN 352358-63-5 CAPLUS  
 CN 1-Piperazineethanamine, N-[[2-(3-aminopropoxy)-5-(5-fluoro-1H-indol-2-yl)phenyl]methyl]- (9CI) (CA INDEX NAME)

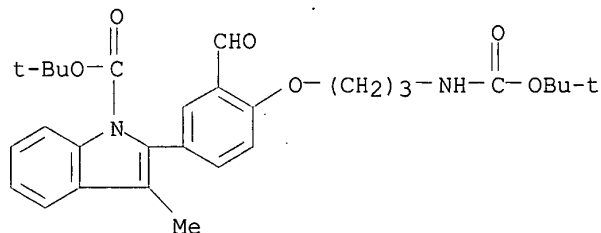


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 352358-54-4P 352358-59-9P 352358-96-4P  
 352358-98-6P 352359-00-3P 352359-01-4P  
 352359-03-6P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of biaryls for pharmaceutical use as antiviral and  
 antibacterial agents)

RN 352358-37-3 CAPLUS  
 CN 1H-Indole-1-carboxylic acid, 2-[4-[3-[[[(1,1-dimethylethoxy)carbonyl]amino]propoxy]-3-formylphenyl]-5-methoxy-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

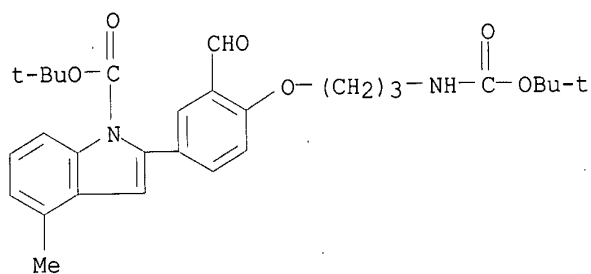


RN 352358-43-1 CAPLUS  
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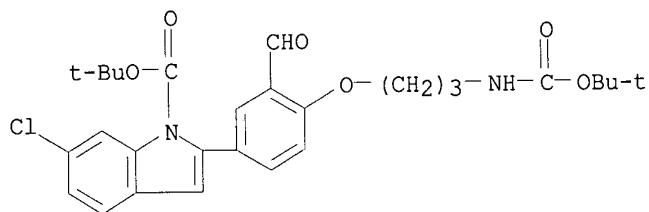
RN 352358-49-7 CAPLUS  
 CN 1H-Indole-1-carboxylic acid, 2-[4-[3-[[[(1,1-dimethylethoxy)carbonyl]amino]propoxy]-3-formylphenyl]-4-methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)





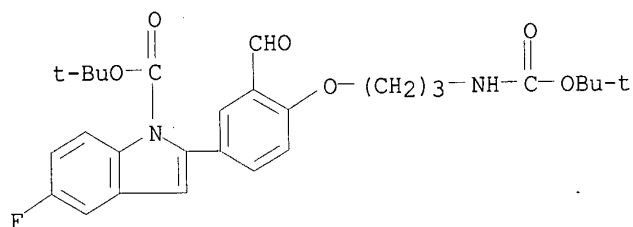
RN 352358-54-4 CAPLUS

CN 1H-Indole-1-carboxylic acid, 6-chloro-2-[4-[3-[[[(1,1-dimethylethoxy)carbonyl]amino]propoxy]-3-formylphenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



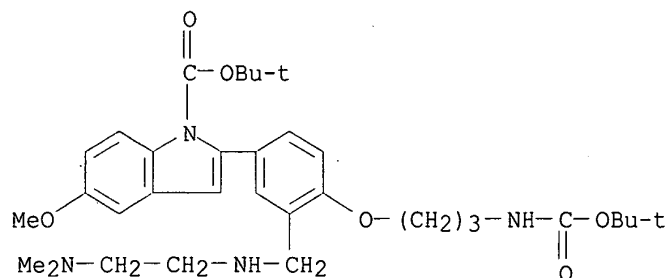
RN 352358-59-9 CAPLUS

CN 1H-Indole-1-carboxylic acid, 2-[4-[3-[[[(1,1-dimethylethoxy)carbonyl]amino]propoxy]-3-formylphenyl]-5-fluoro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



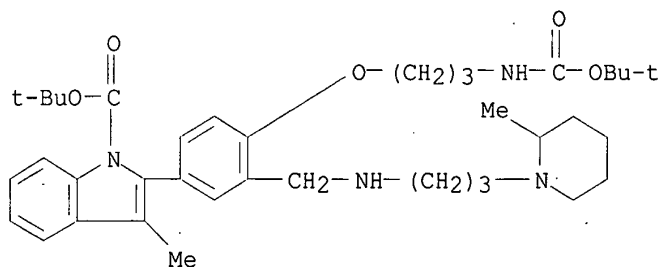
RN 352358-96-4 CAPLUS

CN 1H-Indole-1-carboxylic acid, 2-[3-[[[2-(dimethylamino)ethyl]amino]methyl]-4-[3-[[[(1,1-dimethylethoxy)carbonyl]amino]propoxy]phenyl]-5-methoxy-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



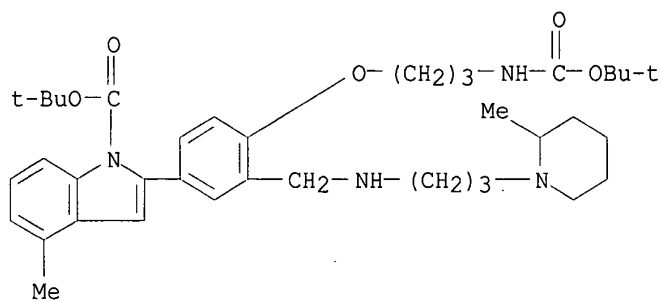
RN 352358-98-6 CAPLUS

CN 1H-Indole-1-carboxylic acid, 2-[4-[3-[[[(1,1-dimethylethoxy)carbonyl]amino]propoxy]-3-[[[3-(2-methyl-1-piperidinyl)propyl]amino]methyl]phenyl]-3-methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 352359-00-3 CAPLUS

CN 1H-Indole-1-carboxylic acid, 2-[4-[3-[[[(1,1-dimethylethoxy)carbonyl]amino]propoxy]-3-[[[3-(2-methyl-1-piperidinyl)propyl]amino]methyl]phenyl]-4-methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

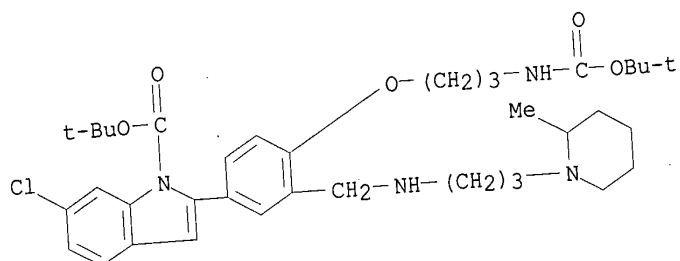


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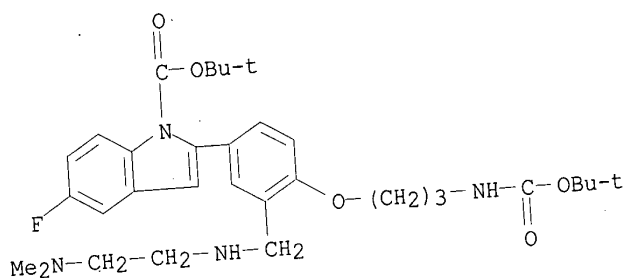
CN 1H-Indole-1-carboxylic acid, 6-chloro-2-[4-[3-[[[(1,1-dimethylethoxy)carbonyl]amino]propoxy]-3-[[[3-(2-methyl-1-piperidinyl)propyl]amino]methyl]phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Liu

09/820436



RN 352359-03-6 CAPLUS  
 CN 1H-Indole-1-carboxylic acid, 2-[3-[[[2-(dimethylamino)ethyl]amino]methyl]-  
 4-[3-[[[(1,1-dimethylethoxy)carbonyl]amino]propoxy]phenyl]-5-fluoro-,  
 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT:  
 REFERENCE(S):

- 15  
 (2) Barron, D; J MED CHEM 1968, V11(6), P1139 CAPLUS  
 (4) Chang; CAPLUS  
 (5) Chang; ZHONGCAOYAO 1981, V12(12), P530 CAPLUS  
 (6) Hoechst Ag; EP 0144892 A 1985 CAPLUS  
 (8) May & Baker Ltd; GB 2086386 A 1982 CAPLUS  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 4 OF 41 CAPLUS COPYRIGHT 2001 ACS  
 2001:31492 CAPLUS  
 134:86164

ACCESSION NUMBER:  
 DOCUMENT NUMBER:  
 TITLE: Preparation of indole derivatives for the treatment of  
 osteoporosis  
 Farina, Carlo; Gagliardi, Stefania; Novella, Pietro A.  
 T.

INVENTOR(S):

PATENT ASSIGNEE(S):  
 SOURCE:

SmithKline Beecham S.p.A., Italy  
 PCT Int. Appl., 48 pp.  
 CODEN: PIXXD2  
 Patent  
 English

DOCUMENT TYPE:

LANGUAGE:

FAMILY ACC. NUM. COUNT: 1

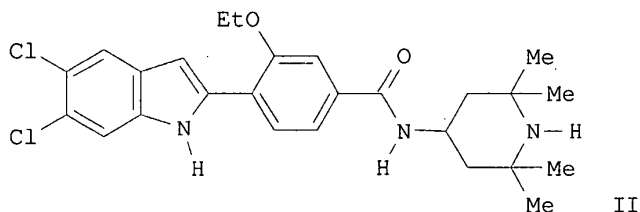
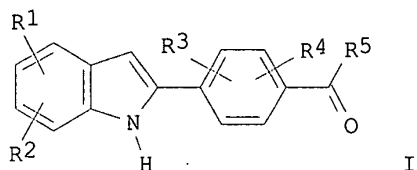
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001002388	A1	20010111	WO 2000-EP5672	20000616
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD,			

Searched by Barb O'Bryen, STIC 308-4291

SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU,  
 ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,  
 DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,  
 CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: GB 1999-14371 A 19990618  
 OTHER SOURCE(S): MARPAT 134:86164  
 GI



AB The title compds. [I; R1, R2 = alkoxy, halo; R3, R4 = H, alkoxy, arylalkoxy, etc.; R5 = NR6R7 (wherein R6, R7 = H, (un)substituted alkyl, heterocyclyl)] which are selective for mammalian osteoclasts, acting to selectively inhibit their bone resorbing activity, and therefore are considered to be particularly useful for the treatment and/or prophylaxis of diseases assocd. with loss of bone mass, such as osteoporosis and related osteopenic diseases, Paget's disease, hyperparathyroidism and related diseases, were prepd. E.g., a multi-step synthesis of the indole II was given. The compds. I are able to inhibit bafilomycin-sensitive ATPase of chicken osteoclast in a range from 50 nM to 2 .mu.M and of human osteoclast in a range from 30 nM to 5 .mu.M. The compds. I are also considered to possess antitumor activity, antiviral activity (for example against Semliki Forest, Vesicular Stomatitis, Newcastle Disease, Influenza A and B, HIV viruses), antiulcer activity (for example the compds. may be useful for the treatment of chronic gastritis and peptic ulcer induced by Helicobacter pylori) immunosuppressant activity, antilipidemic activity, antiatherosclerotic activity and to be useful for the treatment of AIDS and Alzheimer's disease. Furthermore, the compds. I are also considered useful in inhibiting angiogenesis i.e. the formation of new blood vessels which is obsd. in various types of pathol. conditions (angiogenic diseases) such as rheumatoid arthritis, diabetic retinopathy, psoriasis and solid tumors.

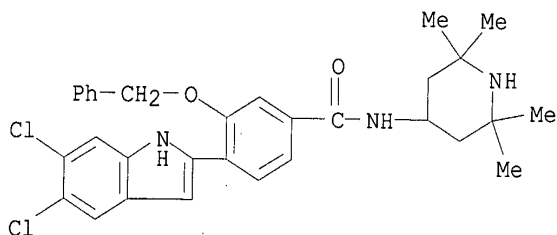
IT 318262-43-0P 318262-44-1P

RL: BAC (Biological activity or effector, except adverse); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of indole derivs. for the treatment of osteoporosis)

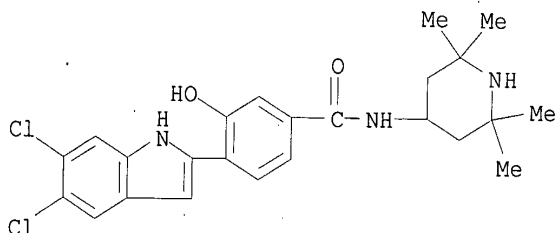
RN 318262-43-0 CAPLUS

CN Benzamide, 4-(5,6-dichloro-1H-indol-2-yl)-3-(phenylmethoxy)-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (9CI) (CA INDEX NAME)



RN 318262-44-1 CAPLUS

CN Benzamide, 4-(5,6-dichloro-1H-indol-2-yl)-3-hydroxy-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (9CI) (CA INDEX NAME)



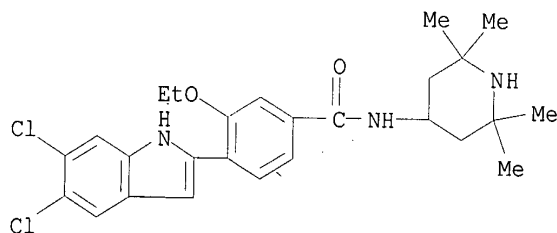
IT 318262-42-9P 318262-45-2P 318262-48-5P  
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318262-52-1P 318262-53-2P 318262-54-3P  
318262-55-4P 318262-56-5P 318262-57-6P  
318262-58-7P 318262-59-8P 318262-60-1P  
318262-61-2P 318262-62-3P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of indole derivs. for the treatment of osteoporosis)

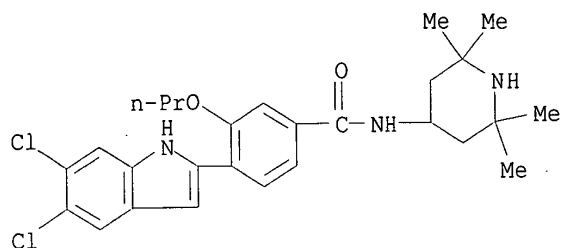
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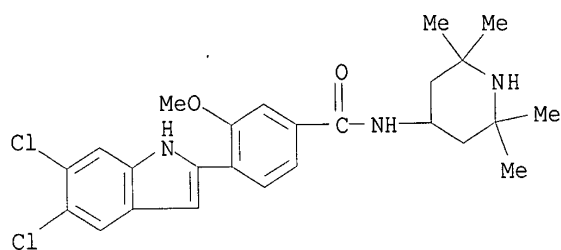


RN 318262-45-2 CAPLUS

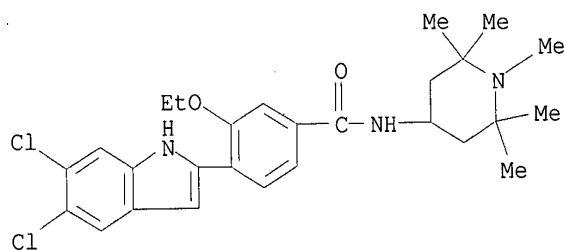
CN Benzamide, 4-(5,6-dichloro-1H-indol-2-yl)-3-propoxy-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (9CI) (CA INDEX NAME)



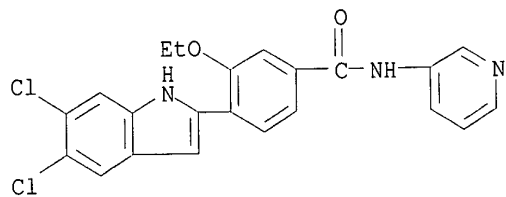
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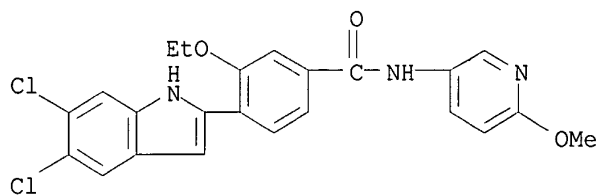
RN 318262-49-6 CAPLUS  
CN Benzamide, 4-(5,6-dichloro-1H-indol-2-yl)-3-ethoxy-N-(1,2,2,6,6-pentamethyl-4-piperidiny)- (9CI) (CA INDEX NAME)



RN 318262-50-9 CAPLUS  
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(CA INDEX NAME)

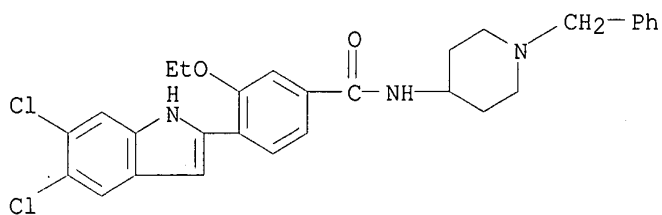


RN 318262-51-0 CAPLUS  
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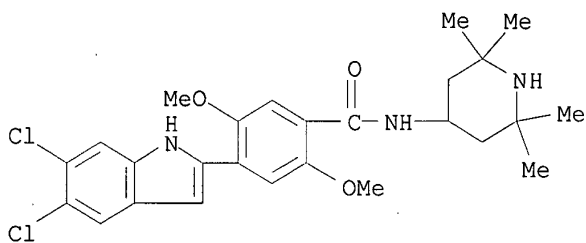
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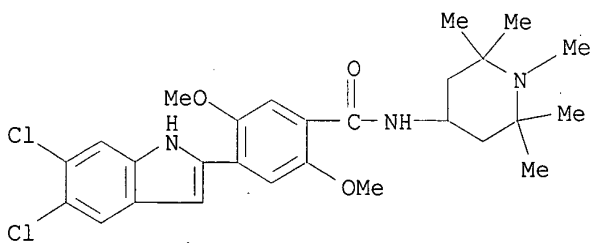
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CN Benzamide, 4-(5,6-dichloro-1H-indol-2-yl)-2,5-dimethoxy-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (9CI) (CA INDEX NAME)



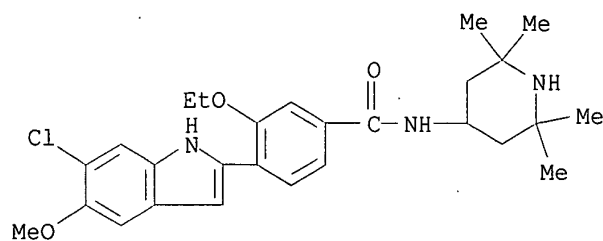
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CN Benzamide, 4-(5,6-dichloro-1H-indol-2-yl)-2,5-dimethoxy-N-(1,2,2,6,6-pentamethyl-4-piperidinyl)- (9CI) (CA INDEX NAME)



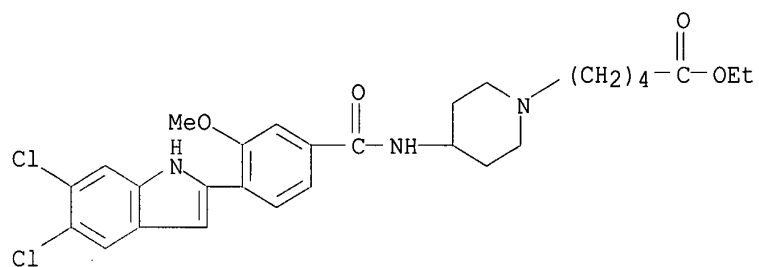
RN 318262-55-4 CAPLUS

CN Benzamide, 4-(6-chloro-5-methoxy-1H-indol-2-yl)-3-ethoxy-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (9CI) (CA INDEX NAME)



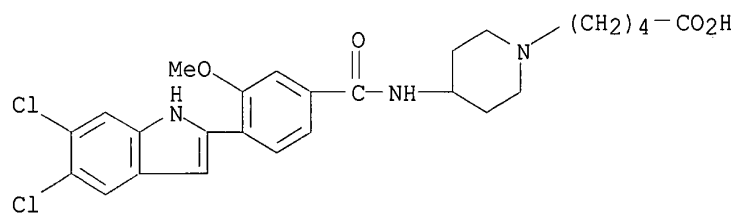
RN 318262-56-5 CAPLUS

CN 1-Piperidinepentanoic acid, 4-[[4-(5,6-dichloro-1H-indol-2-yl)-3-methoxybenzoyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



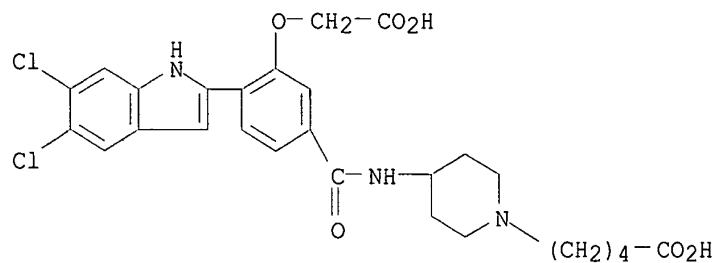
RN 318262-57-6 CAPLUS

CN 1-Piperidinepentanoic acid, 4-[[4-(5,6-dichloro-1H-indol-2-yl)-3-methoxybenzoyl]amino]- (9CI) (CA INDEX NAME)



RN 318262-58-7 CAPLUS

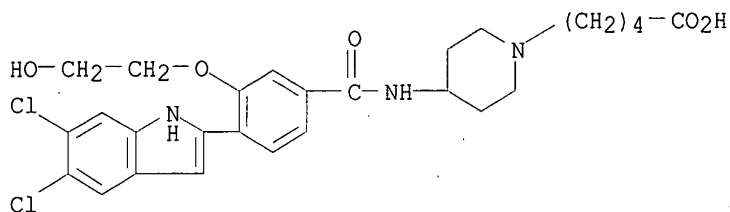
CN 1-Piperidinepentanoic acid, 4-[[3-(carboxymethoxy)-4-(5,6-dichloro-1H-indol-2-yl)benzoyl]amino]- (9CI) (CA INDEX NAME)





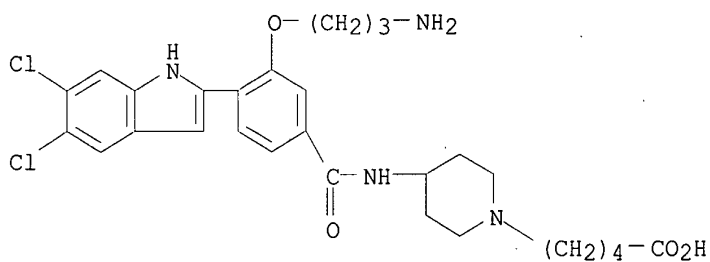
RN 318262-59-8 CAPLUS

CN 1-Piperidinepentanoic acid, 4-[[4-(5,6-dichloro-1H-indol-2-yl)-3-(2-hydroxyethoxy)benzoyl]amino]- (9CI) (CA INDEX NAME)



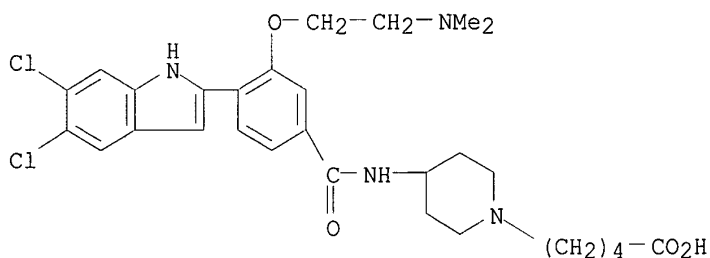
RN 318262-60-1 CAPLUS

CN 1-Piperidinepentanoic acid, 4-[[3-(3-aminopropoxy)-4-(5,6-dichloro-1H-indol-2-yl)benzoyl]amino]- (9CI) (CA INDEX NAME)



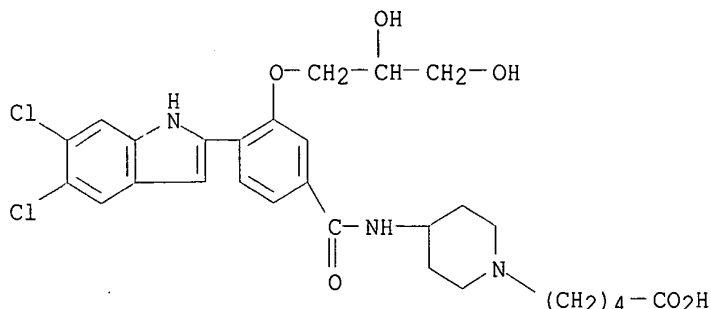
RN 318262-61-2 CAPLUS

CN 1-Piperidinepentanoic acid, 4-[[4-(5,6-dichloro-1H-indol-2-yl)-3-[2-(dimethylamino)ethoxy]benzoyl]amino]- (9CI) (CA INDEX NAME)



RN 318262-62-3 CAPLUS

CN 1-Piperidinepentanoic acid, 4-[[4-(5,6-dichloro-1H-indol-2-yl)-3-(2,3-dihydroxypropoxy)benzoyl]amino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3

REFERENCE(S): (1) Smithkline Beecham Laboratories Pharmaceutiques;  
WO 9933822 A 1999 CAPLUS  
(2) Smithkline Beecham S P A; WO 9621644 A 1996 CAPLUS  
(3) Smithkline Beecham S P A; WO 9801443 A 1998 CAPLUS

L22 ANSWER 5 OF 41 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 2000:608717 CAPLUS

DOCUMENT NUMBER: 133:207678

TITLE: Preparation of sulfonamide derivs. as amyloid .beta.  
production inhibitors useful in treating or preventing  
diseases related to A.beta.

INVENTOR(S): Smith, David W.; Munoz, Benito; Srinivasan, Kumar;  
Bergstrom, Carl P.; Chaturvedula, Prasad V.;  
Deshpande, Milind S.; Keavy, Daniel J.; Lau, Wai Yu;  
Parker, Michael F.; Sloan, Charles P.; Wallace, Owen  
B.; Wang, Henry Hui

PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Bristol-Myers Squibb Company  
SOURCE: PCT Int. Appl., 377 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

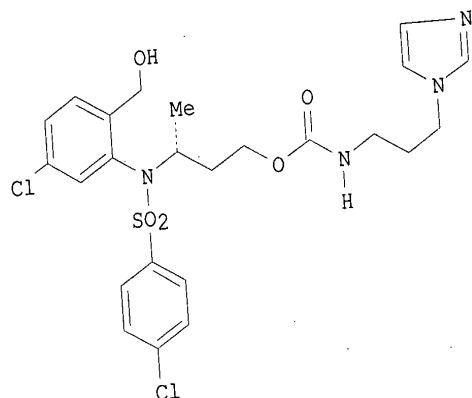
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

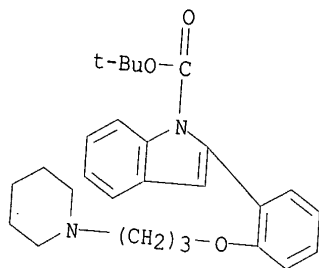
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WO 2000050391	A1	20000831	WO 2000-US4560	20000222
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RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			US 1999-121906	P 19990226
			US 1999-122746	P 19990226
			US 1999-122748	P 19990226
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OTHER SOURCE(S):			MARPAT 133:207678	
GI				

Liu

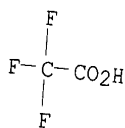
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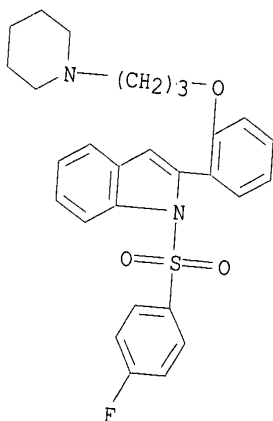
- AB Title compds. [(D)(G)CHN(E)SO<sub>2</sub>(J); D = H, alkyl, heterocycle, halo, alkoxy, ester, amide; G = alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, (CHR<sub>1</sub>)nO(CHR<sub>2</sub>)mCONR<sub>3</sub>R<sub>4</sub>, heterocycle, aryl, amine, amide, ester, ether, carbamate; D-G = cyclic; n = 1, 2, 3, 4; m = 0, 1, 2, 3, 4; R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub>, R<sub>4</sub> are independently H, alkyl; R<sub>3</sub>-R<sub>4</sub> = cyclic; E = H, alkyl, alkenyl, alkynyl, heterocycle, aryl, alkoxy, amide, sulfonyl, sulfonamidyl, sulfide; J = alkyl, alkenyl, alkynyl, aryl, heterocycle, polycyclic; J-E = cyclic], pharmaceutically acceptable salts, and compn. comprising title compds. are prepd. Title compds. can act to modulate prodn. of amyloid .beta. protein (APP751, APP695wt, APP670/671, APP670/671/717, sAPP, .alpha.-sAPP, .beta.-sAPP) and are useful in the prevention or treatment of a variety of diseases; such diseases are amyloid angiopathy, cerebral amyloid angiopathy, systemic amyloidosis, Alzheimer's disease, hereditary cerebral hemorrhage with amyloidosis of the Dutch type, inclusion body myositis, and Down's syndrome. Thus, the title compd. I was prepd. and tested.
- IT 290325-67-6P 290325-79-0P 290325-95-0P  
 RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of sulfonamide derivs. as amyloid .beta. prodn. inhibitors useful in treating or preventing diseases related to A.beta.)
- RN 290325-67-6 CAPLUS  
 CN 1H-Indole-1-carboxylic acid, 2-[2-[3-(1-piperidinyl)propoxy]phenyl]-, 1,1-dimethylethyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)
- CM 1
- CRN 290325-66-5  
 CMF C27 H34 N2 O3



CM 2

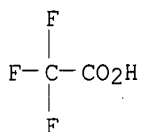
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CMF C2 H F3 O2RN 290325-79-0 CAPLUS  
CN 1H-Indole, 1-[(4-fluorophenyl)sulfonyl]-2-[2-[3-(1-piperidinyl)propoxy]phenyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 290325-78-9  
CMF C28 H29 F N2 O3 S

CM 2

CRN 76-05-1  
CMF C2 H F3 O2



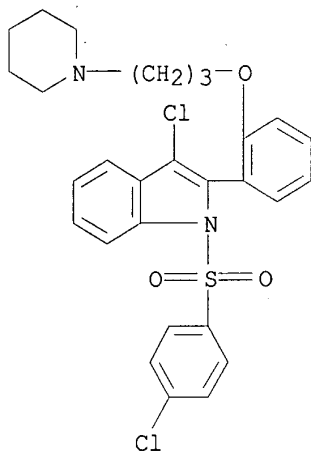
RN 290325-95-0 CAPLUS

CN 1H-Indole, 3-chloro-1-[(4-chlorophenyl)sulfonyl]-2-[2-[3-(1-piperidinyl)propoxy]phenyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

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CRN 290325-94-9

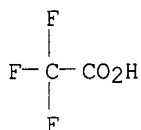
CMF C28 H28 Cl2 N2 O3 S



CM 2

CRN 76-05-1

CMF C2 H F3 O2



REFERENCE COUNT:

REFERENCE(S):

4

- (1) Monsanto; WO 9803166 1998 CAPLUS
- (2) Pasinetti, G; WO 9822104 1998 CAPLUS
- (3) Reel, J; US 5624937 1997 CAPLUS
- (4) Reiner, P; US 5981168 1999 CAPLUS

L22 ANSWER 6 OF 41 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 2000:421114 CAPLUS

Searched by Barb O'Bryen, STIC 308-4291

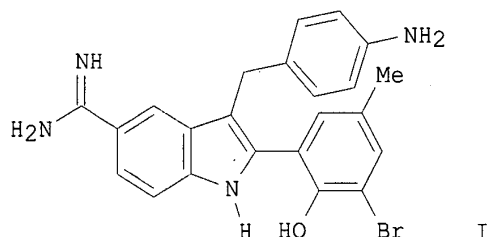
DOCUMENT NUMBER: 133:58803  
TITLE: Preparation of 2-arylindole- or -  
benzimidazolecarboxamidines and analogs as serine  
protease inhibitors  
INVENTOR(S): Allen, Darin Arthur; Hataye, Jason M.; Hruzewicz,  
Witold N.; Kolesnikov, Aleksandr; Mackman, Richard  
Laurence; Rai, Roopa; Spencer, Jeffrey R.; Verner,  
Erik J.; Young, Wendy B.  
PATENT ASSIGNEE(S): Axys Pharmaceuticals, Inc., USA  
SOURCE: PCT Int. Appl., 187 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000035886	A2	20000622	WO 1999-US30302	19991217
WO 2000035886	A3	20001026		

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ,  
DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS,  
JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK,  
MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ,  
TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ,  
MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,  
DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,  
CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 1998-113007 P 19981218  
OTHER SOURCE(S): MARPAT 133:58803  
GI



AB R1Z1Z2R2 [I; R1 = H2NC(:NH), etc.; R2 = halo, OH, CO2H, phenyl(alkyl)oxy,  
etc.; Z1 = (un)substituted indolylylene, -benzimidazolylylene, etc.; Z2 =  
(un)substituted phenylene, pyridinediyl, etc.] were prepd. Thus,  
1-(3-bromo-2-hydroxy-5-methylphenyl)-3-(4-nitrophenyl)-1-propanone was  
condensed with 4-(H2NHN)C6H4C(:NH)NH2 and the product cyclized to give,  
after redn., title compd. II. Data for biol. activity of I were given.

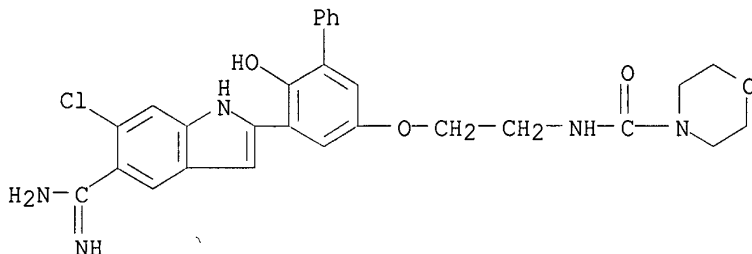
IT 277312-81-9P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic  
preparation); THU (Therapeutic use); BIOL (Biological study); PREP  
(Preparation); USES (Uses)

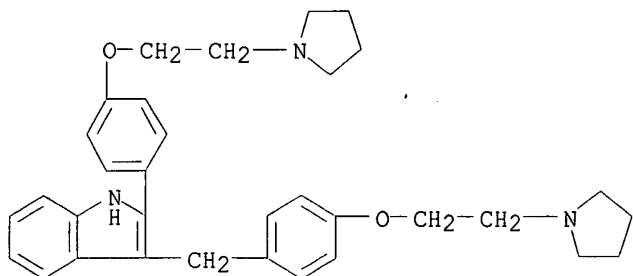
(prepn. of 2-arylindole- or -benzimidazolecarboxamidines and analogs as  
serine protease inhibitors)

RN 277312-81-9 CAPLUS

CN 4-Morpholinecarboxamide, N-[2-[[5-[5-(aminoiminomethyl)-6-chloro-1H-indol-2-yl]-6-hydroxy[1,1'-biphenyl]-3-yl]oxy]ethyl]- (9CI) (CA INDEX NAME)



pyrrolidinyl)ethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

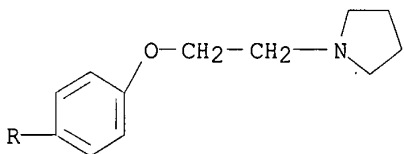
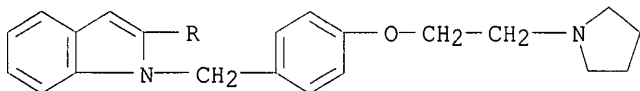


IT 215584-23-9P

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and structure-activity relations of disubstituted indole,  
azaindole and benzimidazole derivs.)

RN 215584-23-9 CAPLUS

CN 1H-Indole, 2-[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]-1-[[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

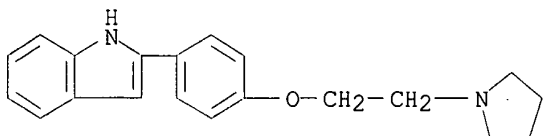


IT 104815-92-1P 215584-15-9P 215584-19-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and structure-activity relations of disubstituted indole,  
azaindole and benzimidazole derivs.)

RN 104815-92-1 CAPLUS

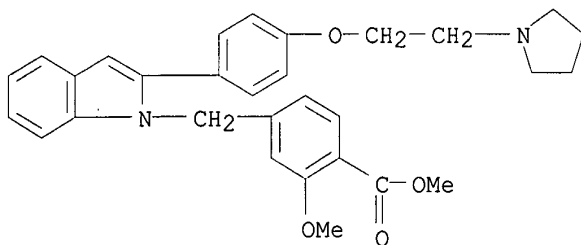
CN 1H-Indole, 2-[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



RN 215584-15-9 CAPLUS

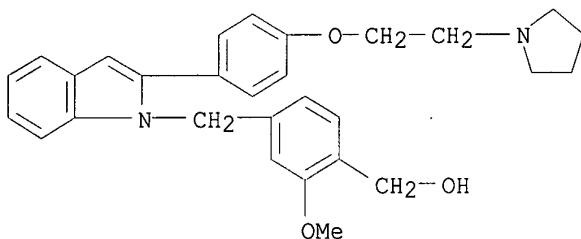
CN Benzoic acid, 2-methoxy-4-[[2-[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]-1H-indol-1-yl]methyl]-, methyl ester (9CI) (CA INDEX NAME)





RN 215584-19-3 CAPLUS

CN Benzenemethanol, 2-methoxy-4-[[2-[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]-1H-indol-1-yl]methyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

15

REFERENCE(S):

- (2) Bastian, J; Bioorg Med Chem Lett 1999, V9, P363  
CAPLUS  
(6) Machovich, R; The Thrombin 1984, V1, P1 CAPLUS  
(7) Sall, D; J Med Chem 1997, V40, P3489 CAPLUS  
(8) Sall, D; J Med Chem 1997, V40, P3489 CAPLUS  
(9) Sall, D; J Med Chem 1997, V40, P3489 CAPLUS  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 8 OF 41 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1999:613885 CAPLUS

DOCUMENT NUMBER: 131:228657

TITLE: Preparation of 3-(piperidin-3-yl)-1H-indole  
derivatives as 5-HT<sub>2A</sub> receptor antagonists for  
treatment of psychotic disorders such as schizophrenia

INVENTOR(S): Hallett, David James; Rowley, Michael

PATENT ASSIGNEE(S): Merck Sharp &amp; Dohme Limited, UK

SOURCE: PCT Int. Appl., 59 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

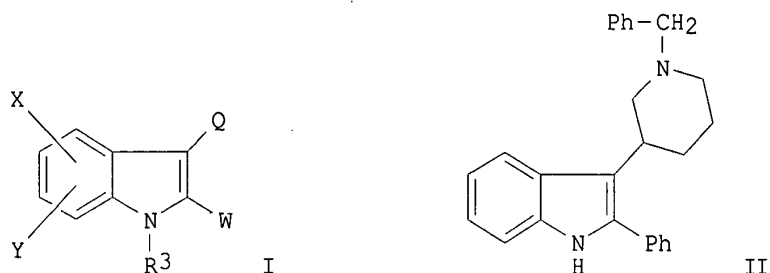
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9947511	A1	19990923	WO 1999-GB802	19990316
W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			

RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,  
ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,  
CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

AU 9929438      A1 19991011      AU 1999-29438      19990316  
PRIORITY APPLN. INFO.:      GB 1998-5716      19980317  
                                 WO 1999-GB802      19990316  
OTHER SOURCE(S):      MARPAT 131:228657  
GI



AB 3-(Piperidin-3-yl)-1H-indole derivs. and tetrahydropyridine analogs (I) [W = cyclohexyl, carboxylic acid ester, (un)substituted carboxamide, (un)substituted Ph, various (un)substituted heterocycles; X and Y = independently H, halogen, CF<sub>3</sub>, CF<sub>3</sub>-O, alkyl, alkoxy, Ph; Q = (un)substituted piperidin-3-yl or tetrahydropyridin-3-yl; R<sub>3</sub> = H or alkyl] were prepd. as selective antagonists of the human 5-HT<sub>2A</sub> receptor for the treatment and/or prevention of adverse conditions of the central nervous system, including psychotic disorders such as schizophrenia. For example, 1-benzyl-3-piperidone hydrochloride hydrate and H<sub>3</sub>PO<sub>4</sub> were added to 2-phenylindole in AcOH and stirred for 4 h to form the tetrahydropyridine intermediate. The intermediate was hydrogenated over Pd/C in concd. HCl overnight to give 3-(1-benzylpiperidin-3-yl)-2-phenyl-1H-indole (II) in 58% yield. Title compds. are claimed to be selective antagonists of the human 5-HT<sub>2A</sub> receptor and are expected to manifest fewer side effects than compds. which do not discriminate in their binding affinity as between 5-HT<sub>2A</sub> and D<sub>2</sub> receptors (no data).

IT 244087-16-9P

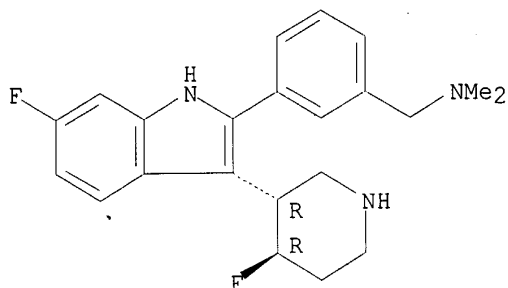
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(target compd.; prepn. of 3-(piperidin-3-yl)-1H-indole derivs. as 5-HT<sub>2A</sub> receptor antagonists for treatment of psychotic disorders such as schizophrenia)

RN 244087-16-9 CAPLUS

CN Benzenemethanamine, 3-[6-fluoro-3-[(3R,4R)-4-fluoro-3-piperidinyl]-1H-indol-2-yl]-N,N-dimethyl-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 4  
 REFERENCE(S): (1) Adir et Compagnie; EP 0747379 A 1996 CAPLUS  
 (2) Lunbeck, H; EP 0465398 A 1992 CAPLUS  
 (3) Merck Sharp & Dohme Ltd; WO 9911641 A 1999 CAPLUS  
 (4) Perregaard, J; US 5112838 A 1992 CAPLUS

L22 ANSWER 9 OF 41 CAPLUS COPYRIGHT 2001 ACS  
 ACCESSION NUMBER: 1999:460415 CAPLUS  
 DOCUMENT NUMBER: 131:87816  
 TITLE: Preparation of indole derivatives useful a.o. for the treatment of osteoporosis  
 INVENTOR(S): Gagliardi, Stefania; Nadler, Guy Marguerite Marie Gerard; Novella, Pietro  
 PATENT ASSIGNEE(S): Smithkline Beecham Laboratoires Pharmaceutiques, Fr.; Smithkline Beecham S.P.A.  
 SOURCE: PCT Int. Appl., 43 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9933822	A1	19990708	WO 1998-EP8561	19981217
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9927154	A1	19990719	AU 1999-27154	19981217
BR 9814403	A	20001010	BR 1998-14403	19981217
EP 1042316	A1	20001011	EP 1998-966951	19981217
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI				
NO 2000003315	A	20000623	NO 2000-3315	20000623
PRIORITY APPLN. INFO.: EP 1997-403154 A 19971224				
WO 1998-EP8561 W 19981217				

OTHER SOURCE(S): MARPAT 131:87816  
 GI For diagram(s), see printed CA Issue.  
 AB The title compds. I [A represents an optionally substituted aryl group or an optionally substituted heterocyclyl group; Ra = CONRsRt wherein Rs and Rt each independently represents hydrogen, alkyl, substituted alkyl,

optionally substituted alkenyl, optionally substituted aryl, optionally substituted arylalkyl, optionally substituted heterocyclyl, etc.; R1, R2 = H, hydroxy, amino, alkoxy, optionally substituted aryloxy, optionally substituted benzyloxy, etc.; R3 = alkanoyl, alkyl, aminoalkyl, hydroxyalkyl, carboxyalkyl, carbalkoxyalkyl, carbamoyl, alkylsulfonyl, arylsulfonyl], useful for the treatment of osteoporosis, were prepd. E.g., 4-(5,6-dichloro-1H-indol-2-yl)-N-(1,2,2,6,6-pentamethylpiperidin-4-yl)benzamide was prepd. I were able to inhibit bafilomycin-sensitive ATPase of chicken osteoclast and of human osteoclast.

IT 229480-96-0P 229480-97-1P 229480-98-2P

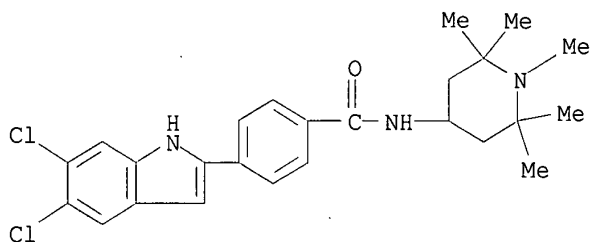
229481-05-4P 229481-06-5P 229481-07-6P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of indoles useful for the treatment of osteoporosis)

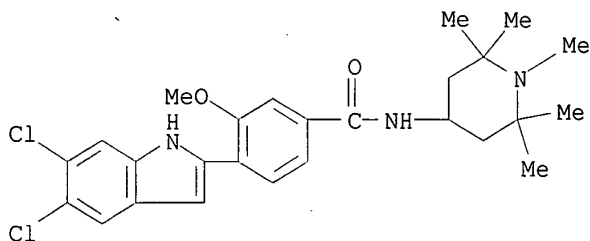
RN 229480-96-0 CAPLUS

CN Benzamide, 4-(5,6-dichloro-1H-indol-2-yl)-N-(1,2,2,6,6-pentamethyl-4-piperidinyl)- (9CI) (CA INDEX NAME)



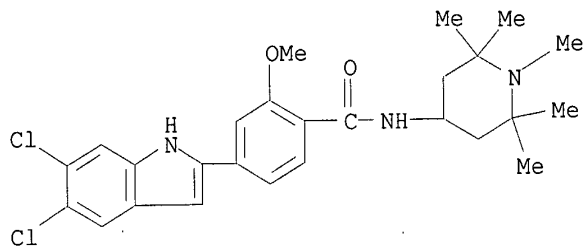
RN 229480-97-1 CAPLUS

CN Benzamide, 4-(5,6-dichloro-1H-indol-2-yl)-3-methoxy-N-(1,2,2,6,6-pentamethyl-4-piperidinyl)- (9CI) (CA INDEX NAME)



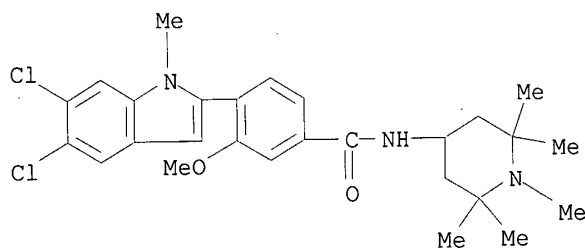
RN 229480-98-2 CAPLUS

CN Benzamide, 4-(5,6-dichloro-1H-indol-2-yl)-2-methoxy-N-(1,2,2,6,6-pentamethyl-4-piperidinyl)- (9CI) (CA INDEX NAME)



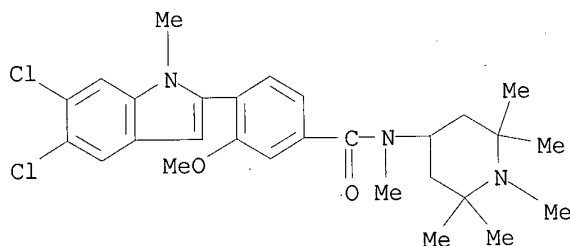
RN 229481-05-4 CAPLUS

CN Benzamide, 4-(5,6-dichloro-1-methyl-1H-indol-2-yl)-3-methoxy-N-(1,2,2,6,6-pentamethyl-4-piperidinyl)- (9CI) (CA INDEX NAME)



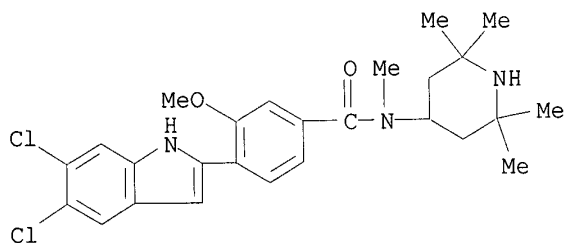
RN 229481-06-5 CAPLUS

CN Benzamide, 4-(5,6-dichloro-1-methyl-1H-indol-2-yl)-3-methoxy-N-methyl-N-(1,2,2,6,6-pentamethyl-4-piperidinyl)- (9CI) (CA INDEX NAME)



RN 229481-07-6 CAPLUS

CN Benzamide, 4-(5,6-dichloro-1H-indol-2-yl)-3-methoxy-N-methyl-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (9CI) (CA INDEX NAME)

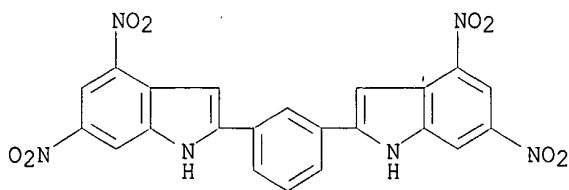


REFERENCE COUNT:

8

REFERENCE(S): (1) Hasegawa, Y; Preparation of isoxazole derivatives as ulcer inhibitors 1996, 25, P17 CAPLUS  
(2) Moody, C; Synthesis and cytotoxic activity of indolyl thiazoles 1997, 13, CAPLUS  
(4) Sepracor Inc; WO 9857952 A 1998 CAPLUS  
(5) Smithkline Beecham SPA; WO 9621644 A 1996 CAPLUS  
(6) Stepien, E; Effect of specific substrate-bound inhibitors on restriction of the circular Col E1 DNA by Eco RI endonuclease 1978, 7, P13 CAPLUS  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

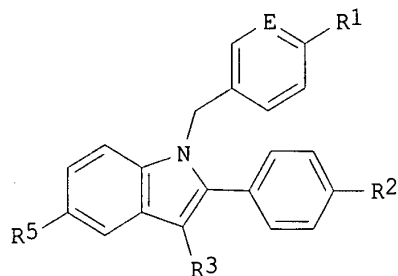
L22 ANSWER 10 OF 41 CAPLUS COPYRIGHT 2001 ACS  
ACCESSION NUMBER: 1999:794747 CAPLUS  
DOCUMENT NUMBER: 132:49854  
TITLE: Synthesis of 2-aryl- and 2-hetaryl-4,6-dinitroindoles from 2,4,6-trinitrotoluene  
AUTHOR(S): Rozhkov, Vladimir V.; Kuvshinov, Alexander M.; Gulevskaya, Valentina I.; Chervin, Ivan I.; Shevelev, Svyatoslav A.  
CORPORATE SOURCE: N. D. Zelinsky Institute Organic Chemistry, Moscow, 117913, Russia  
SOURCE: Synthesis (1999), (12), 2065-2070  
CODEN: SYNTBF; ISSN: 0039-7881  
PUBLISHER: Georg Thieme Verlag  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 132:49854  
AB A general preparative method for the synthesis of 2-aryl- and 2-hetaryl-4,6-dinitroindoles from MeC6H2-2,4,6-(NO2)3 (TNT) was elaborated. The presented procedure involves condensation of TNT with arom. and heteroarom. aldehydes to give the corresponding (E)-2,4,6-trinitrostilbenes and their heterocyclic analogs followed by regiospecific nucleophilic substitution of the ortho-nitro group with N3 under the action of NaN3. Subsequent thermolysis of the azides leads to 2-aryl- or 2-hetaryl-4,6-dinitroindoles.  
IT 252749-14-7P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of aryl- and hetarylnitroindoles from TNT)  
RN 252749-14-7 CAPLUS  
CN 1H-Indole, 2,2'-(1,3-phenylene)bis[4,6-dinitro- (9CI) (CA INDEX NAME)



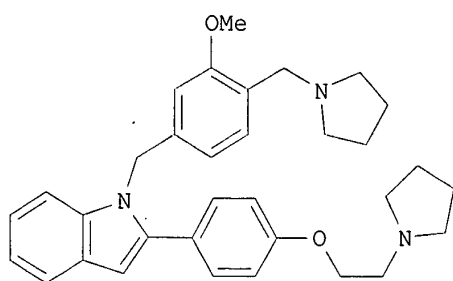
REFERENCE COUNT: 10  
REFERENCE(S): (1) Benedetti, F; J Chem Soc, Chem Commun 1982, P918 CAPLUS  
(2) Nisbet, H; J Chem Soc 1927, P2081  
(3) Pfeiffer, P; Ber Dtsch Chem Ges 1906, V39, P1306  
(6) Splitter, J; J Org Chem 1955, V20, P1086 CAPLUS  
(8) Tartakovsky, V; Conversion Concepts for Commercial Applications and Disposal Technologies of Energetic Systems 1997 CAPLUS  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 11 OF 41 CAPLUS COPYRIGHT 2001 ACS  
ACCESSION NUMBER: 1998:719261 CAPLUS  
DOCUMENT NUMBER: 129:343412  
TITLE: Preparation of 1-benzyl-2-phenylindoles as  
antithrombotic agents  
INVENTOR(S): Chirgadze, Nickolay Yuri; Fischer, Matthew Joseph;  
Harper, Richard Waltz; Lin, Ho-shen; McCowan,  
Jefferson Ray; Sall, Daniel Jon; Smith, Gerald Floyd;  
Takeuchi, Kumiko; Wiley, Michael Robert; Zhang,  
Minsheng  
PATENT ASSIGNEE(S): Eli Lilly and Co., USA  
SOURCE: PCT Int. Appl., 61 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9848797	A1	19981105	WO 1998-US8698	19980430
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
AU 9871707	A1	19981124	AU 1998-71707	19980430
EP 1011666	A1	20000628	EP 1998-918865	19980430
R:	AT, BE, DE, DK, ES, FR, GB, GR, IT, NL, SE, PT, IE, FI			
US 6172100	B1	20010109	US 1999-423125	19991221
PRIORITY APPLN. INFO.:			US 1997-45136	P 19970430
			WO 1998-US8698	W 19980430
OTHER SOURCE(S):	MARPAT 129:343412			
GI				



I



II

AB The title compds. [I; E = CH, CMe, C(OMe), C(halo); R1 = CO2H, (C1-4 alkoxy)carbonyl, CH2OH, etc.; R2 = OCH2Ph, X2(CH2)<sub>m</sub>NRaRb (wherein X2 = a direct bond, CH2, O, S; m = 1-5; provided that when m = 1, then X2 = a direct bond; Ra, Rb = H, C1-3 alkyl; NRaRb = pyrrolidino, piperidino, morpholino); R2 = X2(CH2)<sub>n</sub>Rf (wherein X2 = a direct bond, CH2, O; n = 1-3; Rf = 5-tetrazolyl, CO2H, (C1-4 alkoxy)carbonyl, CH2OH); R3 = H, Cl, (un)substituted CH2Ph; R5 = H, OH, OMe; provided that at least one of R1 and R2 includes an amino moiety NRsRt or NRaRb] and their salts, useful as thrombin inhibitors, coagulation inhibitors and thromboembolic disorder agents, were prepd. and formulated. Thus, a multi-step synthesis of the title compd. II.(CO2H)<sub>2</sub>, starting with 4'-hydroxyacetophenone and 2-(1-pyrrolidinyl)ethanol, was described. Compds. I are effective at 0.01-1000 mg/kg/day.

IT 215584-09-1P 215584-10-4P 215584-13-7P  
215584-16-0P 215584-18-2P 215584-20-6P  
215584-21-7P 215584-22-8P 215584-23-9P  
215584-24-0P

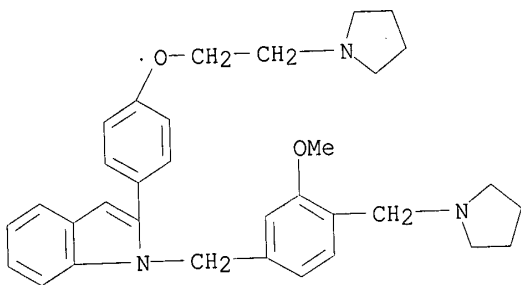
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of 1-benzyl-2-phenylindoles as antithrombotic agents)

RN 215584-09-1 CAPLUS

CN 1H-Indole, 1-[[3-methoxy-4-(1-pyrrolidinylmethyl)phenyl]methyl]-2-[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)

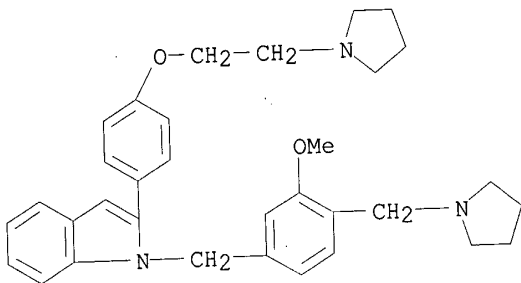




RN 215584-10-4 CAPLUS  
CN 1H-Indole, 1-[[3-methoxy-4-(1-pyrrolidinylmethyl)phenyl]methyl]-2-[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

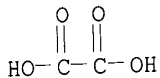
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CRN 215584-09-1  
CMF C33 H39 N3 O2

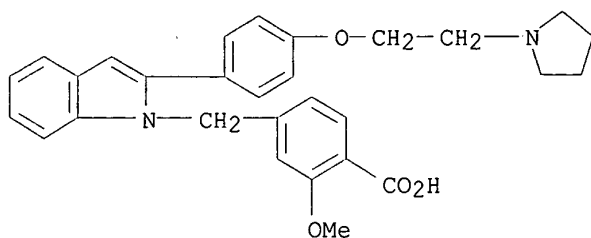


CM 2

CRN 144-62-7  
CMF C2 H2 O4



RN 215584-13-7 CAPLUS  
CN Benzoic acid, 2-methoxy-4-[[2-[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]-1H-indol-1-yl]methyl]-, lithium salt (9CI) (CA INDEX NAME)

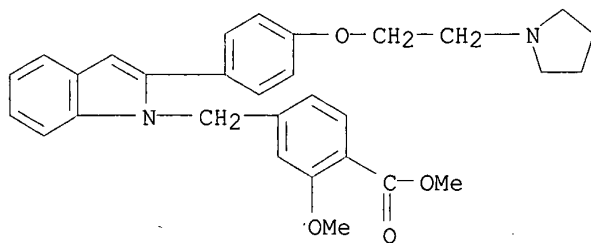


● Li

RN 215584-16-0 CAPLUS  
 CN Benzoic acid, 2-methoxy-4-[[2-[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]-1H-indol-1-yl]methyl]-, methyl ester, ethanedioate (1:1) (9CI) (CA INDEX NAME)

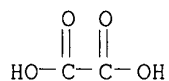
CM 1

CRN 215584-15-9  
 CMF C30 H32 N2 O4



CM 2

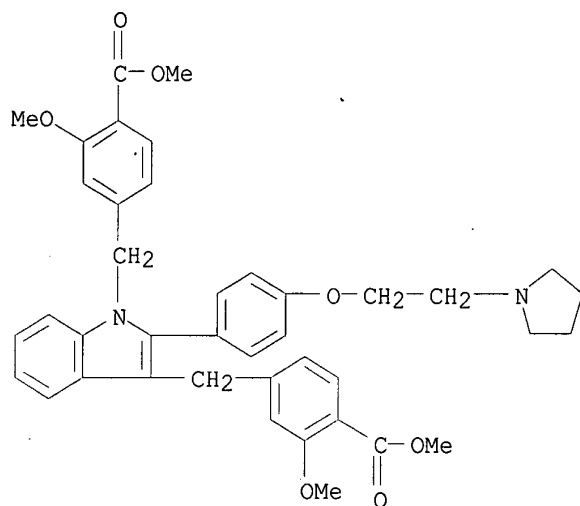
CRN 144-62-7  
 CMF C2 H2 O4



RN 215584-18-2 CAPLUS  
 CN Benzoic acid, 4,4'-[[2-[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]-1H-indole-1,3-diyl]bis(methylene)]bis[2-methoxy-, dimethyl ester, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

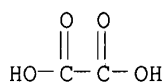
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 CMF C40 H42 N2 O7



CM 2

CRN 144-62-7

CMF C2 H2 O4



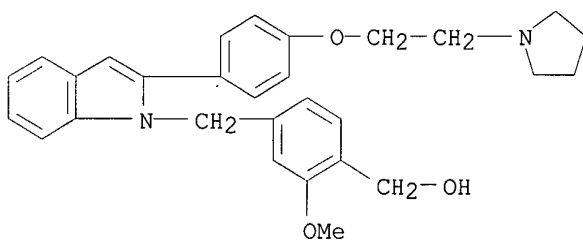
RN 215584-20-6 CAPLUS

CN Benzenemethanol, 2-methoxy-4-[[2-[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]-1H-indol-1-yl]methyl]-, ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 215584-19-3

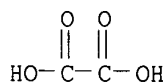
CMF C29 H32 N2 O3



CM 2

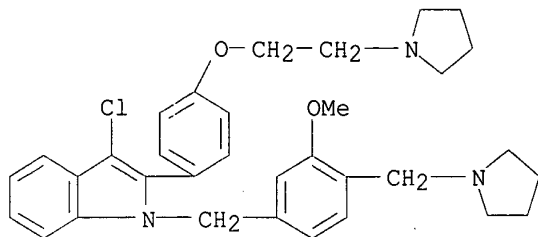
CRN 144-62-7

CMF C2 H2 O4



RN 215584-21-7 CAPLUS

CN 1H-Indole, 3-chloro-1-[[3-methoxy-4-(1-pyrrolidinylmethyl)phenyl]methyl]-2-[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



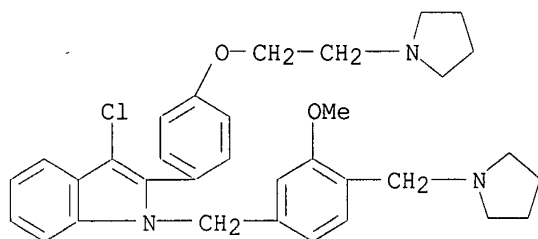
RN 215584-22-8 CAPLUS

CN 1H-Indole, 3-chloro-1-[[3-methoxy-4-(1-pyrrolidinylmethyl)phenyl]methyl]-2-[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 215584-21-7

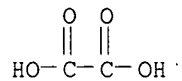
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CM 2

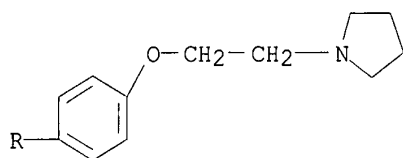
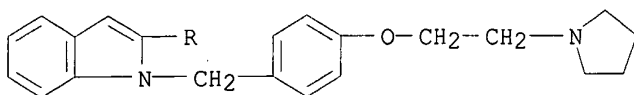
CRN 144-62-7

CMF C2 H2 O4



RN 215584-23-9 CAPLUS

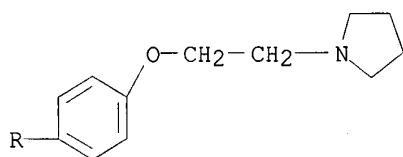
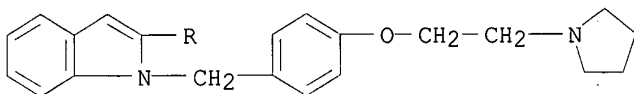
CN 1H-Indole, 2-[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]-1-[[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)



RN 215584-24-0 CAPLUS  
CN 1H-Indole, 2-[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]-1-[[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]methyl]-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

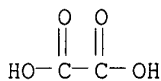
CM 1

CRN 215584-23-9  
CMF C33 H39 N3 O2

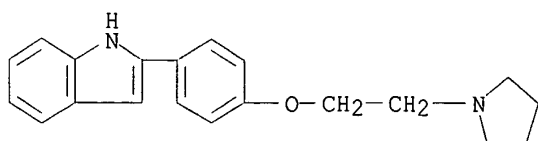


CM 2

CRN 144-62-7  
CMF C2 H2 O4

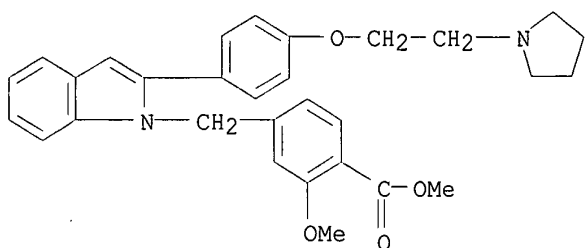


IT 104815-92-1P 215584-15-9P 215584-17-1P  
215584-19-3P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of 1-benzyl-2-phenylindoles as antithrombotic agents)  
RN 104815-92-1 CAPLUS  
CN 1H-Indole, 2-[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



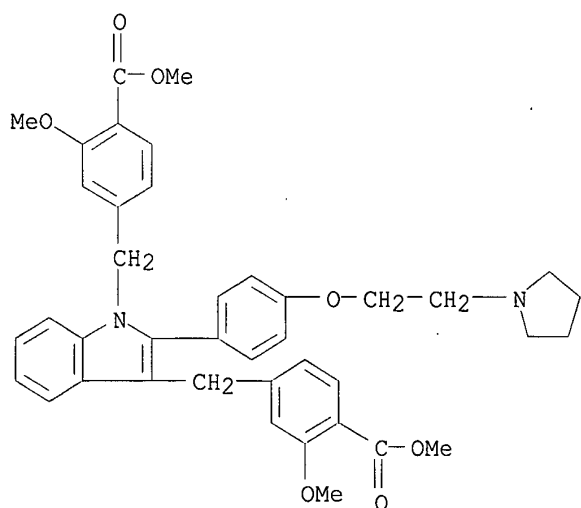
RN 215584-15-9 CAPLUS

CN Benzoic acid, 2-methoxy-4-[[2-[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]-1H-indol-1-yl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



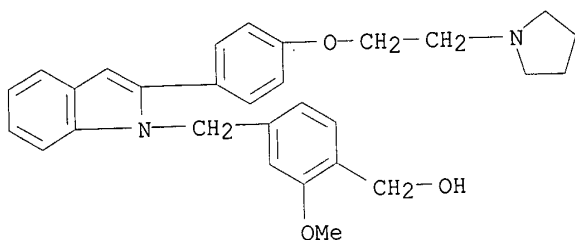
RN 215584-17-1 CAPLUS

CN Benzoic acid, 4,4'-[[2-[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]-1H-indole-1,3-diyl]bis(methylene)]bis[2-methoxy-, dimethyl ester (9CI) (CA INDEX NAME)



RN 215584-19-3 CAPLUS

CN Benzenemethanol, 2-methoxy-4-[[2-[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]-1H-indol-1-yl]methyl]- (9CI) (CA INDEX NAME)



L22 ANSWER 12 OF 41 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1997:242555 CAPLUS

DOCUMENT NUMBER: 126:311737

TITLE: 3-(4-chlorophenyl)-2-(4-diethylaminoethoxyphenyl)-A-pentenitrile monohydrogen citrate and related analogs Reversible, competitive, first half-reaction squalene synthetase inhibitors

AUTHOR(S): Harwood, H. James, Jr.; Barbacci-Tobin, Elsa G.; Petras, Stephen F.; Lindsey, Saralyn; Pellarin, Lorraine D.

CORPORATE SOURCE: DEPARTMENT OF METABOLIC DISEASES, PFIZER CENTRAL RESEARCH, PFIZER INC., GROTON, CT, 06340, USA

SOURCE: Biochem. Pharmacol. (1997), 53(6), 839-864

CODEN: BCPA6; ISSN: 0006-2952

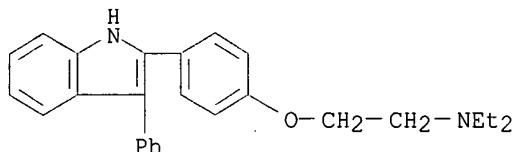
PUBLISHER: Elsevier

DOCUMENT TYPE: Journal

LANGUAGE: English

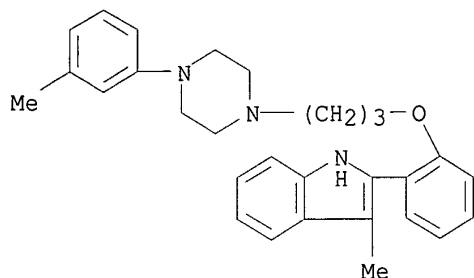
AB Squalene synthetase (SQS) catalyzes the head-to-head condensation of two mols. of farnesyl pyrophosphate (FPP) to form squalene. The reaction is unique when compared with those of other FPP-utilizing enzymes, and proceeds in two distinct steps, both of which involve carbocationic reaction intermediates. In this report, we describe the mechanism of action of, and structure-activity relationships within, a series of substituted diethylaminoethoxystilbenes that mimic these reaction intermediates, through characterization of the biochem. properties of 3-(4-chlorophenyl)-2-(4-diethylaminoethoxyphenyl)-A-pentenitrile monohydrogen citrate (P-3622) and related analogs. As a representative member of this series, P-3622 inhibited SQS reversibly and competitively with respect to FPP ( $K_i = 0.7 \mu\text{M}$ ), inhibited the enzymic first half-reaction to the same extent as the overall reaction, exhibited a 300-fold specificity for SQS inhibition relative to protein farnesyltransferase inhibition, inhibited cholesterol synthesis in rat primary hepatocytes ( $IC_{50} = 0.8 \mu\text{M}$ ), in cultured human cells (Hep-G2, CaCo-2, and IM-9;  $IC_{50} = 0.2, 1.2, \text{ and } 1.0 \mu\text{M}$ ), and in chow-fed hamsters (62% at 100 mg/kg) without accumulation of post-squalene sterol precursors, and reduced plasma cholesterol in exptl. animals. Structure-activity relationships among 72 related analogs suggest that the Ph residues and central trans-olefin of the stilbene moiety serve as mimics of the three isoprene units of the donor FPP, that substitutions across the central olefin and para-substitutions on the terminal Ph residue mimic the branching Me groups of the donor FPP, and that the diethylaminoethoxy moiety of these mols. mimics the various carbocations that develop in the C1-C3 region of the acceptor FPP during reaction. Members of this series of reversible, competitive, first half-reaction SQS inhibitors that show a high degree of specificity for SQS inhibition relative to inhibition of other FPP-utilizing enzymes and other cholesterol synthesis pathway enzymes may serve as useful tools for

probing the unique catalytic mechanisms of this important enzyme.  
IT **6917-00-6**  
RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(squalene synthetase inhibition by diethylaminoethoxystilbene analogs)  
RN 6917-00-6 CAPLUS  
CN Ethanamine, N,N-diethyl-2-[4'-(3-phenyl-1H-indol-2-yl)phenoxy]- (9CI) (CA INDEX NAME)



L22 ANSWER 13 OF 41 CAPLUS COPYRIGHT 2001 ACS  
ACCESSION NUMBER: 1995:333425 CAPLUS  
DOCUMENT NUMBER: 122:187532  
TITLE: Synthesis and SAR in 1-aryloxy-3-(4-arylpiperazin-1-yl)propanes  
AUTHOR(S): Tripathi, R. C.; Dua, P. R.; Srimal, R. C.; Saxena, Anil K.  
CORPORATE SOURCE: Central Drug Research Institute, Lucknow, 226 001, India  
SOURCE: Indian J. Chem., Sect. B: Org. Chem. Incl. Med. Chem. (1995), 34B(2), 116-19  
CODEN: IJSBDB; ISSN: 0376-4699  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 122:187532  
AB 1-[2-(3-Methylindol-2-yl)phenoxy]-3-(4-arylpiperazin-1-yl)propanes and 1-(3/4-acetamido/aminophenoxy)-3-(4-arylpiperazin-1-yl)propanes have been synthesized and evaluated for their CNS, CVS and antiinflammatory activities. The compds., in general, have shown no effect or depressant action in gross behavior. 3-Acetamido substituents in the aryloxy group and 3-methyl/chloro substituents in the Ph ring of the arylpiperazine part contribute the the most to the hypotensive and CNS depressant activities.  
IT **161691-43-6P 161691-44-7P 161691-45-8P 161691-46-9P 161691-47-0P**  
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(synthesis and CNS, CVS, and antiinflammatory activities of (aryloxy)(arylpiperazinyl)propanes)  
RN 161691-43-6 CAPLUS  
CN 1H-Indole, 3-methyl-2-[2-[3-[4-(3-methylphenyl)-1-piperazinyl]propoxy]phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)

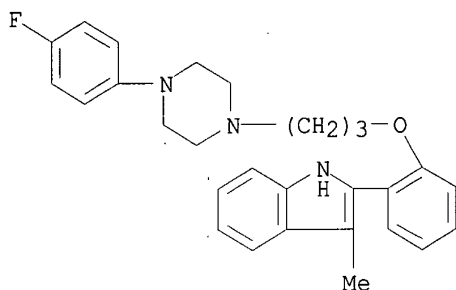




● 2 HCl

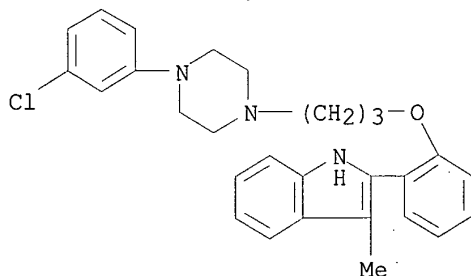
RN 161691-44-7 CAPLUS

CN 1H-Indole, 2-[2-[3-[4-(4-fluorophenyl)-1-piperazinyl]propoxy]phenyl]-3-methyl- (9CI) (CA INDEX NAME)



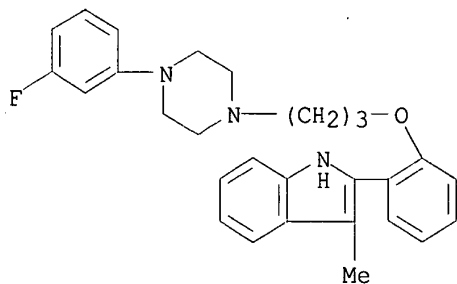
RN 161691-45-8 CAPLUS

CN 1H-Indole, 2-[2-[3-[4-(3-chlorophenyl)-1-piperazinyl]propoxy]phenyl]-3-methyl- (9CI) (CA INDEX NAME)



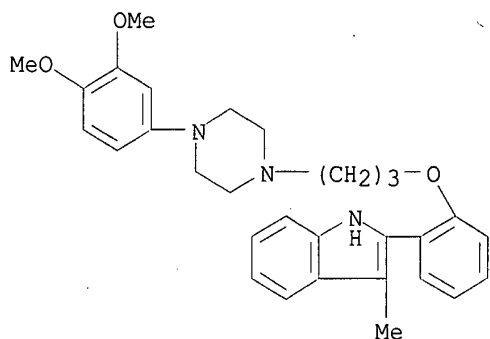
RN 161691-46-9 CAPLUS

CN 1H-Indole, 2-[2-[3-[4-(3-fluorophenyl)-1-piperazinyl]propoxy]phenyl]-3-methyl- (9CI) (CA INDEX NAME)



RN 161691-47-0 CAPLUS

CN 1H-Indole, 2-[2-[3-[4-(3,4-dimethoxyphenyl)-1-piperazinyl]propoxy]phenyl]-3-methyl- (9CI) (CA INDEX NAME)



L22 ANSWER 14 OF 41 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1995:507921 CAPLUS

DOCUMENT NUMBER: 123:55919

TITLE: Preparation of piperazine derivatives as calmodulin inhibitors.

INVENTOR(S): Yamamoto, Kenjiro; Hasegawa, Atsushi; Kubota, Hideki; Ando, Masahiro; Yamaguchi, Hitoshi C. O. Daiichi

PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co. Ltd., Japan

SOURCE: Eur. Pat. Appl., 70 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

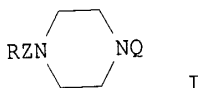
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 624584	A1	19941117	EP 1994-107496	19940513
EP 624584	B1	19980819		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, NL, PT, SE				
RU 2124511	C1	19990110	RU 1994-16183	19940512
CA 2123548	AA	19941115	CA 1994-2123548	19940513
FI 9402252	A	19941115	FI 1994-2252	19940513
NO 9401802	A	19941115	NO 1994-1802	19940513
AU 9463096	A1	19941117	AU 1994-63096	19940513
AU 677644	B2	19970501		
CN 1101039	A	19950405	CN 1994-105810	19940513

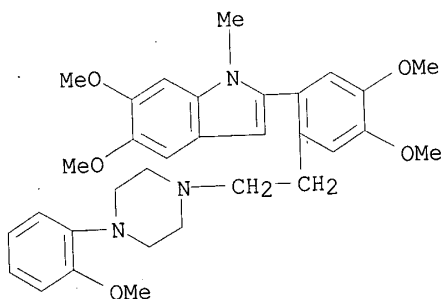
CN 1049654 B 20000223  
 JP 07097364 A2 19950411 JP 1994-99391 19940513  
 AT 169914 E 19980915 AT 1994-107496 19940513  
 ES 2125372 T3 19990301 ES 1994-107496 19940513  
 AU 9724952 A1 19970904 AU 1997-24952 19970617  
 AU 698486 B2 19981029  
 JP 1993-112771 A 19930514  
 PRIORITY APPLN. INFO.: MARPAT 123:55919  
 OTHER SOURCE(S):  
 GI



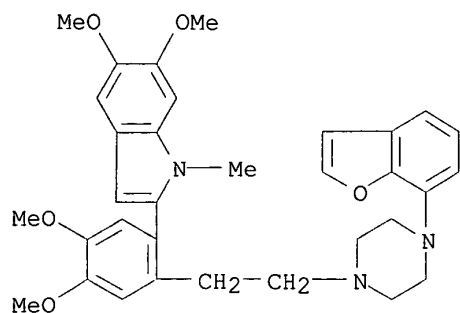
AB Title compds. I (Q = aryl, heterocyclyl, diarylmethyl, aralkyl composed of an aryl and an alkylene having C1-6, C1-8 alkyl, C3-8 cycloalkyl, in which the aryl, heterocyclyl, and the aryl moiety of the diarylmethyl and aralkyl may be substituted, etc.; R = bicyclic N-contg. heterocyclyl, (substituted)Ph, etc.; Z = C1-3 alkylene, C2-4 alkenylene, HO-C1-3 alkylene, CO, etc.) or salt thereof, are prepd. I R = 5,6-dimethoxy-1-(3,4-dimethoxybenzyl)-1H-indazol-3-yl, Z = CH<sub>2</sub>CO, Q = 2,3-C1MeC6H3 (prepn. given) in THF and borane-THF complex were refluxed for 2 h to give I (R = 5,6-dimethoxy-1-(3,4-dimethoxybenzyl)-1H-indazol-3-yl, Z = CH<sub>2</sub>CH<sub>2</sub>, Q = 2,3-C1MeC6H3). Calmodulin inhibitory activity was demonstrated.

IT **162495-33-2P 162496-27-7P 162496-39-1P**  
 RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of piperazine derivs. as calmodulin inhibitors.)

RN 162495-33-2 CAPLUS  
 CN 1H-Indole, 2-[4,5-dimethoxy-2-[2-[4-(2-methoxyphenyl)-1-piperazinyl]ethyl]phenyl]-5,6-dimethoxy-1-methyl- (9CI) (CA INDEX NAME)

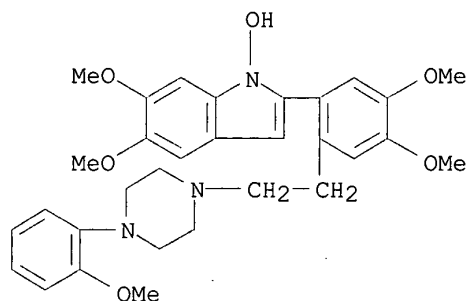


RN 162496-27-7 CAPLUS  
 CN 1H-Indole, 2-[2-[2-[4-(7-benzofuranyl)-1-piperazinyl]ethyl]-4,5-dimethoxyphenyl]-5,6-dimethoxy-1-methyl- (9CI) (CA INDEX NAME)



RN 162496-39-1 CAPLUS

CN 1H-Indole, 2-[4,5-dimethoxy-2-[2-[4-(2-methoxyphenyl)-1-piperazinyl]ethyl]phenyl]-1-hydroxy-5,6-dimethoxy- (9CI) (CA INDEX NAME)

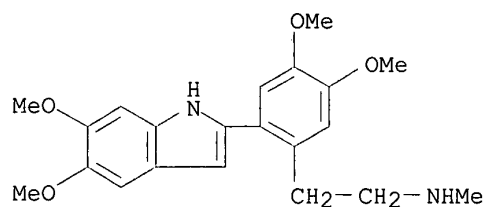


IT 162496-49-3P 162496-50-6P 162496-51-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of piperazine derivs. as calmodulin inhibitors.)

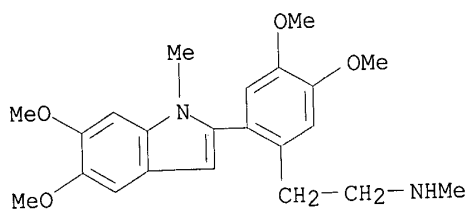
RN 162496-49-3 CAPLUS

CN Benzeneethanamine, 2-(5,6-dimethoxy-1H-indol-2-yl)-4,5-dimethoxy-N-methyl- (9CI) (CA INDEX NAME)

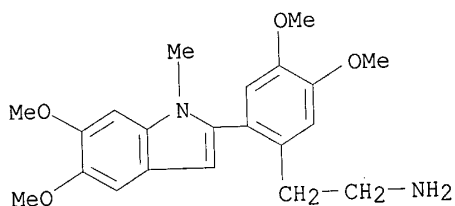


RN 162496-50-6 CAPLUS

CN Benzeneethanamine, 2-(5,6-dimethoxy-1-methyl-1H-indol-2-yl)-4,5-dimethoxy-N-methyl- (9CI) (CA INDEX NAME)



RN 162496-51-7 CAPLUS

CN: Benzeneethanamine, 2-(5,6-dimethoxy-1-methyl-1H-indol-2-yl)-4,5-dimethoxy-  
, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

L22 ANSWER 15 OF 41 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1995:304899 CAPLUS

DOCUMENT NUMBER: 122:81124

TITLE: Quinuclidine derivatives useful as squalene synthase

inhibitors and their preparation

INVENTOR(S): Brown, George R.; Mallion, Keith B.; Whittamore, Paul  
R. O.; Brittain, David R.

PATENT ASSIGNEE(S): Zeneca Ltd., UK

SOURCE: Can. Pat. Appl., 79 pp.

CODEN: CPXXEB

DOCUMENT TYPE: Patent

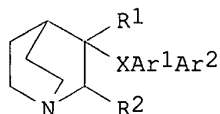
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

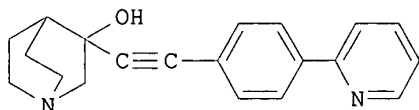
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CA 2104981	AA	19940301	CA 1993-2104981	19930827
ZA 9306201	A	19940228	ZA 1993-6201	19930824
WO 9405660	A1	19940317	WO 1993-GB1802	19930824
W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
EP 656897	A1	19950614	EP 1993-919477	19930824
EP 656897	B1	19980107		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 08502731	T2	19960326	JP 1993-506955	19930824
AT 161843	E	19980115	AT 1993-919477	19930824

NO 9500756	A	19950227	NO 1995-756	19950227
FI 9500893	A	19950227	FI 1995-893	19950227
US 5714496	A	19980203	US 1995-392928	19950228
PRIORITY APPLN. INFO.:			GB 1992-18334	19920828
			WO 1993-GB1802	19930824
OTHER SOURCE(S):		MARPAT 122:81124		
GI				



I



II

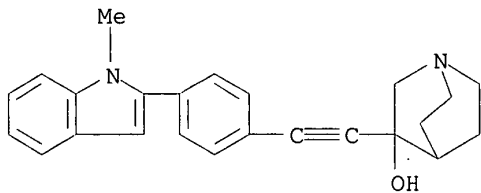
AB Compds. of formula I and their pharmaceutically acceptable salts [R1 = H, OH; R2 = H; or R1R2 = bond; X = CH2CH2, CH:CH, C.tplbond.C, CH2O, CH2NH, NHCH2, CH2CO, COCH2, CH2S and SCH2; Ar1 = (un)substituted phenylene; Ar2 = (un)substituted heteroaryl; substituent(s) on Ar1 and Ar2 = halo, OH, (di)(alkyl)amino, NO2, cyano, CO2H, (di)(alkyl)carbamoyl, alkyl, alkenyl, alkynyl, alkoxy, alkoxy carbonyl, alkylthio, alkylsulfinyl, alkylsulfonyl, haloalkyl, carboxyalkyl, alkanoylamino; provided that when R1 = OH, X .noteq. NHCH2 or SCH2] are inhibitors of squalene synthase, and hence useful in treating hypercholesterolemia and atherosclerosis. Possible antifungal use is also mentioned (no data). Processes for prep. I and pharmaceutical compns. contg. them are also described. For example, coupling of 3-ethynyl-3-hydroxyquinuclidine with 2-(4-bromophenyl)pyridine (preps. given) using Pd(PPh3)2Cl2, CuI, and Et3N in DMF at 90.degree., gave title compd. II. At 2.5 .mu.M, II gave about 98% inhibition of squalene synthase in vitro; it also inhibited cholesterol biosynthesis in rats at an ED50 of 8 mg/kg (route unspecified).

IT 160377-77-5P 160377-79-7P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(prepn. of, as squalene synthase inhibitor)

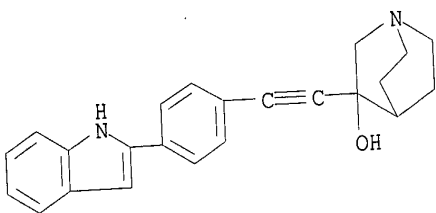
RN 160377-77-5 CAPLUS

CN 1-Azabicyclo[2.2.2]octan-3-ol, 3-[[4-(1-methyl-1H-indol-2-yl)phenyl]ethynyl]- (9CI) (CA INDEX NAME)

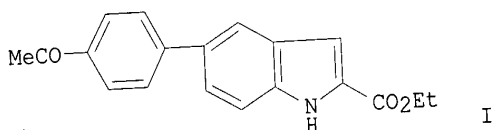


RN 160377-79-7 CAPLUS

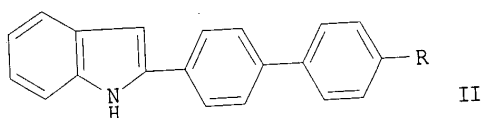
CN 1-Azabicyclo[2.2.2]octan-3-ol, 3-[[4-(1H-indol-2-yl)phenyl]ethynyl]- (9CI)  
(CA INDEX NAME)



L22 ANSWER 16 OF 41 CAPLUS COPYRIGHT 2001 ACS  
ACCESSION NUMBER: 1995:456521 CAPLUS  
DOCUMENT NUMBER: 122:290674  
TITLE: Synthesis of some new arylindoles  
AUTHOR(S): Gogrichiani, E. O.; Chikvaidze, I. Sh.; Dzhibladze, L.  
I.; Tsotadze, M. B.; Samsoniya, Sh. A.; Suvorov, N. N.  
CORPORATE SOURCE: Tbilisi. Gos. Univ., Georgia  
SOURCE: Khim. Geterotsikl. Soedin. (1994), (10), 1351-4  
CODEN: KGSSAQ; ISSN: 0132-6244  
DOCUMENT TYPE: Journal  
LANGUAGE: Russian  
GI

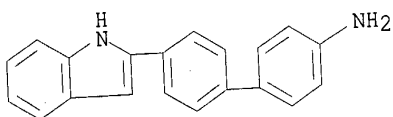


I



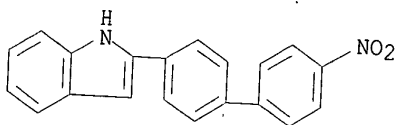
II

AB Arylindoles I and II (R = NH<sub>2</sub>, NO<sub>2</sub>) were prepd. from 4-acetyl-4'-nitrobiphenyl.  
IT **163129-19-9P**  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)  
RN 163129-19-9 CAPLUS  
CN [1,1'-Biphenyl]-4-amine, 4'-(1H-indol-2-yl)- (9CI) (CA INDEX NAME)

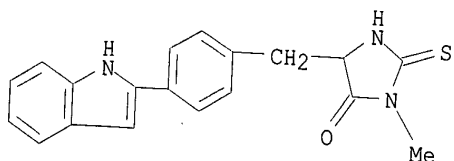


IT **163129-18-8P**  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of arylindoles)  
RN 163129-18-8 CAPLUS

CN 1H-Indole, 2-(4'-nitro[1,1'-biphenyl]-4-yl)- (9CI) (CA INDEX NAME)



L22 ANSWER 17 OF 41 CAPLUS COPYRIGHT 2001 ACS  
ACCESSION NUMBER: 1993:72825 CAPLUS  
DOCUMENT NUMBER: 118:72825  
TITLE: Reversed phase planar chromatography of enantiomeric compounds with bovine serum albumin in the mobile phase  
AUTHOR(S): Lepri, Luciano; Coas, Vanda; Desideri, Pier Giorgio; Pettini, Lilia  
CORPORATE SOURCE: Dep. Public Health, Univ. Florence, Florence, 50121, Italy  
SOURCE: J. Planar Chromatogr.--Mod. TLC (1992), 5(5), 364-7  
DOCUMENT TYPE: CODEN: JPCTE5; ISSN: 0933-4173  
LANGUAGE: Journal  
English  
AB The chromatog. behavior of DL methylthiohydantoin and phenylthiohydantoin derivs. of amino acids, kynurenyne, 3-(1-naphthyl)alanine, lactic acid derivs., alanine and leucine p-nitroanilides, and 2,2,2-trifluoro-1-(9-anthryl)ethanol has been extensively investigated on RP-18W/UV254 and Sil C18-50 UV254 plates developed with aq. org. mobile phases contg. bovine serum albumin (BSA) as a chiral agent. The success of enantiomeric sepn. is highly dependent on the type of the layer, the concn. of BSA, the org. modifier, and the pH of the mobile phase. High .alpha. and Rs values have been obtained for most sepns.  
IT 145552-39-2  
RL: ANST (Analytical study); PROC (Process)  
(resoln. of, by reversed-phase TLC using bovine serum albumin as mobile phase modifier)  
RN 145552-39-2 CAPLUS  
CN 4-Imidazolidinone, 5-[[4-(1H-indol-2-yl)phenyl]methyl]-3-methyl-2-thioxo- (9CI) (CA INDEX NAME)



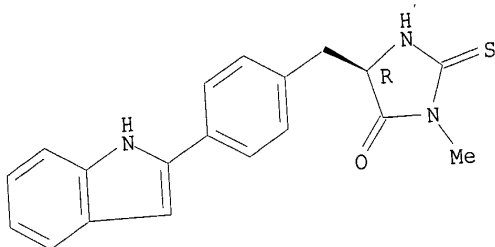
IT 145476-50-2 145476-51-3  
RL: ANST (Analytical study); PROC (Process)  
(sepn. of, from enantiomer by reversed-phase TLC using bovine serum albumin as mobile phase modifier)  
RN 145476-50-2 CAPLUS  
CN 4-Imidazolidinone, 5-[[4-(1H-indol-2-yl)phenyl]methyl]-3-methyl-2-thioxo-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



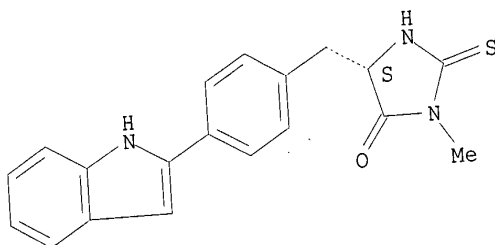
Liu

09/820436



RN 145476-51-3 CAPLUS  
 CN 4-Imidazolidinone, 5-[[4-(1H-indol-2-yl)phenyl]methyl]-3-methyl-2-thioxo-,  
 (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L22 ANSWER 18 OF 41 CAPLUS COPYRIGHT 2001 ACS  
 1991:449618 CAPLUS  
 115:49618  
 ACCESSION NUMBER:  
 DOCUMENT NUMBER:  
 TITLE:

AUTHOR(S):

CORPORATE SOURCE:

SOURCE:

DOCUMENT TYPE:

LANGUAGE:

GI

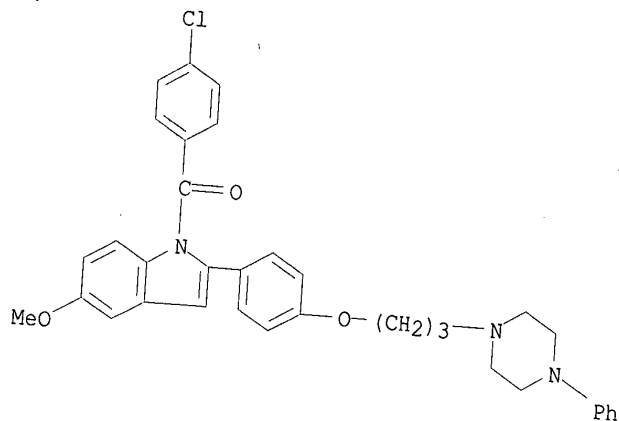
Synthesis and pharmacological activities of  
 1-(2,4-disubstituted phenoxy)-3-[N1-(N4-  
 arylpiperazinyl)]propanes and 1-(4-chlorobenzoyl)-3-  
 substituted 6-methoxy-2-{4-[3-N1-(N4-  
 phenylpiperazinyl)propoxy]phenyl}indoles  
 Agarwal, Shiv K.; Saxena, Anil K.; Jain, Padam C.;  
 Anand, Nitya; Srimal, R. C.; Dhawan, Bhola N.  
 Div. Med. Chem., Cent. Drug Res. Inst., Lucknow, 226  
 001, India  
 Indian J. Chem., Sect. B (1991), 30B(4), 413-16  
 CODEN: IJSBDB; ISSN: 0376-4699  
 Journal  
 English

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

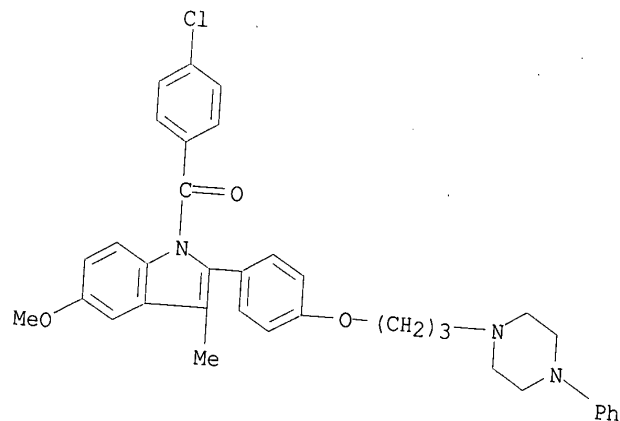
AB Phenoxy- and thiophenoxy(phenylpiperazinyl)propanes I (R = NO<sub>2</sub>, COMe,  
 COEt, COCH<sub>2</sub>CH<sub>2</sub>CO<sub>2</sub>H, R<sub>1</sub> = Cl, H, R<sub>2</sub> = H, R<sub>3</sub> = OMe, H, X = O, S; R = NH<sub>2</sub>, R<sub>1</sub>  
 = Cl, H, R<sub>2</sub> = H, R<sub>3</sub> = OMe, H, X = O, X; R = NO<sub>2</sub>, R<sub>1</sub> = Cl, R<sub>2</sub> = OH, R<sub>3</sub> =  
 OMe, X = O; etc.) and benzoyl[(piperazinylpropoxy)phenyl]indoles II (R<sub>4</sub> =  
 H, Me, CH<sub>2</sub>CO<sub>2</sub>H) were prepd. and tested for central nervous system,  
 antiinflammatory, diuretic, antiarrhythmic, antihistaminic, and  
 antiallergy activities. Thus, condensation of 2-chloro-4-nitrophenol with  
 chloro(phenylpiperazinyl)propane III gave I (R = NO<sub>2</sub>, R<sub>1</sub> = Cl, R<sub>2</sub> = H, R<sub>3</sub>  
 = OMe, X = O) (IV). IV and I (R = NH<sub>2</sub>, R<sub>1</sub> = Cl, R<sub>2</sub> = H, R<sub>3</sub> = OMe, X = O)

Searched by Barb O'Bryen, STIC 308-4291

had interesting tranquilizing activity.  
IT 134858-64-3P 134858-65-4P 134858-66-5P  
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(prepn. and pharmacol. activity of)  
RN 134858-64-3 CAPLUS  
CN 1H-Indole, 1-(4-chlorobenzoyl)-5-methoxy-2-[4-[3-(4-phenyl-1-piperazinyl)propoxy]phenyl]- (9CI) (CA INDEX NAME)



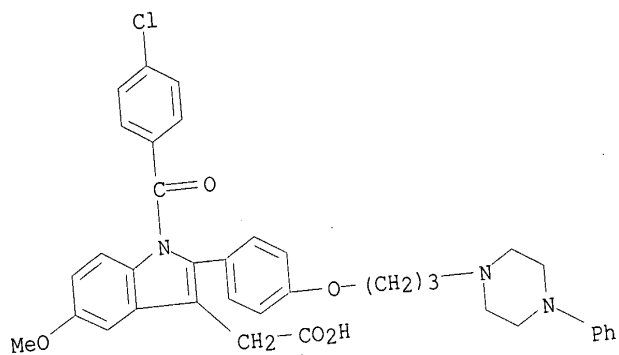
RN 134858-65-4 CAPLUS  
CN 1H-Indole, 1-(4-chlorobenzoyl)-5-methoxy-3-methyl-2-[4-[3-(4-phenyl-1-piperazinyl)propoxy]phenyl]- (9CI) (CA INDEX NAME)



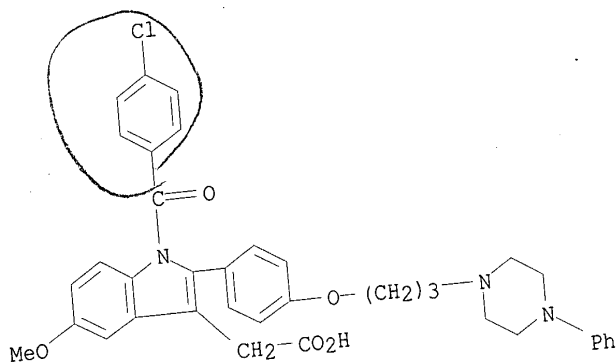
RN 134858-66-5 CAPLUS  
CN 1H-Indole-3-acetic acid, 1-(4-chlorobenzoyl)-5-methoxy-2-[4-[3-(4-phenyl-1-piperazinyl)propoxy]phenyl]- (9CI) (CA INDEX NAME)

Liu

09/820436

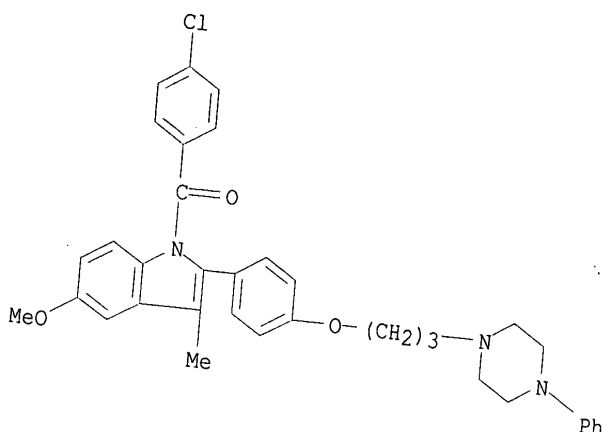


IT 134858-68-7P 134858-69-8P 134858-70-1P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of)  
 RN 134858-68-7 CAPLUS  
 CN 1H-Indole-3-acetic acid, 1-(4-chlorobenzoyl)-5-methoxy-2-[4-[3-(4-phenyl-1-piperazinyl)propoxy]phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)



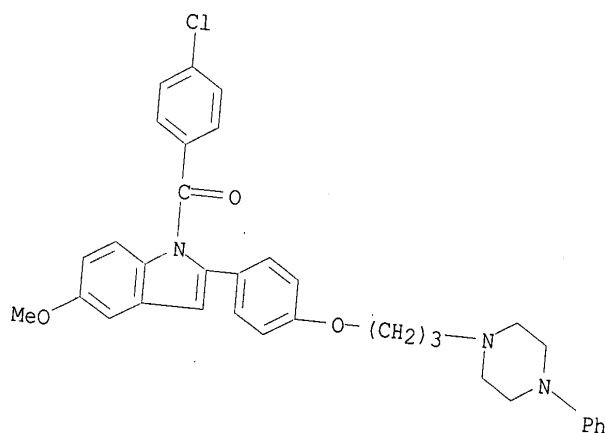
●2 HCl

RN 134858-69-8 CAPLUS  
 CN 1H-Indole, 1-(4-chlorobenzoyl)-5-methoxy-3-methyl-2-[4-[3-(4-phenyl-1-piperazinyl)propoxy]phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 134858-70-1 CAPLUS  
 CN 1H-Indole, 1-(4-chlorobenzoyl)-5-methoxy-2-[4-[3-(4-phenyl-1-piperazinyl)propoxy]phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

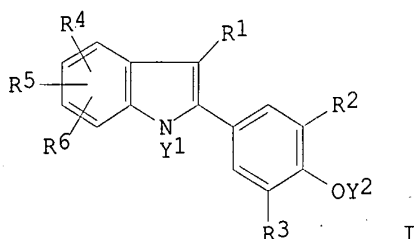
L22 ANSWER 19 OF 41 CAPLUS COPYRIGHT 2001 ACS  
 ACCESSION NUMBER: 1987:534194 CAPLUS  
 DOCUMENT NUMBER: 107:134194  
 TITLE: Preparation of 2-phenylindole derivatives as slow-reacting substance inhibitors  
 INVENTOR(S): Suzuki, Yasushi; Hasegawa, Yukio; Sato, Michitaka; Saito, Morinobu; Yamamoto, Norio; Miyasaka, Katsuhiko; Kenjo, Takashi; Miyazawa, Katsuhiko  
 PATENT ASSIGNEE(S): Teikoku Hormone Mfg. Co., Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 27 pp.  
 DOCUMENT TYPE: CODEN: JKXXAF  
 Patent

Searched by Barb O'Bryen, STIC 308-4291

LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 62053962	A2	19870309	JP 1985-192904	19850831
JP 05060462	B4	19930902		

GI



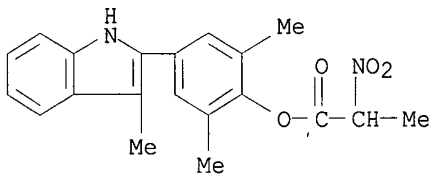
AB 2-Phenylindole derivs. [I; R1 = alkyl; R2, R3 = C1-3 alkyl; R4, R5, R6 = H, halo, alkyl, alkoxy, etc.; Y1 = H, alkyl, (halo)benzyl, (halo)benzoyl, aminoalkyl, etc.; Y2 = H, (un)substituted alkanoyl, P(O)(OH)2, aminoalkyl], useful as slow-reacting substance inhibitors, are prepd. Small amts. of HOAc were added to a soln. of 5 g 2,6,4-Me2(EtCO)C6H2OMe and 2.8 g PhNHNH2 in EtOH and refluxed to give I (R1 = R2 = R3 = Y2 = Me; R4 = R5 = R6 = Y1 = H), which was heated with pyridinium chloride at 200.degree. to give I (R1 = R2 = R3 = Me; R4 = R5 = R6 = Y1 = Y2 = H), which at 30 mg/kg p.o. showed 90.2% inhibition of slow-reacting substances of anaphylaxis in guinea pigs. A capsule formulation contained I 50, starch 30, lactose 27.8, and Mg stearate 2.2 mg.

IT 109139-57-3P 109139-58-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. and redn. of)

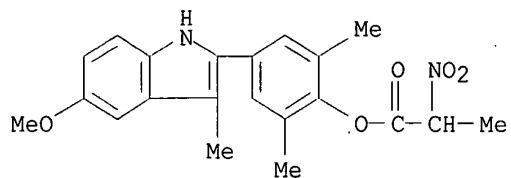
RN 109139-57-3 CAPLUS

CN Propanoic acid, 2-nitro-, 2,6-dimethyl-4-(3-methyl-1H-indol-2-yl)phenyl ester (9CI) (CA INDEX NAME)



RN 109139-58-4 CAPLUS

CN Propanoic acid, 2-nitro-, 4-(5-methoxy-3-methyl-1H-indol-2-yl)-2,6-dimethylphenyl ester (9CI) (CA INDEX NAME)



IT 109139-70-0P 109139-72-2P 109139-77-7P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of, as slow-reacting substance inhibitor)

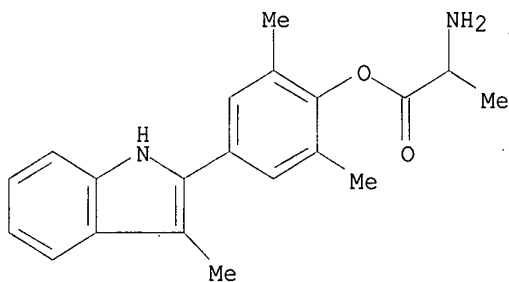
RN 109139-70-0 CAPLUS

CN Alanine, 2,6-dimethyl-4-(3-methyl-1H-indol-2-yl)phenyl ester, acetate  
(9CI) (CA INDEX NAME)

CM 1

CRN 109139-69-7

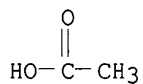
CMF C20 H22 N2 O2



CM 2

CRN 64-19-7

CMF C2 H4 O2



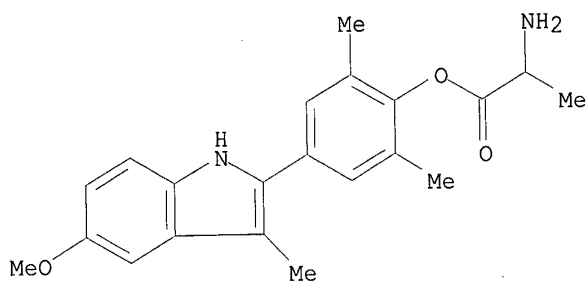
RN 109139-72-2 CAPLUS

CN Alanine, 4-(5-methoxy-3-methyl-1H-indol-2-yl)-2,6-dimethylphenyl ester,  
acetate (9CI) (CA INDEX NAME)

CM 1

CRN 109139-71-1

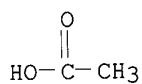
CMF C21 H24 N2 O3



CM 2

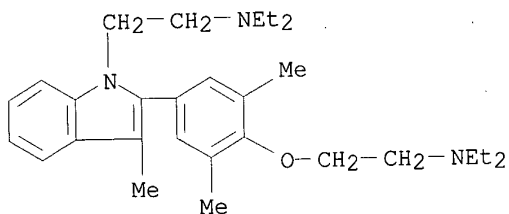
CRN 64-19-7

CMF C2 H4 O2



RN 109139-77-7 CAPLUS

CN 1H-Indole-1-ethanamine, 2-[4-[2-(diethylamino)ethoxy]-3,5-dimethylphenyl]-N,N-diethyl-3-methyl-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

L22 ANSWER 20 OF 41 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1987:102022 CAPLUS

DOCUMENT NUMBER: 106:102022

TITLE: A new dimerization reaction in polyphosphoric acid

AUTHOR(S): Erra Balsells, Rosa; Portal, Carlos R.; Frasca, Adolfo R.

CORPORATE SOURCE: Dep. Quim. Org., Fac. Cienc. Exactas Nat., Buenos Aires, 1428, Argent.

SOURCE: Z. Naturforsch., B: Anorg. Chem., Org. Chem. (1986), 41B(6), 768-71

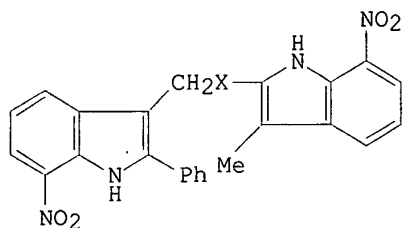
CODEN: ZNBAD2; ISSN: 0340-5087

DOCUMENT TYPE: Journal

LANGUAGE: English

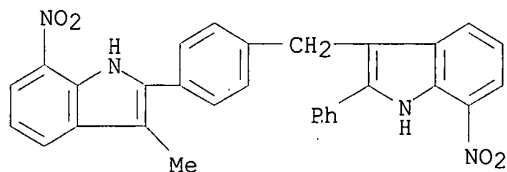
OTHER SOURCE(S): CASREACT 106:102022

GI

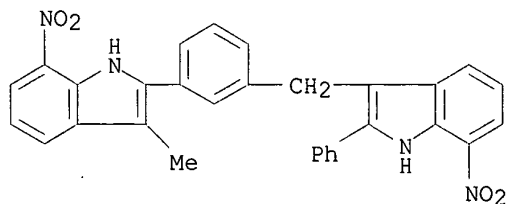


I

AB Heating PhEtC:NNHC6H4NO2-o in polyphosphoric acid gave the dimers I (X = m-C6H4, p-C6H4) together with 2-phenyl-3-methyl-7-nitroindole.  
IT **106942-81-8P**  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. and oxidn. of)  
RN 106942-81-8 CAPLUS  
CN 1H-Indole, 3-[[4-(3-methyl-7-nitro-1H-indol-2-yl)phenyl]methyl]-7-nitro-2-phenyl- (9CI) (CA INDEX NAME)



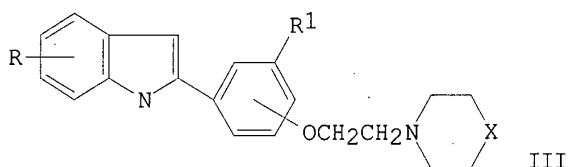
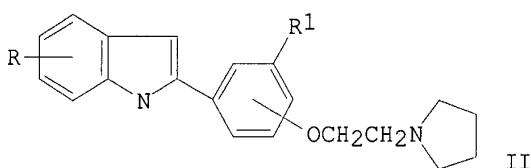
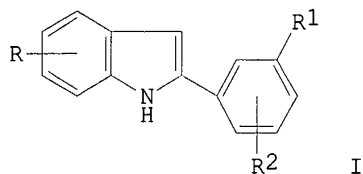
IT **106942-82-9P**  
RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)  
RN 106942-82-9 CAPLUS  
CN 1H-Indole, 3-[[3-(3-methyl-7-nitro-1H-indol-2-yl)phenyl]methyl]-7-nitro-2-phenyl- (9CI) (CA INDEX NAME)



L22 ANSWER 21 OF 41 CAPLUS COPYRIGHT 2001 ACS  
ACCESSION NUMBER: 1986:590834 CAPLUS  
DOCUMENT NUMBER: 105:190834  
TITLE: Preparation of new fluorine containing 2-phenylindole derivatives as antifertility agents  
AUTHOR(S): Joshi, Krishna C.; Jain, Renuka; Garg, Saroj  
CORPORATE SOURCE: Dep. Chem., Univ. Rajasthan, Jaipur, 302 004, India  
SOURCE: J. Indian Chem. Soc. (1985), 62(5), 388-90  
CODEN: JICSAH; ISSN: 0019-4522  
DOCUMENT TYPE: Journal



LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 105:190834  
 GI



AB New F-contg. indoles I (R = H, 5-F, 6-F; R1 = H, 3-F; R2 = 2-OH, 4-OH) were synthesized by Fischer indole synthesis. I reacts with N,N-dialkylaminoethyl chloride-HCl to give ethers II and III (X = O, CH2). Representative compds. were screened for antifertility activity at 10 mg/kg post-coitally with promising results (oral, no data).

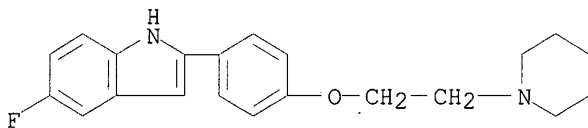
IT 104815-85-2P 104815-86-3P 104815-87-4P

104815-88-5P 104815-95-4P 104843-80-3P

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. and contraceptive activity of)

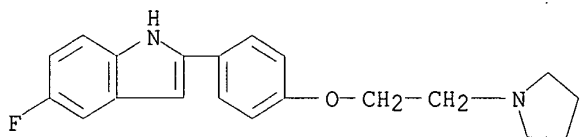
RN 104815-85-2 CAPLUS

CN 1H-Indole, 5-fluoro-2-[4-[2-(1-piperidinyl)ethoxy]phenyl]- (9CI) (CA  
 INDEX NAME)



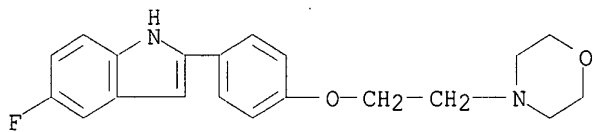
RN 104815-86-3 CAPLUS

CN 1H-Indole, 5-fluoro-2-[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]- (9CI) (CA  
 INDEX NAME)



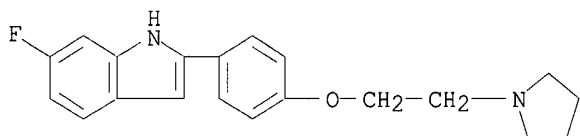
RN 104815-87-4 CAPLUS

CN 1H-Indole, 5-fluoro-2-[4-[2-(4-morpholinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



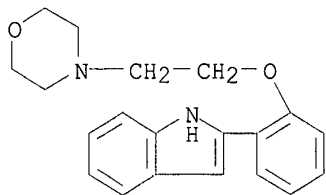
RN 104815-88-5 CAPLUS

CN 1H-Indole, 6-fluoro-2-[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



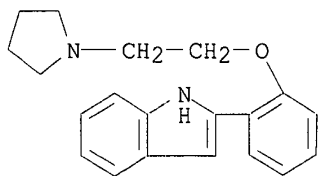
RN 104815-95-4 CAPLUS

CN 1H-Indole, 2-[2-[2-(4-morpholinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



RN 104843-80-3 CAPLUS

CN 1H-Indole, 2-[2-[2-(1-pyrrolidinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)

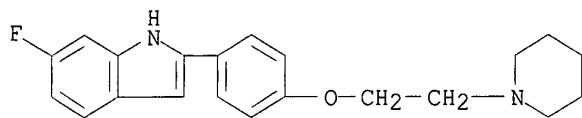


IT 104815-89-6P 104815-90-9P 104815-91-0P

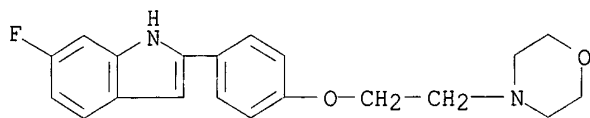
104815-92-1P 104815-93-2P 104815-94-3P

RL: SPN (Synthetic preparation); PREP (Preparation)

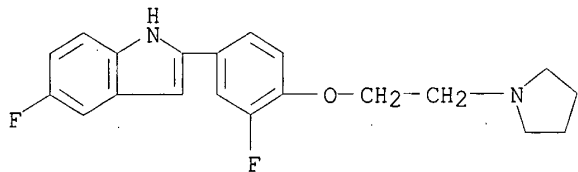
(prepn. of)  
RN 104815-89-6 CAPLUS  
CN 1H-Indole, 6-fluoro-2-[4-[2-(1-piperidinyl)ethoxy]phenyl]- (9CI) (CA  
INDEX NAME)



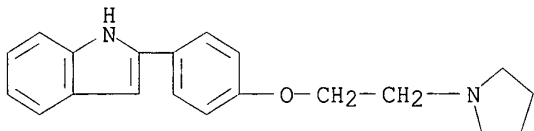
RN 104815-90-9 CAPLUS  
CN 1H-Indole, 6-fluoro-2-[4-[2-(4-morpholinyl)ethoxy]phenyl]- (9CI) (CA  
INDEX NAME)



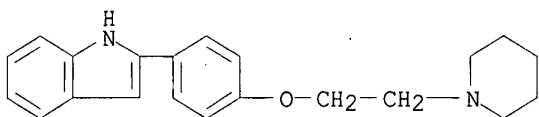
RN 104815-91-0 CAPLUS  
CN 1H-Indole, 5-fluoro-2-[3-fluoro-4-[2-(1-pyrrolidinyl)ethoxy]phenyl]- (9CI)  
(CA INDEX NAME)



RN 104815-92-1 CAPLUS  
CN 1H-Indole, 2-[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)

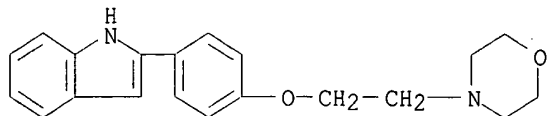


RN 104815-93-2 CAPLUS  
CN 1H-Indole, 2-[4-[2-(1-piperidinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)

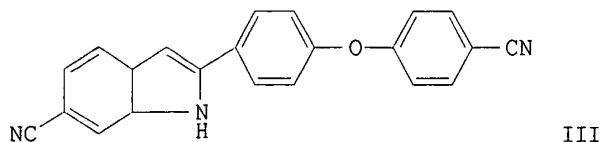
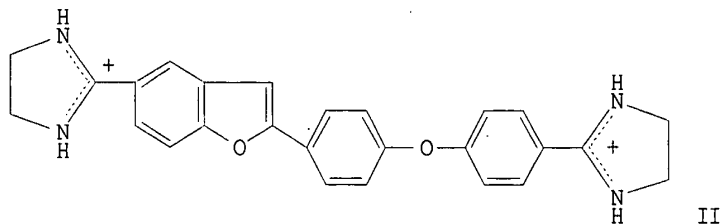
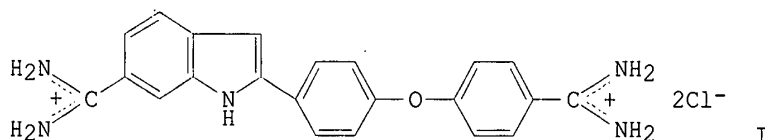


RN 104815-94-3 CAPLUS

CN 1H-Indole, 2-[4-[2-(4-morpholinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



~~122~~ ANSWER 22 OF 41 CAPLUS COPYRIGHT 2001 ACS  
ACCESSION NUMBER: 1984:209577 CAPLUS  
DOCUMENT NUMBER: 100:209577  
TITLE: Syntheses of antimicrobial biscationic  
2-(phenoxyphenyl)indoles and -1-benzofurans  
AUTHOR(S): Dann, Otto; Ruff, Juergen; Wolff, Hans Peter;  
Griessmeier, Helmut  
CORPORATE SOURCE: Inst. Pharm. Lebensmittelchem., Univ.  
Erlangen-Nurnberg, Erlangen, D-8520, Fed. Rep. Ger.  
SOURCE: Liebigs Ann. Chem. (1984), (3), 409-25  
CODEN: LACHDL; ISSN: 0170-2041  
DOCUMENT TYPE: Journal  
LANGUAGE: German  
GI



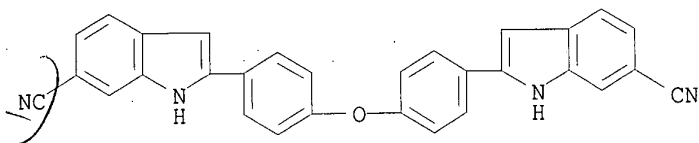
AB Ten 2-(phenoxyphenyl)indoles and 4 2-(phenoxyphenyl)-1-benzofurans with terminal amidinium or imidazolinium groups, e.g. I and II, were prepd. as antimicrobials. Thus, 4,2-Br(O2N)C6H3CH2COC6H4(OC6H4Br-p)-p, prepd. from 4,3-Br(O2N)C6H3CH2CO2H and p-BrC6H4OPh, underwent reductive cyclization followed by reaction with CuCN to give the indole III which was aminated with NH3 to give I.

IT 90178-65-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and amination of, amidine and imidazoliny l derivs. from)

RN 90178-65-7 CAPLUS

CN 1H-Indole-6-carbonitrile, 2,2'-(oxydi-4,1-phenylene)bis- (9CI) (CA INDEX NAME)



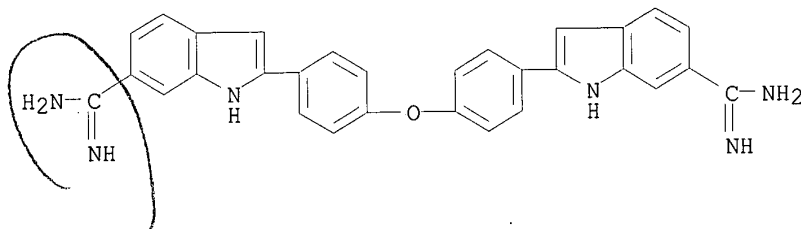
IT 90178-87-3P 90178-90-8P 90178-91-9P

90178-92-0P 90178-93-1P 90178-94-2P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

RN 90178-87-3 CAPLUS

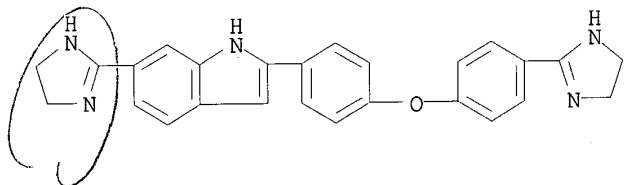
CN 1H-Indole-6-carboximidamide, 2,2'-(oxydi-4,1-phenylene)bis-,  
dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 90178-90-8 CAPLUS

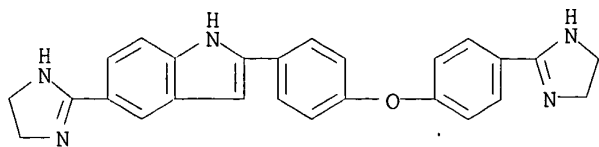
CN 1H-Indole, 6-(4,5-dihydro-1H-imidazol-2-yl)-2-[4-[4-(4,5-dihydro-1H-imidazol-2-yl)phenoxy]phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 90178-91-9 CAPLUS

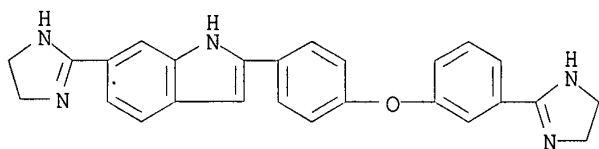
CN 1H-Indole, 5-(4,5-dihydro-1H-imidazol-2-yl)-2-[4-[4-(4,5-dihydro-1H-imidazol-2-yl)phenoxy]phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 90178-92-0 CAPLUS

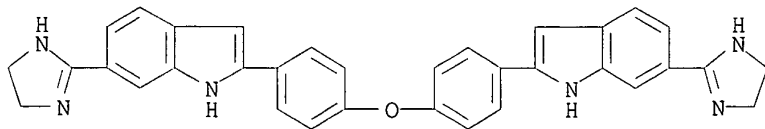
CN 1H-Indole, 6-(4,5-dihydro-1H-imidazol-2-yl)-2-[4-[3-(4,5-dihydro-1H-imidazol-2-yl)phenoxy]phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 90178-93-1 CAPLUS

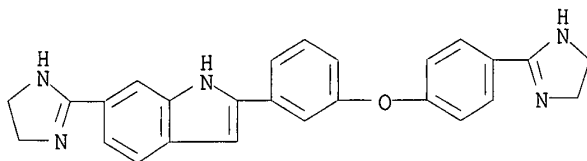
CN 1H-Indole, 2,2'-(oxydi-4,1-phenylene)bis[6-(4,5-dihydro-1H-imidazol-2-yl)-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 90178-94-2 CAPLUS

CN 1H-Indole, 6-(4,5-dihydro-1H-imidazol-2-yl)-2-[3-[4-(4,5-dihydro-1H-imidazol-2-yl)phenoxy]phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

~~L22~~ ANSWER 23 OF 41 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1983:590925 CAPLUS

DOCUMENT NUMBER: 99:190925

TITLE: Evaluation of five basic fluorochromes of potential

use in microfluorometric studies of nucleic acids

AUTHOR(S): Curtis, S. K.; Cowden, R. R.

CORPORATE SOURCE: Quillen-Dishner Coll. Med., East Tennessee State Univ., Johnson City, TN, 37614, USA

SOURCE: Histochemistry (1983), 78(4), 503-11

CODEN: HCMYAL; ISSN: 0301-5564

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Five basic fluorochromes [Nuclear Yellow (Hoechst 769121), D261/37, D287/170, D288/26, and D272/131 diacetate] were evaluated to det. whether or not any could be used in microfluorometric studies for the selective demonstration of nucleic acids. Only 2 of the fluorochromes, Nuclear Yellow and the phenoxyindole compd. D261/37, were found to be selective for nucleic acids, whereas the other 3 fluorochromes produced small-to-moderate amts. of fluorescence in preps. extd. sequentially with RNase and DNase. All of the fluorochromes could be considered structural probes since they produced less fluorescence in the highly condensed chromatin of thymocyte nucleic than they did in the less condensed chromatin of hepatocyte nuclei. When exposed to continuous excitation for 2-min intervals, hepatocyte nuclei stained with Nuclear Yellow or D261/37 gradually lost, resp., .apprx.21 or .apprx.60% of their original fluorescence. Nuclei stained with the other 3 fluorochromes displayed much more rapid fading and lost >80% of their original fluorescence.

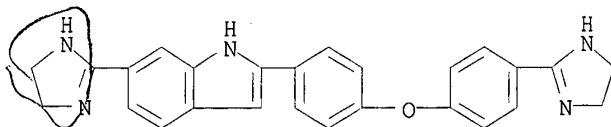
IT 73819-48-4

RL: ANST (Analytical study)

(staining by, of nucleic acids for microfluorometry)

RN 73819-48-4 CAPLUS

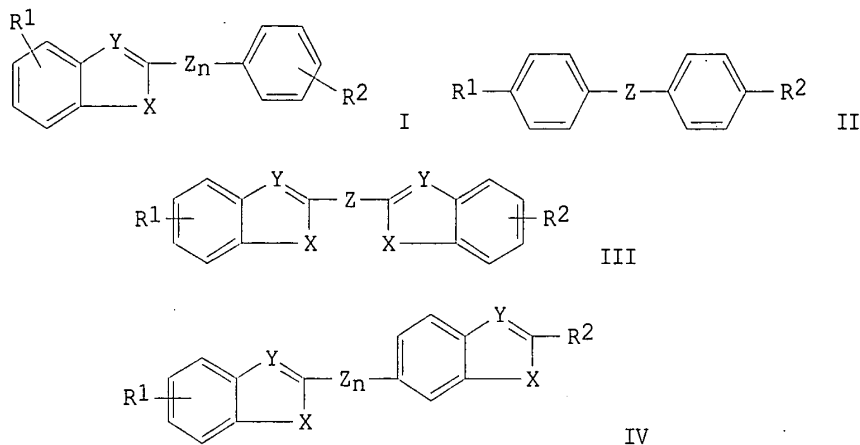
CN 1H-Indole, 6-(4,5-dihydro-1H-imidazol-2-yl)-2-[4-[4-(4,5-dihydro-1H-imidazol-2-yl)phenoxy]phenyl]- (9CI) (CA INDEX NAME)

~~L22~~ ANSWER 24 OF 41 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1983:569063 CAPLUS

DOCUMENT NUMBER: 99:169063

TITLE: Inhibitory activity of diarylamidine derivatives on murine leukemia L1210 cell growth  
AUTHOR(S): Balzarini, Jan; De Clercq, Erik; Dann, Otto  
CORPORATE SOURCE: Rega Inst. Med. Res., Kathol. Univ. Leuven, Louvain, B-3000, Belg.  
SOURCE: Invest. New Drugs (1983), 1(2), 103-15  
CODEN: INNDDK  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
GI



AB A series of 96 diarylamidine and diarylamidazoline derivs., mostly I (X = NH, O, S, SO<sub>2</sub>, CH<sub>2</sub>; Y = CH, CNH<sub>2</sub>, N, etc.; R<sup>1</sup> and R<sup>2</sup> = amidino, imidazolino, etc.; Z = CH:CH, PhO, CONH, NH, etc; n = 0 or 1), II (R<sup>1</sup> and R<sup>2</sup> = amidino or imidazolino; Z = CH:CH, NHN:N, etc.), III (X = O, S, or NH; Y = CH, CMe, N; R<sup>1</sup> and R<sup>2</sup> = amidino or imidazolino), and IV (X = NH; Y = CH; Z = CH:CH; R<sup>1</sup> and R<sup>2</sup> = imidazolino; n = 0 or 1), were tested for antitumor activity against murine leukemia L1210 cells.

Structure-function anal. revealed that the antitumor activity of the diarylamidines depended on the planarity of the mol., the presence of amidino or, preferably, imidazolino groups or both aryl moieties, the nature of the bridge connecting the 2 aryl moieties, and the nature of the aryl moieties (preferably benzofuran or benzo[b]thiophene. Thus, (6-(2-imidazolin-2-yl)-2-[4-(2-imidazolin-2-yl)phenyl]benzo[b]thiophene (I; X = S; Y = CH; R<sup>1</sup> = R<sup>2</sup> = imidazolino; n = 0) [73819-21-3] was the most potent inhibitor of L1210 cell growth. The inhibitory effects of diarylamidines on L1210 cell proliferation may at least partly involve an inhibition of DNA synthesis. 2,2'-Vinylenedi-1-benzofuran-5-carboxamidine (III; X = O; Y = CH; Z = CH:CH; R<sup>1</sup> = R<sup>2</sup> = amidino) [65426-90-6] exhibited potent antitumor activity in vitro and in vivo in L1210-inoculated mice.

IT 73819-48-4 73827-21-1 87559-19-1  
87559-20-4 87559-26-0 87559-27-1

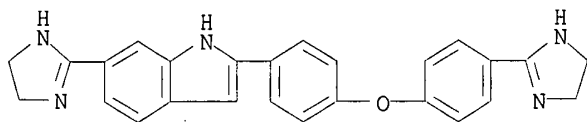
RL: BAC (Biological activity or effector, except adverse); BIOL (Biological study)

(neoplasm inhibitory activity of, structure in relation to)

RN 73819-48-4 CAPLUS

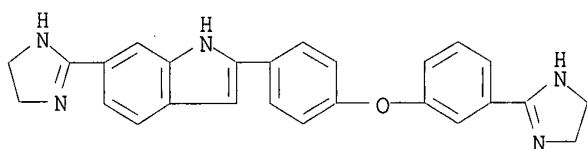
CN 1H-Indole, 6-(4,5-dihydro-1H-imidazol-2-yl)-2-[4-[4-(4,5-dihydro-1H-imidazol-2-yl)phenoxy]phenyl]- (9CI) (CA INDEX NAME)





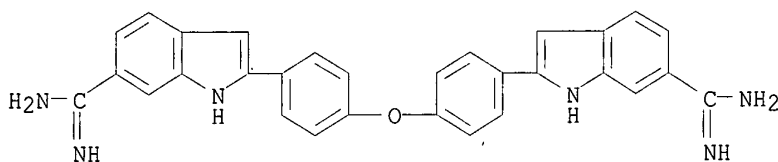
RN 73827-21-1 CAPLUS

CN 1H-Indole, 6-(4,5-dihydro-1H-imidazol-2-yl)-2-[4-{3-(4,5-dihydro-1H-imidazol-2-yl)phenoxy}phenyl]- (9CI) (CA INDEX NAME)



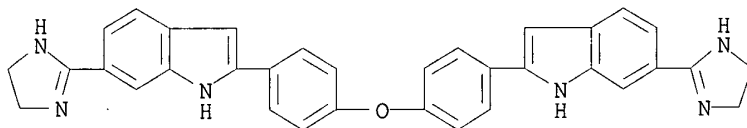
RN 87559-19-1 CAPLUS

CN 1H-Indole-6-carboximidamide, 2,2'-(oxydi-4,1-phenylene)bis- (9CI) (CA INDEX NAME)



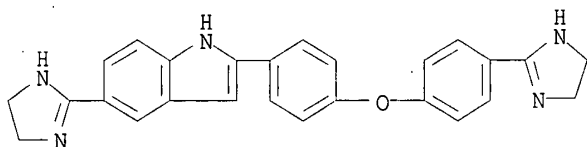
RN 87559-20-4 CAPLUS

CN 1H-Indole, 2,2'-(oxydi-4,1-phenylene)bis[6-(4,5-dihydro-1H-imidazol-2-yl)- (9CI) (CA INDEX NAME)



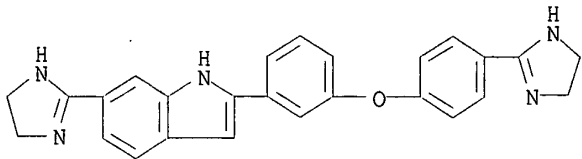
RN 87559-26-0 CAPLUS

CN 1H-Indole, 5-(4,5-dihydro-1H-imidazol-2-yl)-2-[4-{4-(4,5-dihydro-1H-imidazol-2-yl)phenoxy}phenyl]- (9CI) (CA INDEX NAME)

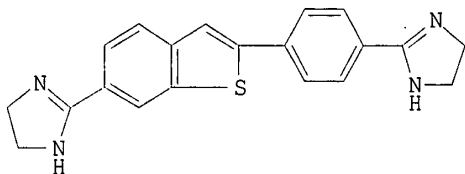


RN 87559-27-1 CAPLUS

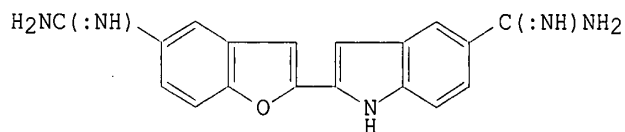
CN 1H-Indole, 6-(4,5-dihydro-1H-imidazol-2-yl)-2-[3-[4-(4,5-dihydro-1H-imidazol-2-yl)phenoxy]phenyl]- (9CI) (CA INDEX NAME)



~~D22~~ ANSWER 25 OF 41 CAPLUS COPYRIGHT 2001 ACS  
ACCESSION NUMBER: 1980:461021 CAPLUS  
DOCUMENT NUMBER: 93:61021  
TITLE: Diaryl amidine derivatives as oncornaviral DNA polymerase inhibitors  
AUTHOR(S): De Clercq, E.; Dann, O.  
CORPORATE SOURCE: Rega Inst. Med. Res., Kathol. Univ. Leuven, Louvain, B-3000, Belg.  
SOURCE: J. Med. Chem. (1980), 23(7), 787-95  
CODEN: JMCMAR; ISSN: 0022-2623  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
GI



I



II

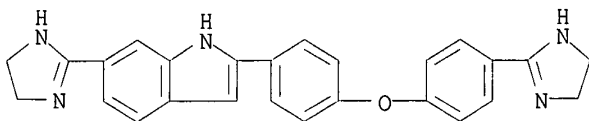
AB The title compds. in which the amidino-substituted rings were linked by a C or C-N chain of variable length were prepd. by the Pinner reaction and evaluated for their inhibitory effect on the reverse transcriptase [9068-38-6] of Moloney murine leukemia virus. 4',6-Diimidazolino-2-phenylbenzo[b]thiophene (I) [73819-21-3] and 5-amidino-2-(5-amidino-2-benzofuranyl)indole (II) [73819-39-3] were among the most potent inhibitors of oncornavirus-directed DNA synthesis. Several compds. had an ID50 (50% ID) of 1 .mu.g/mL comparable to that of ethidium bromide. In vivo tests showed that the title compds. were effective in inhibiting tumor development in mice inoculated with Moloney murine sarcoma virus. Both amidino, imidazolino, or guanidino groups and mol. planarity were necessary for optimal inhibitory activity.

IT 73819-48-4 73827-21-1

RL: BIOL (Biological study)  
(oncornaviral reverse transcriptase inhibition by)

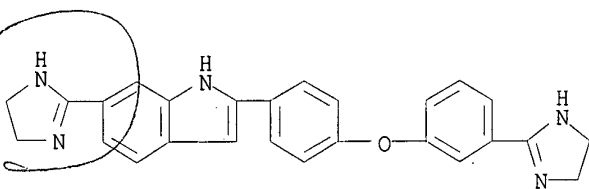
RN 73819-48-4 CAPLUS

CN 1H-Indole, 6-(4,5-dihydro-1H-imidazol-2-yl)-2-[4-[4-(4,5-dihydro-1H-imidazol-2-yl)phenoxy]phenyl]- (9CI) (CA INDEX NAME)



RN 73827-21-1 CAPLUS

CN 1H-Indole, 6-(4,5-dihydro-1H-imidazol-2-yl)-2-[4-[3-(4,5-dihydro-1H-imidazol-2-yl)phenoxy]phenyl]- (9CI) (CA INDEX NAME)



22 ANSWER 26 OF 41 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1981:57949 CAPLUS

DOCUMENT NUMBER: 94:57949

TITLE: Antifungal and antibacterial activities of diarylamidine derivatives

AUTHOR(S): Anne, Jozef; De Clercq, Erik; Eyssen, Hendrik; Dann, Otto

CORPORATE SOURCE: Rega Inst. Med. Res., Katholieke Univ. Leuven, Louvain, B-3000, Belg.

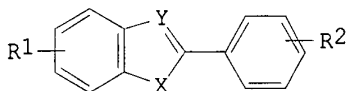
SOURCE: Antimicrob. Agents Chemother. (1980), 18(2), 231-9

CODEN: AMACCQ; ISSN: 0066-4804

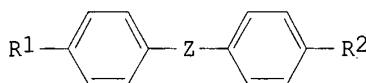
DOCUMENT TYPE: Journal

LANGUAGE: English

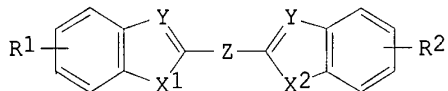
GI



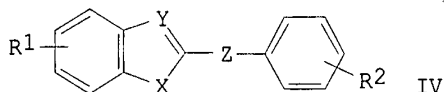
I



II



III



IV

AB Seventy-nine title compds. most of which are described by I, II, III, and

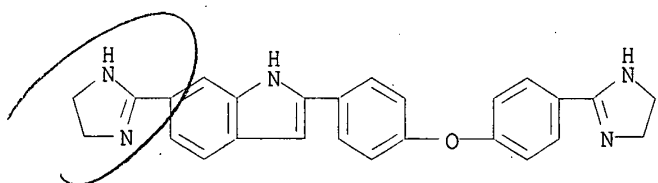
IV [R1 and R2 = C(:NH)NH2, imidazolino, etc.; X, X1, X2 = NH, O, S, etc.; Y = CH, CNH2, CMe, N; Z = CH:CH, NHN:N, C6H4O, NHCOC6H4CONH-4, etc.] were evaluated for antibacterial and antifungal activities. Minor structural variations resulted in significant changes of antimicrobial activity. In general the structural features required for antifungal activity coincided with those required for antibacterial activity. The most active antifungal compd. III (R1 = R2 = amidino, X = NH, Y = CH, and Z = p-C6H4O) was evaluated for its activity against *Candida albicans* infection in mice.

IT 73819-48-4 73827-21-1

RL: BIOL (Biological study)  
(bactericidal and fungicidal activity)

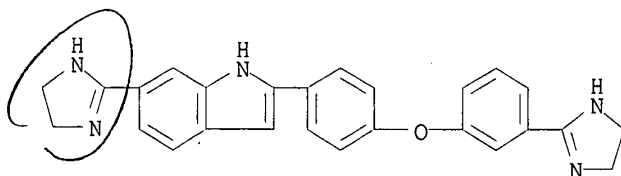
RN 73819-48-4 CAPLUS

CN 1H-Indole, 6-(4,5-dihydro-1H-imidazol-2-yl)-2-[4-[4-(4,5-dihydro-1H-imidazol-2-yl)phenoxy]phenyl]- (9CI) (CA INDEX NAME)



RN 73827-21-1 CAPLUS

CN 1H-Indole, 6-(4,5-dihydro-1H-imidazol-2-yl)-2-[4-[3-(4,5-dihydro-1H-imidazol-2-yl)phenoxy]phenyl]- (9CI) (CA INDEX NAME)



L22 ANSWER 27 OF 41 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1979:492527 CAPLUS

DOCUMENT NUMBER: 91:92527

TITLE: Polychromophoric heterocyclic ultraviolet stabilizers and their use in organic compositions

INVENTOR(S): Pond, David M.; Wang, Richard H.; Irlck, Gether, Jr.

PATENT ASSIGNEE(S): Eastman Kodak Co., USA

SOURCE: Can., 31 pp.  
CODEN: CAXXA4

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CA 1055504	A1	19790529	CA 1975-237031	19751003
US 4000148	A	19761228	US 1974-523628	19741114
JP 51069544	A2	19760616	JP 1975-135600	19751111
CH 583267	A	19761231	CH 1975-14742	19751113

PRIORITY APPLN. INFO.: US 1974-523628 19741114

AB Polychromophoric heterocyclic compds., AC02B (A, B = optionally substituted benzoxazolylphenyl, benzothiazolylphenyl, benzotriazolylphenyl, benzoxazolyl, benzotriazolyl, benzothiazolyl) were

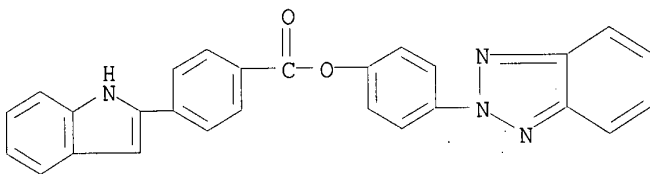
useful as UV stabilizers and screening agents for polymers. Thus, 1,4-butanediol-terephthalic acid copolymer (I) [26062-94-2] contg. 0.5% 2-(2-[4-(2-benzotriazolyl)-benzoyloxy]-5-methylphenyl)benzotriazole (II) [60445-15-0] had flatwise impact strength 18 after 5 h exposure to a UV source, as compared to 1 for I contg. no II.

IT 60445-11-6

RL: PEP (Physical, engineering or chemical process); PROC (Process) (light stabilizers, for polymers)

RN 60445-11-6 CAPLUS

CN Benzoic acid, 4-(1H-indol-2-yl)-, 4-(2H-benzotriazol-2-yl)phenyl ester (9CI) (CA INDEX NAME)



L22 ANSWER 28 OF 41 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1976:523927 CAPLUS

DOCUMENT NUMBER: 85:123927

TITLE: Polychromophoric heterocyclic esters

INVENTOR(S): Pond, David M.; Wang, Richard H. S.; Irick, Gether, Jr.

PATENT ASSIGNEE(S): Eastman Kodak Co., USA

SOURCE: Ger. Offen., 34 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2550876	A1	19760520	DE 1975-2550876	19751112
US 4000148	A	19761228	US 1974-523628	19741114
JP 51069544	A2	19760616	JP 1975-135600	19751111
CH 583267	A	19761231	CH 1975-14742	19751113

PRIORITY APPLN. INFO.: US 1974-523628 19741114

AB Polychromophoric heterocyclic esters 4-RC6H4O2CC6H4R1-4 (R = 2H-benzotriazol-2-yl, R1 = 2-benzoxazolyl, 2H-benzotriazol-2-yl, 2-benzothiazolyl, 2-indolyl; R = 2-benzoxazolyl, R1 = 2-benzimidazolyl), useful as uv stabilizers for polymers, were prepd. by treating 4-(2H-benzotriazol-2-yl)- (I) or 4-(2-benzoxazolyl)phenol (II) with 4-R1C6H4COCl. I was prepd. by Zn-NaOH reductive cyclization of 4-(2-O2NC6H4N:N)C6H4OH and II by refluxing 2-H2NC6H4OH with 4-HOC6H4CHO 5 hr in PhNO2. A further 15 esters of similar structures were tested for uv stabilizing action but not characterized. The impact strength of poly(tetramethyleneterephthalate) decreased only 5-10% after 500 hr weathering when 0.5 wt-% of the heterocyclic esters were incorporated; a control lost .apprx.95% of its strength.

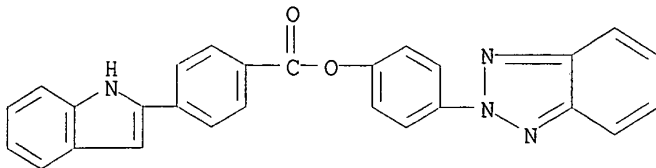
IT 60445-11-6P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)

RN 60445-11-6 CAPLUS

CN Benzoic acid, 4-(1H-indol-2-yl)-, 4-(2H-benzotriazol-2-yl)phenyl ester

(9CI) (CA INDEX NAME)



L22 ANSWER 29 OF 41 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1972:81638 CAPLUS

DOCUMENT NUMBER: 76:81638

TITLE: Antimicrobials. 2. Substituted benzothiazolylbenzylamines and related compounds

AUTHOR(S): Palmer, P. J.; Ward, R. J.; Warrington, J. V.

CORPORATE SOURCE: Twyford Lab. Ltd., London, Engl.

SOURCE: J. Med. Chem. (1971), 14(12), 1226-7

CODEN: JMCMAR

DOCUMENT TYPE: Journal

LANGUAGE: English

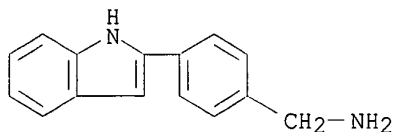
AB Analogs of 4-(2-benzothiazolyl)benzylamine (I) [34211-04-6] were prep'd. by condensation of p-methoxycarbonylbenzyl phthalimide [34211-05-7] with a variety of benzene-substituted o-aminothiophenols, o-aminophenol, and with o-phenylenediamine [95-54-5] in polyphosphoric acid, followed by hydrazine [302-01-2] fission. All of 17 compds. tested were devoid of antimicrobial activity against Mycobacterium tuberculosis, Entamoeba histolytica, and common dermatophytes. 4-(5-Chloro-2-benzothiazolyl)benzylamine (II) [34211-06-8] was inhibitory against Streptococcus pyogenes, which was more potent than I.

IT 36078-96-3

RL: BAC (Biological activity or effector, except adverse); BIOL  
(Biological study)  
(bactericidal activity of)

RN 36078-96-3 CAPLUS

CN Benzenemethanamine, 4-(1H-indol-2-yl)- (9CI) (CA INDEX NAME)



L22 ANSWER 30 OF 41 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1970:132340 CAPLUS

DOCUMENT NUMBER: 72:132340

TITLE: .beta.-(Cyclohexyl and substituted phenyl)-.alpha.-(4,5-dimethoxy-2-nitro- or aminophenyl) acrylonitriles

INVENTOR(S): Suh, John T.

PATENT ASSIGNEE(S): McNeil Laboratories, Inc.

SOURCE: U.S., 2 pp. Continuation-in-part U.S. 3381006

CODEN: USXXAM

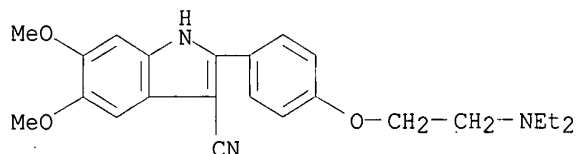
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

## PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	US 3502707	A	19700324	US 1967-666921	19670911
AB	Continuation-in-part U.S. 3,381,006 (CA 69: 19025h). The disclosure is the same, but the claims are different.				
IT	<b>1969-79-5P</b>				
	RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)				
RN	1969-79-5 CAPLUS				
CN	Indole-3-carbonitrile, 2-[p-[2-(diethylamino)ethoxy]phenyl]-5,6-dimethoxy- (7CI, 8CI) (CA INDEX NAME)				



L22 ANSWER 31 OF 41 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1970:12560 CAPLUS

DOCUMENT NUMBER: 72:12560

TITLE: 2-Phenyl-3-amido-5,6-dimethoxyindoles

INVENTOR(S): Suh, John T.

PATENT ASSIGNEE(S): McNeil Laboratories, Inc.

SOURCE: U.S., 5 pp. Division of U.S. 3370063

CODEN: USXXAM

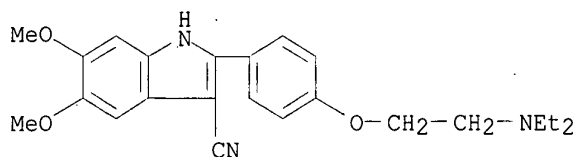
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

## PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	US 3467670	A	19690916	US 1967-634491	19670428
AB	The disclosure is the same, but the claims are different.				
IT	<b>1969-79-5P</b>				
	RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)				
RN	1969-79-5 CAPLUS				
CN	Indole-3-carbonitrile, 2-[p-[2-(diethylamino)ethoxy]phenyl]-5,6-dimethoxy- (7CI, 8CI) (CA INDEX NAME)				

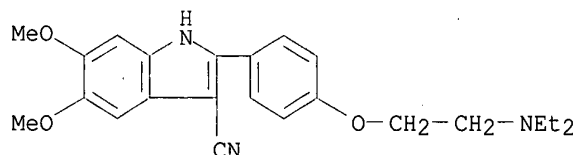


L22 ANSWER 32 OF 41 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1969:461206 CAPLUS

DOCUMENT NUMBER: 71:61206  
TITLE: 2-Heteroaryl 3-cyano-6,7-dimethoxyindoles  
INVENTOR(S): Suh, John T.  
PATENT ASSIGNEE(S): McNeil Laboratories, Inc.  
SOURCE: U.S., 5 pp. Division of U.S. 3370063  
CODEN: USXXAM  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	US 3454586	A	19690708	US 1967-636571	19670428
AB	Division of U.S. 3,370,063 (CA 69: 7112v). The disclosure is the same, but the claims are different.				
IT	<b>1969-79-5P</b>				
	RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)				
RN	1969-79-5 CAPLUS				
CN	Indole-3-carbonitrile, 2-[p-[2-(diethylamino)ethoxy]phenyl]-5,6-dimethoxy- (7CI, 8CI) (CA INDEX NAME)				



L22 ANSWER 33 OF 41 CAPLUS COPYRIGHT 2001 ACS  
ACCESSION NUMBER: 1968:419025 CAPLUS  
DOCUMENT NUMBER: 69:19025  
TITLE: .beta.-Substituted-.alpha.-(4,5-dimethoxy-2-nitrophenyl)acrylonitriles and 2-aminophenyl derivatives thereof  
INVENTOR(S): Suh, John T.  
PATENT ASSIGNEE(S): McNeil Laboratories, Inc.  
SOURCE: U.S., 5 pp.  
CODEN: USXXAM  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	US 3381006	A	19680430	US 1964-401635	19641005
AB	.beta.-Substituted-.alpha.-(4,5-dimethoxy-2-nitrophenyl)acrylonitriles are prepd. by condensing 4,5-dimethoxy-2-nitrophenylacetonitrile (I) with an aldehyde in the presence of a catalytic amt. of piperidine (II). .beta.-Substituted-.alpha.-(4,5-dimethoxy-2-aminophenyl)acrylonitriles are prepd. by hydrogenating the .beta.-substituted-.alpha.-(4,5-dimethoxy-2-nitrophenyl)acrylonitriles at room temp. in the presence of 10% Pd/C using Et acetate (III) as a solvent for the reaction. The compds. absorb uv light and can be used as uv absorbers for resins and plastics. Reductive cyclization of the nitro compds. produces indoles, while quaternary ammonium compds. are obtained by treating the compds. with alkylating				



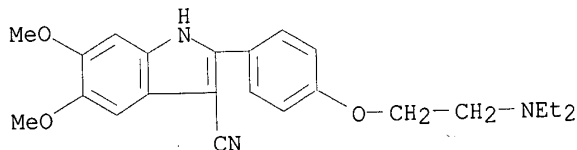
agents. Thus, a soln. of 6.59 g. I and 4.1 g. 4-pyridinecarboxaldehyde in 250 ml. boiling abs. EtOH was treated with 3.13 ml. II. After boiling for 2.5 hrs. and standing at room temp. for 2 days, the ppt. was filtered off to yield .alpha.-(4,5-dimethoxy-2-nitrophenyl)-.beta.-(4-pyridyl)acrylonitrile (IV), m. 201.degree.. A soln. of 6 g. IV in 80 ml. glacial HOAc was heated with 3.38 g. Fe powder for several hrs. The ppt. formed was filtered off and the filtrate was made basic with the addn. of K<sub>2</sub>CO<sub>3</sub> to yield 3-cyano-5,6-dimethoxy-2-(4-pyridyl)indole, m. >310.degree.. A mixt. of 5 g. IV and 1 g. 10% Pd/C in 150 ml. III was hydrogenated at room temp. for 2.5 hrs. The mixt. was filtered and the filtrate was distd. under reduced pressure to yield .alpha.-(2-amino-4,5-dimethoxyphenyl)-.beta.-(4-pyridyl)acrylonitrile, m. 181-2.degree. (MeOH). Other .alpha.-(4,5-dimethoxy-2-nitrophenyl)-.beta.-(R-substituted) acrylonitriles, similarly prepd. were (R and m.p. given): 3-pyridyl, 204.degree.; 4-diethylaminophenyl, 123-4.degree.; p-dimethylaminophenyl, 181.degree.; 2-pyridyl, 187-9.degree.; 2-pyrrolyl, 193-4.degree.; 1-methyl-2-pyrrolyl, 182-3.degree.; 2-thienyl, 187-8.degree.; 2-furyl, 181-2.degree.; cyclohexyl, 161.degree.; 4-cyanophenyl, 214-15.degree.; p-chlorophenyl, 176.5-7.degree.; p-(2-diethylaminoethoxy)phenyl, 105.degree.. Other .alpha.-(2-amino-4,5-dimethoxyphenyl)-.beta.-(R-substituted) acrylonitriles similarly prepd. were (R and m.p. given): 3-pyridyl, 130.degree.; 4-diethylaminophenyl, 146-7.degree.; p-chlorophenyl, 112-15.degree.. Other 3-cyano-5,6-dimethoxy-2-(R-substituted) indoles similarly prepd. were (R and m.p. given): 3-pyridyl, 238-9.degree.; p-diethylaminophenyl, -; p-dimethylaminophenyl, 265-6.degree.; p-(2-diethylaminoethoxy)phenyl, 165.degree.; 2-thienyl, 209-10.degree.; 2-furyl, 180-1.degree.; cyclohexyl, 137-9.degree.; 4-cyanophenyl, 283.degree.; p-chlorophenyl, 284-5.degree.. A mixt. of 300 ml. 1,2-dimethoxyethane, 9.1 g. MeI, and 10 g. .alpha.-(4,5-dimethoxy-2-nitrophenyl)-.beta.-(3-pyridyl)acrylonitrile was refluxed for 1 hr. to yield 3-(.beta.-cyano-4,5-dimethoxy-2-nitrostyryl)-1-methylpyridinium iodide (V), m. 206-7.degree. (MeOH). A mixt. of 3 g. V in 100 ml. MeOH was treated with 1.1 g. NaBH<sub>4</sub> and let stand for 1 hr. at room temp. The soln. was distd., in vacuo, and 150 ml. ice water was added to yield .alpha.-(4,5-dimethoxy-2-nitrophenyl)-.beta.-(1,2,3,6-tetrahydro-1-methyl-4-pyridyl)acrylonitrile (VI) m. 136.degree.. A mixt. of 3 g. VI and 4 g. 10% Pd/C in 125 ml. III was shaken with H for 2 hrs. at 70-80.degree.. The reaction mixt. was filtered and the filtrate was evapd., in vacuo, to yield 4-[.beta.-(2-amino-4,5-dimethoxyphenyl)-.beta.-cyanoethyl]-1-methylpiperidine, m. 173-5.5.degree..

IT 1969-79-5P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

RN 1969-79-5 CAPLUS

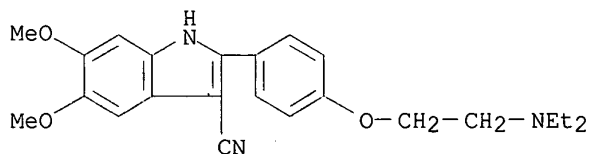
CN Indole-3-carbonitrile, 2-[p-[2-(diethylamino)ethoxy]phenyl]-5,6-dimethoxy-  
(7CI, 8CI) (CA INDEX NAME)



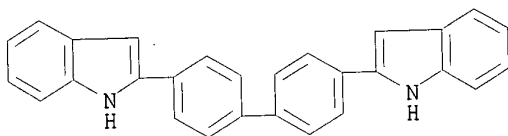
L22 ANSWER 34 OF 41 CAPLUS COPYRIGHT 2001 ACS  
ACCESSION NUMBER: 1968:477112 CAPLUS  
DOCUMENT NUMBER: 69:77112  
TITLE: 2-Aryl-5,6-dimethoxyindoles

INVENTOR(S): Suh, John T.  
PATENT ASSIGNEE(S): McNeil Laboratories, Inc.  
SOURCE: U.S., 5 pp.  
CODEN: USXXAM  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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	US 3370063	A	19680220	US 1964-401712	19641005
GI	For diagram(s), see printed CA Issue.				
AB	<p>Compds. of the general formula I are converted to compds. of the general formula II; also prepd. are compds. of the general formula III (Ar and Ar1 = .beta.-styryl or 2-indolyl groups). A mixt. of 6.59 g. 4,5,2-(MeO)2(O2N)C6H2CH2CN, 4.1 g. 4-pyridinecarboxaldehyde, 250 ml. alc., and 3.13 ml. piperidine is refluxed 2.5 hrs., cooled, and kept 2 days to give .alpha.-(4,5-dimethoxy-2-nitrophenyl)-.beta.-(4-pyridyl)acrylonitrile (IV), m. 201.degree.. Similarly prepd. are the following I (X = NO2) (Ar and m.p. given): 3-pyridyl, 204.degree.; p-Et2C6H4, 123-4.degree.; p-Me2NC6H4, 181.degree.; 2-pyridyl, 187-9.degree.; p-Et2NCH2CH2OC6H4, 105.degree.; 2-pyrrolyl, 193-4.degree.; 1-methyl-2-pyrrolyl, 182-3.degree.; 2-thienyl, 187-8.degree.; 2-furyl, 181-2.degree.; cyclohexyl, 161.degree.; p-NCC6H4, 214-15.degree.; p-ClC6H4, 176.5-7.degree.; p-MeOC6H4, 200-1.degree.. I (X = NH2, Ar = 3-pyridyl), m. 130.degree., is prepd. by hydrogenation. Also prepd. are (m.p. given): III[Ar = H, Ar1 = 4,5,2-(MeO)2(O2N)C6H2C(CN):CH], 216-17.degree.; III [Ar1 = H, Ar = 4,5,2-(MeO)2(O2N)C6H2C(CN):CH], 206-7.degree.; I (X = NO2, Ar = 1-methyl-1,2,3,6-tetrahydro-4-pyridyl), 136.degree.. A mixt. of 6 g. IV, 80 ml. HOAc, and 3.38 g. powdered Fe is heated to give 3-cyano-5,6-dimethoxy-2-(4-pyridyl)indole, m. &gt;310.degree.; also prepd. is III (Ar = H, Ar1 = 3-cyano-5,6-dimethoxy-2-indolyl), m. 282-3.degree.. Similarly prepd. are the following II (R = H, R1 = CN) (Ar and m.p. given): 3-pyridyl, 238-9.degree.; p-Et2NC6H4, -; p-Me2NC6H4, 265-6.degree.; p-Et2NCH2CH2OC6H4, 165.degree.; 2-thienyl, 209-10.degree.; 2-furyl, 180-1.degree.; cyclohexyl, 137-9.degree.; p-NCC6H4, 283.degree.; p-ClC6H4, 284-5.degree.; Ph, 254-5.degree.; p-MeOC6H4, 247-8.degree.; and III (Ar = 3-cyano-5,6-dimethoxy-2-indolyl, Ar1 = H), m.p. 300-1.degree.. Also prepd., by known methods, are the following II (R, Ar, R1, and m.p. given): Ac, 4-piperidyl, AcNHCH2, 157-8.degree.; H, p-Et2NC6H4, AcNHCH2, 194.degree.; H, p-Me2NC6H4, AcNHC6H4, 240-1.degree.; Ac, p-ClC6H4, CN, 266-7.degree.; CH2CH2CN, p-ClC6H4, CN, 262-4.degree.; AcNH(CH2)3, p-ClC6H4, AcNHCH2, 224-5.degree.; H, p-HO2CC6H4, CN, &gt;340.degree.. Uv data for the I and II are given.</p>				
IT	<b>1969-79-5P</b>				
	RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)				
RN	1969-79-5 CAPLUS				
CN	Indole-3-carbonitrile, 2-[p-[2-(diethylamino)ethoxy]phenyl]-5,6-dimethoxy- (7CI, 8CI) (CA INDEX NAME)				



L22 ANSWER 35 OF 41 CAPLUS COPYRIGHT 2001 ACS  
ACCESSION NUMBER: 1968:114411 CAPLUS  
DOCUMENT NUMBER: 68:114411  
TITLE: Further heterocyclic analogs of polyaryls  
AUTHOR(S): Buu-Hoi, N. P.; Delcey, Martine; Jacquignon, Pierre;  
Perin, Francois  
CORPORATE SOURCE: C.N.R.S., Inst. Chim. Subst. Natur., Gif-sur-Yvette,  
Fr.  
SOURCE: J. Heterocycl. Chem. (1968), 5(2), 259-62  
CODEN: JHTCAD  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
GI For diagram(s), see printed CA Issue.  
AB A series (e.g., I-III) of indoles, indolizines, imidazo[1,2-a]pyridines,  
and quinolines, all of them heterocyclic analogs of polyaryls, were prepd.  
from diacetyl derivs. of aromatic hydrocarbons.  
IT 18121-71-6P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)  
RN 18121-71-6 CAPLUS  
CN Indole, 2,2'-(4,4'-biphenylene)di- (8CI) (CA INDEX NAME)



X L22 ANSWER 36 OF 41 CAPLUS COPYRIGHT 2001 ACS  
ACCESSION NUMBER: 1969:47296 CAPLUS  
DOCUMENT NUMBER: 70:47296  
TITLE: 2,3-Bis(p-hydroxyphenyl)indoles  
INVENTOR(S): Szmuszkowicz, Jacob  
PATENT ASSIGNEE(S): Upjohn Co.  
SOURCE: Fr., 14 pp.  
CODEN: FRXXAK  
DOCUMENT TYPE: Patent  
LANGUAGE: French  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 1505197		19671208		
PRIORITY APPLN. INFO.:			US	19651220

GI For diagram(s), see printed CA Issue.  
AB Indoles (I, R1 = H or an .omega.-(dialkylamino)alkyl group) are prepd.  
from phenylhydrazines and p-ROC6H4COCH2C6H4OR-p (R = an alkyl group), in  
the presence of acid, e.g., HOAc. Thus, a mixt. of 53 g. Ph-NHNH2, 125 g.  
p-MeOC6H4COCH2C6H4OMe-p, 4.3 ml. HOAc, and 530 ml. C6H6 is refluxed 3 hrs.  
and evapd. to dryness, 960 ml. 3N HCl (EtOH) added, and the mixt. refluxed  
1.25 hrs. and worked up to give 60.4 g. 2,3-bis(p-methoxyphenyl)indole  
(II), m. 151-2.degree.. Similarly prepd. are the following I (R, R1, R2,  
R3, R4, R5, and m.p. given): H, H, H, OMe, H, H, -; H, Me, H, H, H, Me,  
124-5.degree.; H, Me, H, F, H, H, 129-30.degree.; H, Me, H, H, H, F,  
159-9.5.degree.; Me, Me, H, H, H, H, 127-9.5.degree.. The following I are  
prepd. according to known methods (R1 = Me, R2 = R3 = R4 = R5 = H) (R and

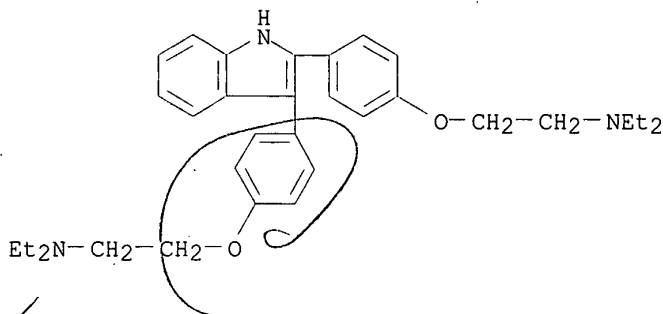
m.p. given): Ac, 146.5-8.degree.; CHO, -. II is hydrolyzed (AlCl<sub>3</sub>) to I (R = R<sub>1</sub> = R<sub>2</sub> = R<sub>3</sub> = R<sub>4</sub> = R<sub>5</sub> = H) (III), m. 212-14.degree.. III (0.01 mole) is treated with 0.02 mole Et<sub>2</sub>NCH<sub>2</sub>CH<sub>2</sub>Cl to give 38% 2,3-bis[p-[2-(diethylamino)ethyloxy]phenyl]indole, m. 99-101.degree..

IT 5782-21-8P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

RN 5782-21-8 CAPLUS

CN Ethanamine, 2,2'-[1H-indole-2,3-diylbis(4,1-phenyleneoxy)]bis[N,N-diethyl-  
(9CI) (CA INDEX NAME)



X 122 ANSWER 37 OF 41 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1967:402964 CAPLUS

DOCUMENT NUMBER: 67:2964

TITLE: Studies in potential antifertility agents. II.  
Synthesis of some derivatives of indoles and related compounds

AUTHOR(S): Iyer, R. N.; Gopalchari, R.

CORPORATE SOURCE: Central Drug Res. Inst., Lucknow, India

SOURCE: Indian J. Chem. (1966), 4(12), 520-3

CODEN: IJOCAP

DOCUMENT TYPE: Journal

LANGUAGE: English

AB cf. CA 65: 13643b. 2,3-Diphenylindole derivs. carrying a basic ether residue in the para position of the 2- or 3-phenyl ring, or a basic alkyl residue on the N, as well as some open-chain analogs of these indoles, were synthesized and tested for their antifertility activity. Thus, 10.5 g. .alpha.-phenyl-4-hydroxyacetophenone (I) in 60 ml. dry Me<sub>2</sub>CO was refluxed 10 hrs. with 6.5 ml. PhCH<sub>2</sub>Cl and 14 g. freshly ignited K<sub>2</sub>CO<sub>3</sub>. Dildn. of the reaction mixt. yielded 12.5 g. .alpha.-phenyl-4-benzyloxyacetophenone (II), m. 132.degree. (Me<sub>2</sub>CO). Br (1.1 ml.) in 10 ml. dry ether was added dropwise with stirring to a suspension of 6 g. II in 60 ml. dry ether contg. a trace of anhyd. AlCl<sub>3</sub>. Working up of the reaction mixt. after 1 hr. yielded 7 g. .alpha.-bromo-.alpha.-phenyl-4-benzyloxyacetophenone (III), m. 85.degree.. III (3.8 g.) in 20 ml. dry C<sub>6</sub>H<sub>6</sub> was refluxed 6 hrs. with 1.86 g. PhNH<sub>2</sub>, the mixt. filtered, extd. with C<sub>6</sub>H<sub>6</sub> and the ext. distd. to yield 4.5 g. .alpha.-anilino-.alpha.-phenyl-4-benzyloxyacetophenone, m. 148.degree. (C<sub>6</sub>H<sub>6</sub>). Br (2.8 ml.) in 30 ml. C<sub>6</sub>H<sub>6</sub> was added to a suspension of 10.6 g. I in 100 ml. dry C<sub>6</sub>H<sub>6</sub> to yield .alpha.-bromo-.alpha.-phenyl-4-hydroxyacetophenone (IV), m. 165-6.degree.. The reaction of 11.6 g. IV in 75 ml. dry C<sub>6</sub>H<sub>6</sub> with 7.6 g. PhNH<sub>2</sub> (4 hrs. refluxing) (as in III) yielded 8 g. .alpha.-anilino-.alpha.-phenyl-4-hydroxyacetophenone (V), m. 187-8.degree. (EtOH). Similarly, the p-anisidino (m. 170.degree.), and m-anisidino (m. 158.degree.) analogs were prepd. V (3.03 g.) in 30 ml. dry Me<sub>2</sub>CO was refluxed 24 hrs. with 1.9 g. .beta.-diethylaminoethyl chloride hydrochloride (VI) and freshly ignited K<sub>2</sub>CO<sub>3</sub>. The solvent was removed, residue dild. with H<sub>2</sub>O, extd.

with C6H6, and the combined exts. washed successively with 5% NaOH, H2O and dried. The concd. C6H6 soln. was passed through an Al2O3 column and eluted with C6H6 to yield .alpha.-anilino-.alpha.-phenyl-4-(.beta.-diethylaminoethoxy)acetophenone as an oil [HCl] salt m. 178.degree. (EtOH-ether)]. The following compds. were similarly prepd.: .alpha.-m-anisidino-.alpha.-phenyl-4-(.beta.-diethylaminoethoxy)acetophenone, oil [picrate m. 131.degree. (EtOH)]; .alpha.-p-anisidino-.alpha.-phenyl-4-(.beta.-diethylaminoethoxy)acetophenone, oil; and .alpha.-m-anisidino-.alpha.-phenyl-4-(.beta.-pyrrolidinoethoxy)acetophenone, oil. 4-Methoxybenzoin (9.7 g.) (Kinney, CA 23: 2971) was heated 6 hrs. at 200.degree. with 11.69 g. PhNH2 and 3 g. PhNH2.HBr. The mixt. was cooled and triturated with dil. HCl, and a C6H6 soln. of the solid chromatographed over Al2O3 and eluted with C6H6 to yield 4 g. 2-(p-methoxyphenyl)-2-phenylindole (VII), m. 188.degree. (EtOH). A mixt. of VII (3 g.) and 12 g. C5H5N.HCl was heated 15 hrs. at 160-70.degree., the mixt. cooled, triturated with 10% HCl, and extd. with CHCl3, the CHCl3 ext. repeatedly extd. with 5% NaOH, and the alk. ext. acidified to yield 1.8 g. 3-(p-hydroxyphenyl)-2-phenylindole (VIII), m. 154.degree. (heptane). VIII (2 g.) was refluxed 24 hrs. with 40 ml. Me2CO, 1.4 g. VI, and freshly ignited K2CO3. Working up of the reaction mixt. yielded 2 g. 3-[p-(.beta.-diethylaminoethoxy)phenyl]-2-phenylindole as a glassy solid [picrate m. 194.degree.; HCl salt m. 126.degree. (Me2CO-ether)]. Similarly, alkylation of 2-(p-hydroxyphenyl)-3-phenylindole (IX) yielded 2-[p-(.beta.-diethylaminoethoxy)phenyl]-3-phenylindole (X), m. 124.degree. (C6H6-hexane). Employing .beta.-pyrrolidinoethyl chloride hydrochloride in the above expt. in place of VI yielded 2-[p-(.beta.-pyrrolidinoethoxy)phenyl]-3-phenylindole (XI), m. 159-60.degree. (C6H6). A mixt. of 3-methoxyphenylhydrazine (6.6 g.) and 8.4 g. I was heated 2 hrs. at 100.degree., sepd. H2O removed in vacuo, and the product dissolved in HOAc and satd. with 100 ml. HCl and refluxed 3 hrs. The mixt. was poured into H2O, extd. with CHCl3 and the ext. washed with 5% NaOH. The sepd. Na deriv. on neutralization with dil. HOAc yielded 3.2 g. 2-(p-hydroxyphenyl)-6-methoxy-3-phenylindole, m. 204.degree. (C6H6). Similarly, 6-methoxy-3-phenyl-2-[p-(.beta.-pyrrolidinoethoxy)phenyl]indole, m. 144.degree. (EtOH), was prepd. IX (1.42 g.) was refluxed 6 hrs. with 0.15 g. paraformaldehyde, 0.7 g. pyrrolidine, and 30 ml. EtOH. Diln. of the mixt. yielded 1 g. 2-[(4-hydroxy-3-pyrrolidinomethyl)phenyl]-3-phenylindole, m. 116.degree. (with previous softening) (dil. EtOH). A mixt. of 1.34 g. 2,3-diphenylindole, 0.125 g. NaH, and 20 ml. xylene was refluxed 4 hrs., 0.67 g. .beta.-pyrrolidinoethyl chloride (XII) added, and the mixt. refluxed 12 hrs. The mixt. was treated with H2O, the org. layer sepd., washed with H2O, and dried, solvent distd., and the residue chromatographed over Al2O3 to yield 1.5 g. 2,3-diphenyl-1-(.beta.-pyrrolidinoethyl)indole, m. 118.degree. (C6H6-hexane). Use of .beta.-diethylaminoethyl chloride in the above expt. in place of XII yielded 1-(.beta.-diethylaminoethyl)-2,3-diphenylindole [HCl salt m. 259.degree. (EtOH)]. Similarly, the reaction of 6-methoxy-2,3-diphenylindole [m. 208.degree., prepd. by heating 5 hrs. 10 g. 3-MeOC6H4NH2 and 5 g. benzoin and 1 ml. concd. HCl at 180-200.degree.] and XII yielded 6-methoxy-2,3-diphenyl-1-(.beta.-pyrrolidinoethyl)indole, m. 130.degree. (C6H6-hexane). Anhyd. AlCl3 (7.5 g.) was added gradually to a cooled soln. (0.degree.) of 10 g. .beta.-bromoethoxybenzene. PhCH2COCl (7.7 ml.) in 15 ml. CS2 was added dropwise, the mixt. kept overnight, warmed on a water bath till no more HCl evolved, cooled and poured into crushed ice and HCl. The mixt. was warmed to remove CS2 and the resulting soln. titrated with satd. Na2CO3 to yield 8 g. .alpha.-phenyl-4-.beta.-bromoethoxyacetophenone (XIII), m. 100-1.degree. (C6H6-hexane). Treatment of XIII with Br (as for III) yielded .alpha.-phenyl-.alpha.-bromo-4-(.beta.-bromoethoxy)-acetophenone, m. 108-9.degree.. XIII (4 g.) in 25 ml. dry C6H6 was refluxed 8 hrs. with 1.7 g. pyrrolidine. Working up of the reaction mixt. yielded 2 g. .alpha.-phenyl-4-(.beta.-

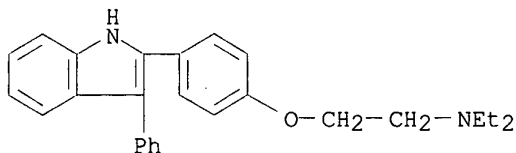
pyrrolidinoethoxy)acetophenone, m. 85.degree. (hexane). Use of N-methylpiperazine instead of pyrrolidine in the above expt. yielded N1-methyl-N4-[.beta.-(p-phenylacetylphenoxy)ethyl]piperazine, m. 78.degree. (hexane). The following compds. were also prepd. and tested: 2-[p-(.beta.-pyrrolidinoethoxy)phenyl]-3-methylindole, m. 151.degree.; 5-chloro-2-(p-hydroxyphenyl)-3-phenylindole, m. 172-3.degree.; 5-chloro-2-[p-(.beta.-pyrrolidinoethoxy)phenyl]-3-phenylindole, m. 170.degree.; 5-chloro-2-(p-hydroxyphenyl)-3-methylindole, m. 139.degree.; 5-chloro-2-[p-(.beta.-pyrrolidinoethoxy)phenyl]-3-methylindole, m. 161-2.degree.; 5-fluoro-2-(p-hydroxyphenyl)-3-phenylindole, m. 163.degree.; and 5-fluoro-2-[p-(.beta.-pyrrolidinoethoxy)phenyl]-3-phenylindole (XIV), m. 146.degree.. X and XI were effective in preventing implantation in albino rats at a daily oral dose of 10 mg./kg. for 5 days after pregnancy. XIV was active at the same daily dose given postcoitally on days 1-5.

IT 6917-00-6P 7720-63-0P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and use as fertility inhibitor)

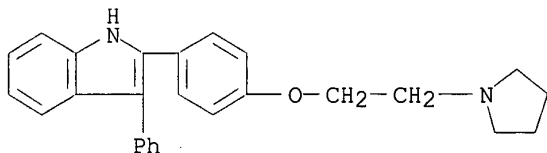
RN 6917-00-6 CAPLUS

CN Ethanamine, N,N-diethyl-2-[4-(3-phenyl-1H-indol-2-yl)phenoxy]- (9CI) (CA INDEX NAME)



RN 7720-63-0 CAPLUS

CN 1H-Indole, 3-phenyl-2-[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



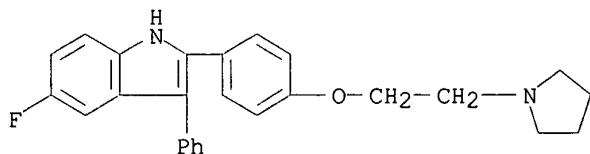
IT 7720-62-9P 14036-26-1P 14036-28-3P

14036-30-7P 14554-81-5P 14554-85-9P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

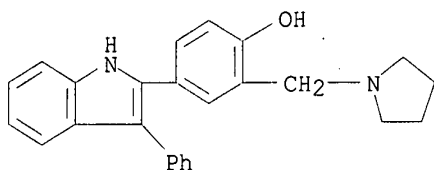
RN 7720-62-9 CAPLUS

CN 1H-Indole, 5-fluoro-3-phenyl-2-[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]- (9CI)  
(CA INDEX NAME)



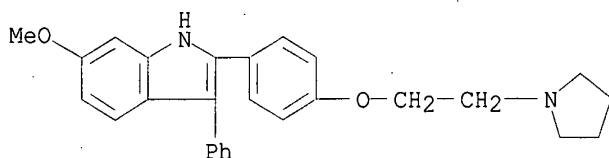
RN 14036-26-1 CAPLUS

CN o-Cresol, 4-(3-phenylindol-2-yl)-.alpha.-1-pyrrolidinyl- (8CI) (CA INDEX NAME)



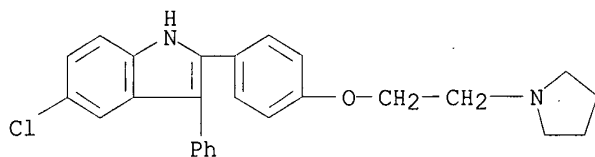
RN 14036-28-3 CAPLUS

CN Indole, 6-methoxy-3-phenyl-2-[p-[2-(1-pyrrolidinyl)ethoxy]phenyl]- (8CI) (CA INDEX NAME)



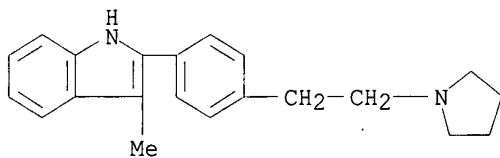
RN 14036-30-7 CAPLUS

CN Indole, 5-chloro-3-phenyl-2-[p-[2-(1-pyrrolidinyl)ethoxy]phenyl]- (8CI) (CA INDEX NAME)



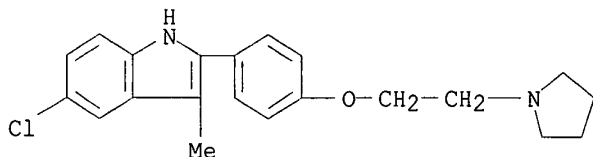
RN 14554-81-5 CAPLUS

CN Indole, 3-methyl-2-[p-[2-(1-pyrrolidinyl)ethyl]phenyl]- (8CI) (CA INDEX NAME)

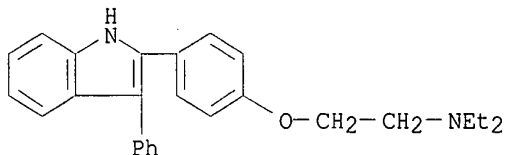


RN 14554-85-9 CAPLUS

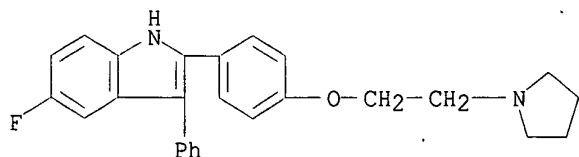
CN Indole, 5-chloro-3-methyl-2-[p-[2-(1-pyrrolidinyl)ethoxy]phenyl]- (8CI) (CA INDEX NAME)



✓ 122 ANSWER 38 OF 41 CAPLUS COPYRIGHT 2001 ACS  
ACCESSION NUMBER: 1967:35218 CAPLUS  
DOCUMENT NUMBER: 66:35218  
TITLE: Anti-implantation effect of 2,3-diphenylindole and related compounds  
AUTHOR(S): Kamboj, V. P.; Kar, Amiya B.  
CORPORATE SOURCE: Central Drug Res. Inst., Lucknow, India  
SOURCE: Indian J. Exp. Biol. (1966), 4(4), 244-6  
CODEN: IJEBA6  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
AB Of the 18 2,3-diphenylindole derivs. and related compds. evaluated for their anti-implantation effects, 5-fluoro-3-phenyl-2-p-(.beta.-pyrrolidinoethoxy)phenylindole, 3-phenyl-2-p-(.beta.-pyrrolidinoethoxy)phenylindole, 4-.beta.-diethylaminoethoxy-.alpha.-p-methoxyphenylamino-.alpha.-phenylacetophenone, and 2-(p-(.beta.-diethylaminoethoxy)-phenyl)-3phenylindole completely prevented implantation in rats when introduced into the lower part of the esophagus by a feeding needle at 10, 10, 100, and 15 mg./kg., resp.  
IT 6917-00-6 7720-62-9 7720-63-0  
14036-26-1 14036-28-3 14036-29-4  
14036-30-7 14609-36-0  
RL: BAC (Biological activity or effector, except adverse); BIOL (Biological study)  
(egg implantation-inhibiting activity of)  
RN 6917-00-6 CAPLUS  
CN Ethanamine, N,N-diethyl-2-[4-(3-phenyl-1H-indol-2-yl)phenoxy]- (9CI) (CA INDEX NAME)

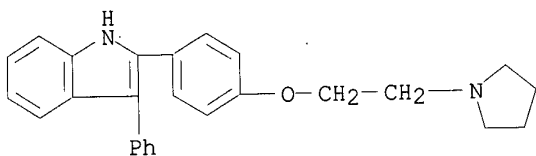


RN 7720-62-9 CAPLUS  
CN 1H-Indole, 5-fluoro-3-phenyl-2-[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]- (9CI)  
(CA INDEX NAME)

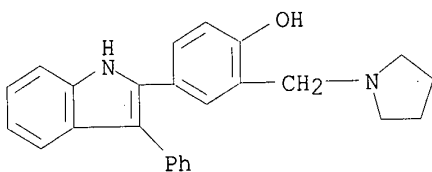




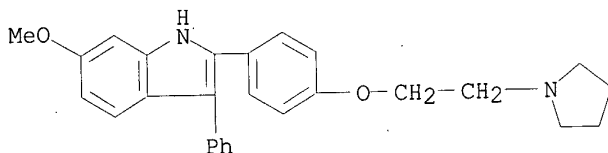
RN 7720-63-0 CAPLUS  
CN 1H-Indole, 3-phenyl-2-[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



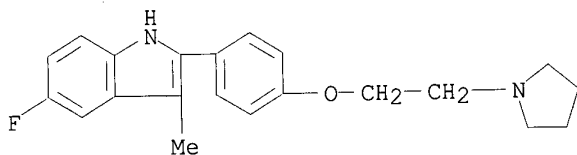
RN 14036-26-1 CAPLUS  
CN o-Cresol, 4-(3-phenylindol-2-yl)-.alpha.-1-pyrrolidinyl- (8CI) (CA INDEX NAME)



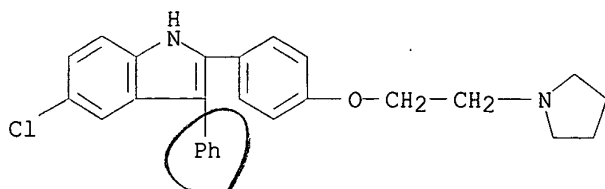
RN 14036-28-3 CAPLUS  
CN Indole, 6-methoxy-3-phenyl-2-[p-[2-(1-pyrrolidinyl)ethoxy]phenyl]- (8CI) (CA INDEX NAME)



RN 14036-29-4 CAPLUS  
CN Indole, 5-fluoro-3-methyl-2-[p-[2-(1-pyrrolidinyl)ethoxy]phenyl]- (8CI) (CA INDEX NAME)

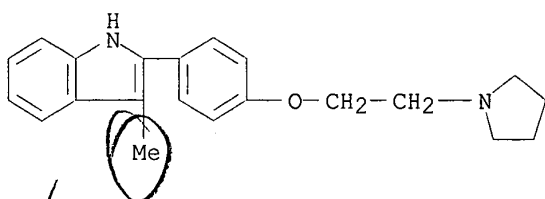


RN 14036-30-7 CAPLUS  
CN Indole, 5-chloro-3-phenyl-2-[p-[2-(1-pyrrolidinyl)ethoxy]phenyl]- (8CI) (CA INDEX NAME)



RN 14609-36-0 CAPLUS

CN Indole, 3-methyl-2-[p-[2-(1-pyrrolidinyl)ethoxy]phenyl]- (8CI) (CA INDEX NAME)



L22 ANSWER 39 OF 41 USPATFULL

ACCESSION NUMBER: 2001:4774 USPATFULL

TITLE: Antithrombotic agents

INVENTOR(S): Chirgadze, Nickolay Y, Carmel, IN, United States  
Fisher, Matthew J, Mooresville, IN, United States  
Harper, Richard W, Indianapolis, IN, United States  
Lin, Ho-Shen, Indianapolis, IN, United States  
McCowan, Jefferson R, Indianapolis, IN, United States  
Sall, Daniel J, Greenwood, IN, United States  
Smith, Gerald F, Indianapolis, IN, United States  
Takeuchi, Kumiko, Indianapolis, IN, United States  
Wiley, Michael R, Indianapolis, IN, United States  
Zhang, Minsheng, Warren, NJ, United States

PATENT ASSIGNEE(S): Eli Lilly and Company, Indianapolis, IN, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6172100	B1	20010109
	WO 9848797		19981105
APPLICATION INFO.:	US 1999-423125		19991221 (9)
	WO 1998-US8698		19980430
			19991221 PCT 371 date
			19991221 PCT 102(e) date

	NUMBER	DATE
PRIORITY INFORMATION:	US 1997-45136	19970430 (60)
DOCUMENT TYPE:	Patent	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Ramsuer, Robert W.	
LEGAL REPRESENTATIVE:	Anderson, Arvie J.	
NUMBER OF CLAIMS:	16	
EXEMPLARY CLAIM:	1	
LINE COUNT:	1538	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB This application relates to the use as thrombin inhibitors, coagulation inhibitors and thromboembolic disorder agents of heterocyclic

derivatives of formula (I) as defined herein. It also provides novel compounds of formula (I), processes and intermediates for their preparation, and pharmaceutical formulations comprising the novel compounds of formula (I). ##STR1##

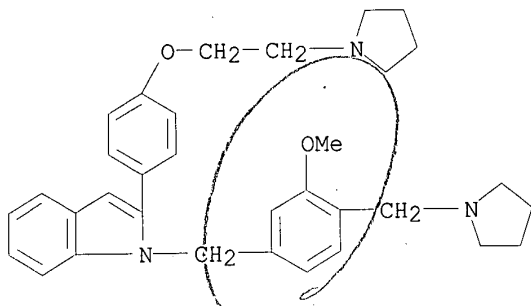
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 215584-09-1P 215584-10-4P 215584-13-7P  
215584-16-0P 215584-18-2P 215584-20-6P  
215584-21-7P 215584-22-8P 215584-23-9P  
215584-24-0P

(prepn. of 1-benzyl-2-phenylindoles as antithrombotic agents)

RN 215584-09-1 USPATFULL

CN 1H-Indole, 1-[[3-methoxy-4-(1-pyrrolidinylmethyl)phenyl]methyl]-2-[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



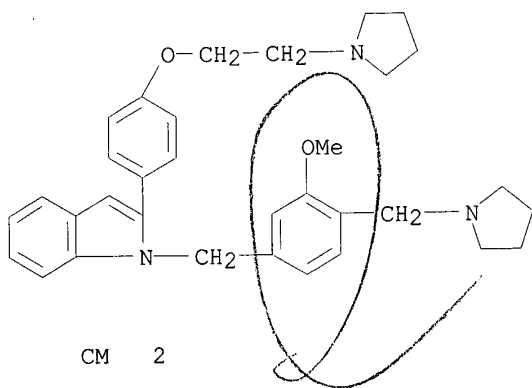
RN 215584-10-4 USPATFULL

CN 1H-Indole, 1-[[3-methoxy-4-(1-pyrrolidinylmethyl)phenyl]methyl]-2-[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 215584-09-1

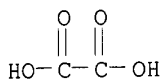
CMF C33 H39 N3 O2



CM 2

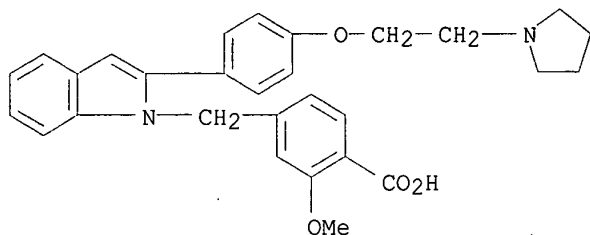
CRN 144-62-7

CMF C2 H2 O4



RN 215584-13-7 USPATFULL

CN Benzoic acid, 2-methoxy-4-[[2-[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]-1H-indol-1-yl]methyl]-, lithium salt (9CI) (CA INDEX NAME)



● Li

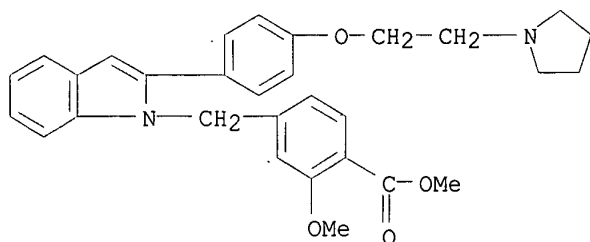
RN 215584-16-0 USPATFULL

CN Benzoic acid, 2-methoxy-4-[[2-[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]-1H-indol-1-yl]methyl]-, methyl ester, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 215584-15-9

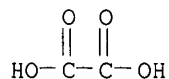
CMF C30 H32 N2 O4



CM 2

CRN 144-62-7

CMF C2 H2 O4

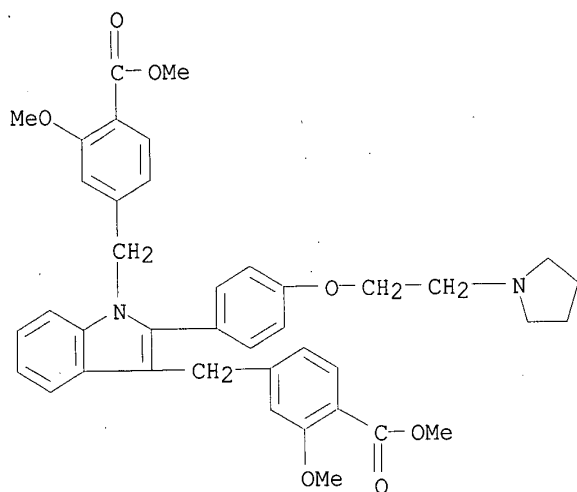


RN 215584-18-2 USPATFULL

CN Benzoic acid, 4,4'-[[2-[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]-1H-indole-1,3-diyl]bis(methylene)]bis[2-methoxy-, dimethyl ester, ethanedioate (1:1) (9CI) (CA INDEX NAME)

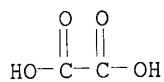
CM 1

CRN 215584-17-1  
CMF C40 H42 N2 O7



CM 2

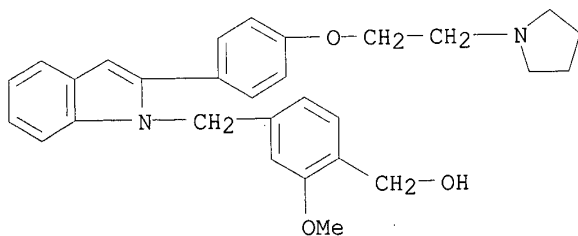
CRN 144-62-7  
CMF C2 H2 O4



RN 215584-20-6 USPATFULL  
CN Benzenemethanol, 2-methoxy-4-[[2-[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]-1H-indol-1-yl]methyl]-, ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

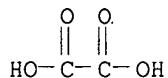
CM 1

CRN 215584-19-3  
CMF C29 H32 N2 O3

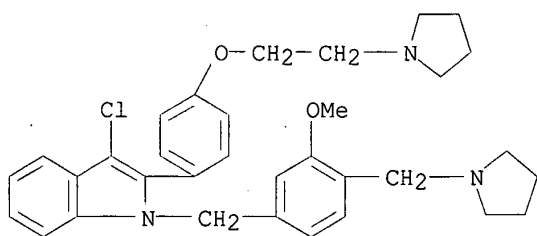


CM 2

CRN 144-62-7  
CMF C2 H2 O4



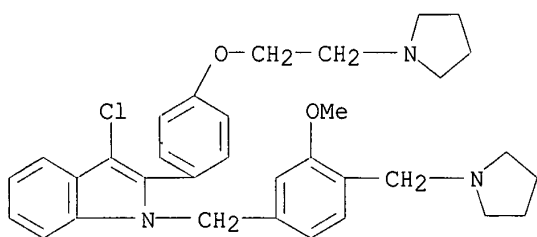
RN 215584-21-7 USPATFULL  
CN 1H-Indole, 3-chloro-1-[[3-methoxy-4-(1-pyrrolidinylmethyl)phenyl]methyl]-2-[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



RN 215584-22-8 USPATFULL  
CN 1H-Indole, 3-chloro-1-[[3-methoxy-4-(1-pyrrolidinylmethyl)phenyl]methyl]-2-[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

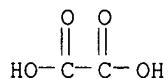
CM 1

CRN 215584-21-7  
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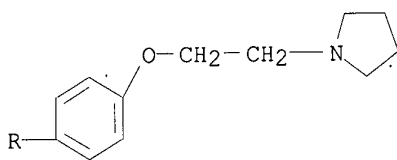
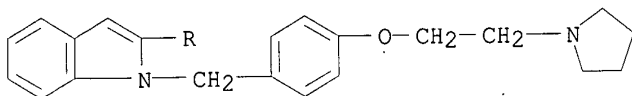
CM 2

CRN 144-62-7  
CMF C2 H2 O4



RN 215584-23-9 USPATFULL

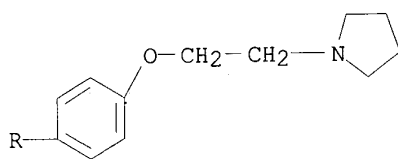
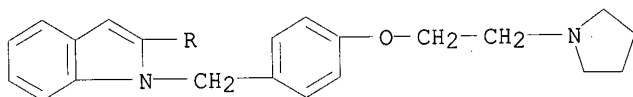
CN 1H-Indole, 2-[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]-1-[[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)



RN 215584-24-0 USPATFULL  
CN 1H-Indole, 2-[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]-1-[[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]methyl]-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

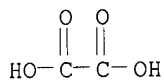
CM 1

CRN 215584-23-9  
CMF C33 H39 N3 O2



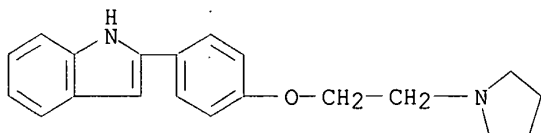
CM 2

CRN 144-62-7  
CMF C2 H2 O4



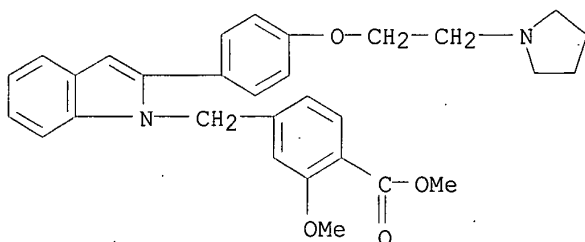
IT 104815-92-1P 215584-15-9P 215584-17-1P  
215584-19-3P  
(prepn. of 1-benzyl-2-phenylindoles as antithrombotic agents)  
RN 104815-92-1 USPATFULL

CN 1H-Indole, 2-[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



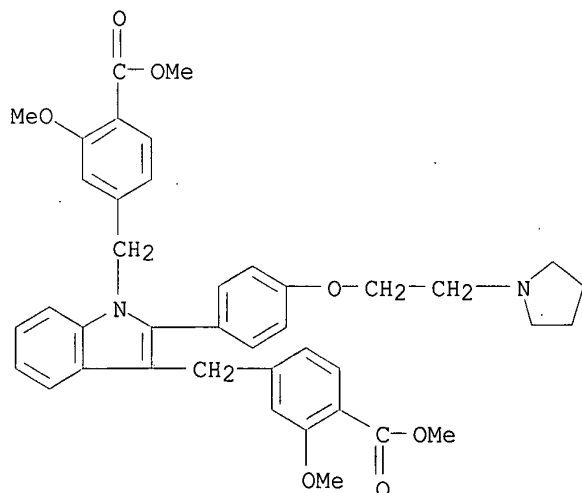
RN 215584-15-9 USPATFULL

CN Benzoic acid, 2-methoxy-4-[[2-[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]-1H-indol-1-yl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 215584-17-1 USPATFULL

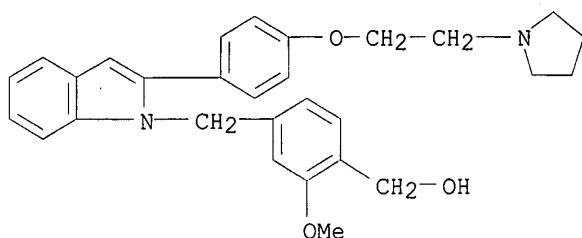
CN Benzoic acid, 4,4'-[[2-[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]-1H-indole-1,3-diyl]bis(methylene)]bis[2-methoxy-, dimethyl ester (9CI) (CA INDEX NAME)



RN 215584-19-3 USPATFULL

CN Benzenemethanol, 2-methoxy-4-[[2-[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]-1H-indol-1-yl]methyl]- (9CI) (CA INDEX NAME)





L22 ANSWER 40 OF 41 USPATFULL

ACCESSION NUMBER: 1998:12037 USPATFULL

TITLE: Quinuclidine derivatives as squalene synthase inhibitors

INVENTOR(S): Brown, George Robert, Wilmslow, Great Britain  
Mallion, Keith Blakeney, Knutsford, Great Britain  
Whittamore, Paul Robert Owen, Macclesfield, Great BritainPATENT ASSIGNEE(S): Brittain, David Robert, Rochdale, Great Britain  
Zeneca Limited, London, United Kingdom (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5714496		19980203
	WO 9405660		19940317 ##STR1##
APPLICATION INFO.:	US 1995-392928		19950228 (8)
	WO 1993-GB1802		19930825
			19950228 PCT 371 date
			19950228 PCT 102(e) date

	NUMBER	DATE
PRIORITY INFORMATION:	GB 1992-18334	19920828
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Ivy, C. Warren	
ASSISTANT EXAMINER:	Huang, Evelyn	
LEGAL REPRESENTATIVE:	Cushman Darby & Cushman Intellectual Property Group of Pillsbury Madison & Sutro LLP	
NUMBER OF CLAIMS:	12	
EXEMPLARY CLAIM:	1	
LINE COUNT:	2488	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Compounds of formula (I) and their pharmaceutically acceptable salts in which R<sup>sup.1</sup> is hydrogen or hydroxy; R<sup>sup.2</sup> is hydrogen; or R<sup>sup.1</sup> and R<sup>sup.2</sup> are joined together so that CR<sup>sup.1</sup> -CR<sup>sup.2</sup> is a double bond; X is selected from --CH.sub.2 CH.sub.2 --, --CH.dbd.CH--, --C.tbd.C--, --CH.sub.2 O--, --CH.sub.2 NH--, --NHCH.sub.2 --, --CH.sub.2 CO--, --COCH.sub.2 --, --CH.sub.2 S-- and --SCH.sub.2 --; Ar<sup>sup.1</sup> is a phenylene moiety; Ar<sup>sup.2</sup> is a heteroaryl moiety; and wherein one or both of Ar<sup>sup.1</sup> and Ar<sup>sup.2</sup> may optionally bear one or more substituents independently selected from halogeno, hydroxy, amino, nitro, cyano, carboxy, carbamoyl, alkyl, alkenyl, alkynyl, alkoxy, alkylamino, di-alkylamino, N-alkylcarbamoyl, di-N,N-alkylcarbamoyl, alkoxycarbonyl, alkylthio, alkylsulphinyl, alkylsulphonyl, halogeno-alkyl, carboxyalkyl and alkanoylamino; provided that when R<sup>sup.1</sup> is hydroxy, X is not selected from --NHCH.sub.2 -- and

--SCH.sub.2 --; are inhibitors of squalene synthase and hence useful in treating medical conditions in which a lowering of cholesterol is beneficial, such as hypercholesterolemia and atherosclerosis. Processes for preparing these derivatives, pharmaceutical compositions containing them are also described together with their use in medicine.

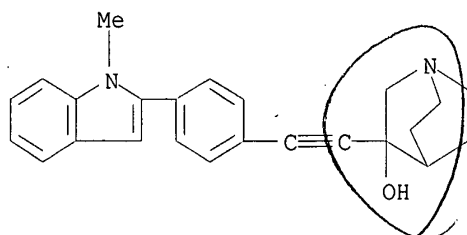
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 160377-77-5P 160377-79-7P

(prepn. of, as squalene synthase inhibitor)

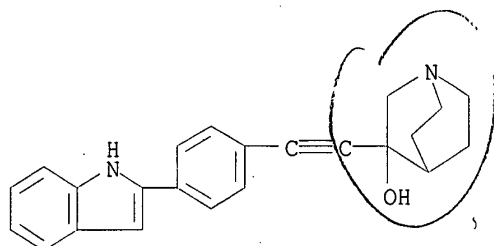
RN 160377-77-5 USPATFULL

CN 1-Azabicyclo[2.2.2]octan-3-ol, 3-[[4-(1-methyl-1H-indol-2-yl)phenyl]ethynyl]- (9CI) (CA INDEX NAME)



RN 160377-79-7 USPATFULL

CN 1-Azabicyclo[2.2.2]octan-3-ol, 3-[[4-(1H-indol-2-yl)phenyl]ethynyl]- (9CI) (CA INDEX NAME)



L22 ANSWER 41 OF 41 USPATFULL

ACCESSION NUMBER: 76:70559 USPATFULL

TITLE: Polychromophoric heterocyclic ultraviolet stabilizers and their use in organic compositions

INVENTOR(S): Pond, David M., Kingsport, TN, United States  
Wang, Richard H. S., Kingsport, TN, United States  
Irick, Jr., Gether, Kingsport, TN, United States

PATENT ASSIGNEE(S): Eastman Kodak Company, Rochester, NY, United States  
(U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 4000148		19761228
APPLICATION INFO.:	US 1974-523628		19741114 (5)
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Gallagher, R. J.		
LEGAL REPRESENTATIVE:	Tootle, Clyde L., Reece, III, Daniel B.		
NUMBER OF CLAIMS:	20		
EXEMPLARY CLAIM:	1		
LINE COUNT:	545		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention relates to polychromophoric heterocyclic ester compounds

which have been found to be effective ultraviolet stabilizers. The invention also relates to ultraviolet degradable organic compositions containing a stabilizing amount of these polychromophoric heterocyclic ester compositions to prevent such degradation. These stabilizers are effective in the presence of other additives commonly employed in polymeric compositions including, for example, pigments, colorants, fillers, reinforcing agents and the like. These ultraviolet stabilizers may also be incorporated into the organic compositions in the polymer melt or dissolved in the polymer dope, coated on the exterior of the molded article, film or extruded fiber.

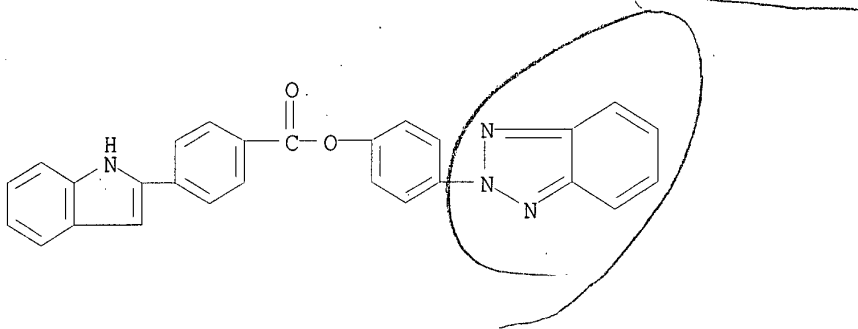
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 60445-11-6P

(prepn. of)

RN 60445-11-6 USPATFULL

CN Benzoic acid, 4-(1H-indol-2-yl)-, 4-(2H-benzotriazol-2-yl)phenyl ester  
(9CI) (CA INDEX NAME)



FILE 'CAOLD' ENTERED AT 15:45:07 ON 12 SEP 2001  
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This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

This file supports REGISTRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

L5 STR  
L7 11913 SEA FILE=REGISTRY SSS FUL L5  
L15 STR  
L16 STR  
L18 164 SEA FILE=REGISTRY SUB=L7 SSS FUL (L15 OR L16)  
L21 5 SEA FILE=CAOLD ABB=ON L18,

=> d iall hitstr l21 1-5; fil hom

L21 ANSWER 1 OF 5 CAOLD COPYRIGHT 2001 ACS

ACCESSION NUMBER: CA65:8858g CAOLD

TITLE: prepn. of alkamine esters and ethers contg. a 3-indolyl substituent

AUTHOR NAME: Morris, Martin H.

TITLE: tertiary aminoalkyl derivs. of 2,3-diphenylindole

AUTHOR NAME: Landquist, Justus K.; Marsden, C. J.

INDEX TERM: 5782-11-6 5834-42-4 6910-79-8 6910-82-3 6910-83-4

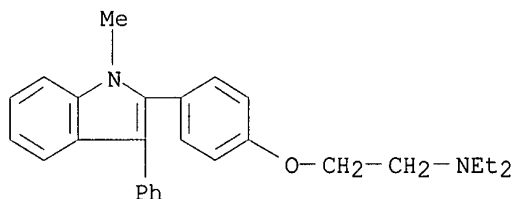
6910-84-5 6910-85-6 6910-86-7 6910-87-8 6910-88-9

**6910-89-0** 6910-90-3 6910-91-4 6910-92-5

6910-93-6 6910-94-7 6910-95-8 6916-99-0

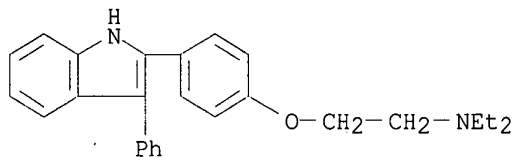
**6917-00-6**IT **6910-89-0** **6917-00-6**

RN 6910-89-0 CAOLD

CN Indole, 2-[p-[2-(diethylamino)ethoxy]phenyl]-1-methyl-3-phenyl- (7CI, 8CI)  
(CA INDEX NAME)

RN 6917-00-6 CAOLD

CN Ethanamine, N,N-diethyl-2-[4-(3-phenyl-1H-indol-2-yl)phenoxy]- (9CI) (CA INDEX NAME)



L21 ANSWER 2 OF 5 CAOLD COPYRIGHT 2001 ACS

ACCESSION NUMBER: CA65:3822c CAOLD

TITLE: bromination of 9-vinylcarbazole by bromatebromide soln.

AUTHOR NAME: Polaczek, Jerzy; Pielichowski, J.

TITLE: synthesis and antiinflammatory activity of 2,3-bis(p-methoxyphenyl)indole and related compds.

AUTHOR NAME: Szmuszkowicz, Jacob; Glenn, E. M.; Heinzelman, R. V.;

Hester, J. B., Jr.; Youngdale, G. A.

INDEX TERM: 1484-13-5 3469-20-3 5779-43-1 5779-44-2 5782-01-4

5782-02-5 5782-03-6 5782-04-7 5782-05-8 5782-06-9

5782-07-0 5782-08-1 5782-09-2 5782-10-5 5782-11-6

5782-12-7 5782-13-8 5782-14-9 5782-15-0 5782-16-1

5782-17-2 5782-18-3 5782-19-4 5782-20-7

**5782-21-8** 5782-22-9 5782-23-0 5782-24-1

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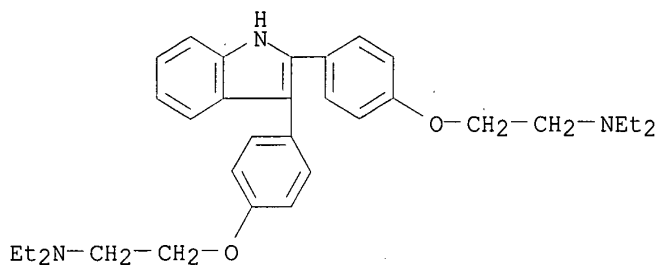
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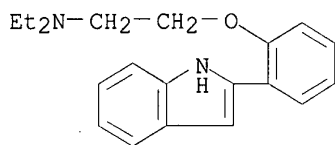
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6510-21-0 6510-66-3 6510-68-5 6546-86-7

IT 5782-21-8  
RN 5782-21-8 CAOLD  
CN Ethanamine, 2,2'-[1H-indole-2,3-diylbis(4,1-phenyleneoxy)]bis[N,N-diethyl-  
(9CI) (CA INDEX NAME)

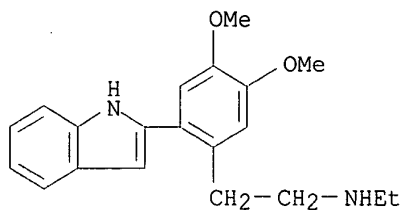


L21 ANSWER 3 OF 5 CAOLD COPYRIGHT 2001 ACS  
ACCESSION NUMBER: CA64:1906b CAOLD  
TITLE: bradycardiac properties of 2-[o-(diethylaminoethoxy)phenyl]-  
indole and its salts  
AUTHOR NAME: Plantier, Robert J. A.  
DOCUMENT TYPE: Patent  
PATENT NO. KIND DATE  
-----  
PI FR M3460  
INDEX TERM: 6829-35-2  
IT 6829-35-2  
RN 6829-35-2 CAOLD  
CN Indole, 2-[o-[2-(diethylamino)ethoxy]phenyl]- (7CI, 8CI) (CA INDEX NAME)



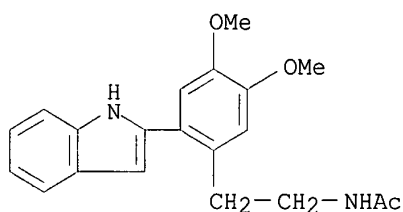
L21 ANSWER 4 OF 5 CAOLD COPYRIGHT 2001 ACS  
ACCESSION NUMBER: CA63:7058h CAOLD  
TITLE: synthetic work in the aporphine field  
AUTHOR NAME: Baxter, Ian; Swan, G. A.  
INDEX TERM: 1699-53-2 2055-16-5 2055-17-6 2055-18-7 2055-19-8  
2129-52-4 2129-53-5 2129-54-6 2129-55-7 2129-57-9  
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2616-24-2 2616-25-3 2616-28-6 2755-02-4 3047-90-3  
3540-86-1 6865-54-9 7334-24-9 95429-36-0 96003-99-5  
96004-00-1 96261-18-6 98024-49-8 100264-98-0  
IT 2129-69-3 2272-17-5 2515-39-1  
RN 2129-69-3 CAOLD  
CN Benzeneethanamine, N-ethyl-2-(1H-indol-2-yl)-4,5-dimethoxy- (9CI) (CA

INDEX NAME)



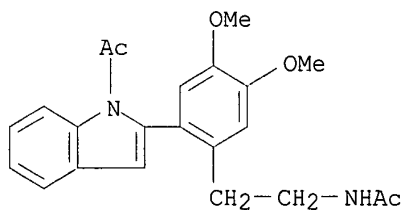
RN 2272-17-5 CAOLD

CN Acetamide, N-(2-indol-2-yl-4,5-dimethoxyphenethyl)- (7CI, 8CI) (CA INDEX NAME)



RN 2515-39-1 CAOLD

CN Acetamide, N-[2-(1-acetylmindol-2-yl)-4,5-dimethoxyphenethyl]- (7CI, 8CI) (CA INDEX NAME)



L21 ANSWER 5 OF 5 CAOLD COPYRIGHT 2001 ACS

ACCESSION NUMBER: CA63:5584h CAOLD

TITLE: synthesis of 2,3-disubstituted indoles-study of the reductive cyclizations of some 3-substituted 2-(4,5-dimethoxy-2-nitrophenyl)-acrylonitriles

AUTHOR NAME: Suh, John T.; Puma, B. M.

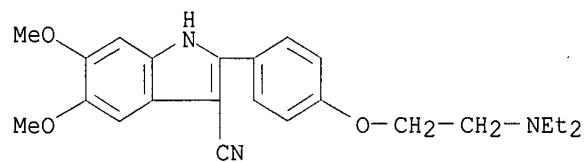
INDEX TERM: 1969-77-3 1969-78-4 **1969-79-5** 1969-80-8  
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1971-31-9 1971-32-0 1971-33-1 1971-34-2 1971-35-3  
1971-36-4 1971-37-5 1971-38-6 1971-39-7 1971-40-0  
1971-41-1 1971-42-2 1971-43-3 1971-44-4 2196-96-5  
2196-97-6 2196-98-7 2327-32-4 2327-33-5 2327-34-6  
2327-35-7 2327-36-8 2327-37-9 2327-38-0 2327-39-1  
2327-40-4 2327-41-5 2327-42-6 2468-96-4

IT **1969-79-5**

RN 1969-79-5 CAOLD

CN Indole-3-carbonitrile, 2-[p-[2-(diethylamino)ethoxy]phenyl]-5,6-dimethoxy-

(7CI, 8CI) (CA INDEX NAME)



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